42903

Access DB# ____________

SEARCH REQUEST FORM

Scientific and Technical Information Center

338

Requester's Full Name: PATEL SUDHAKER Examiner # : 77018 Date: 5/22/01
Art Unit: 1624 Phone Number 305-4709 Serial Number: 09/62644
Mail Box and Bldg/Room Location: CM1 4E17 Results Format Preferred (circle): (PAPER) DISK E-MAIL

4412

If more than one search is submitted, please prioritize searches in order of need.

****

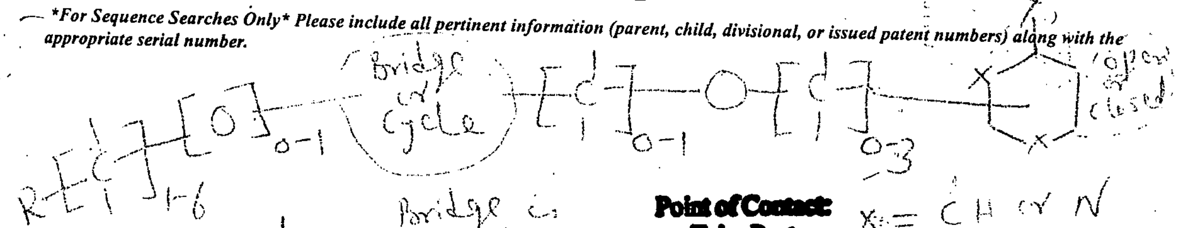
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: THERAPEUTIC USES OF DIARYL ACID DERIVATIVES

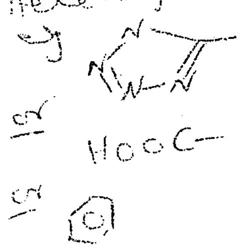
Inventors (please provide full names): ZAID JAYYOSI et al

Earliest Priority Filing Date: ASAP

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



R = Heterocyclo eg



or HOOC—

or (phenyl)

Bridge is $(CH_2)_{0-5}$

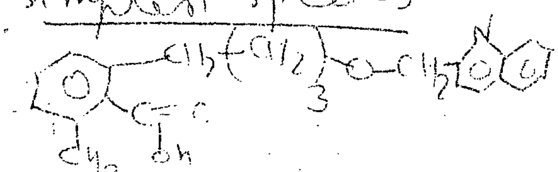
cycle is Phenyl or Heterocyclo

X = CH or N

OH or =O

Point of Contact:
Toby Port
Technical Info. Specialist
CM1 1E01 TEL: 308-3534

Simplest species



Need info @ compounds & uses as PEROXISOME PROLIFERATOR-ACTIVATED RECEPTORS PPAR for treating obesity, Diabetes II ... of Cl... 1 ... ...

POINT OF CONTACT:
BARB O'BRYEN
TECH. INFORMATION SPECIALIST
STIC CM1 12C14 308-4291

THT ... 1624

****

STAFF USE ONLY

Searcher: Toby Port + Barb O'Bryen
Searcher Phone #: 308-3534
Searcher Location: ____________
Date Searcher Picked Up: 5/29
Date Completed: 5/30
Searcher Prep & Review Time: 390
Clerical Prep Time: ____________
Online Time: 150

Type of Search

NA Sequence (#) ____
AA Sequence (#) ____
Structure (#) 237
Bibliographic ____
Litigation ____
Fulltext ____
Patent Family ____
Other ____

Vendors and cost where applicable.

STN ____
Dialog ____
Questel/Orbit ____
Dr.Link ____
Lexis/Nexis ____
Sequence Systems ____
WWW/Internet ____
Other (specify) ____

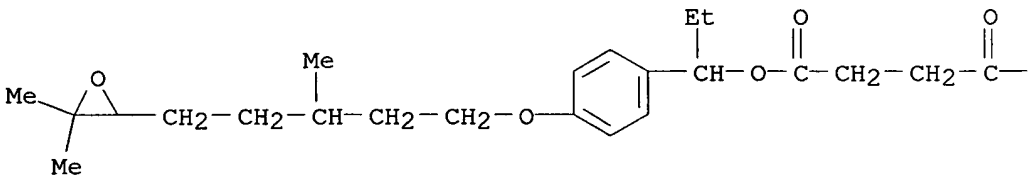
PTO-1590 (1-2000)

L12 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 1989:515036 CAPLUS
DN 111:115036
TI A method of preparing mixed succinic acid esters as juvenile hormones with dual activity
IN Kahovcova, Jitka; Krecek, Jan; Slama, Karel; Romanuk, Miroslav
PA Czech.
SO Czech., 4 pp.
CODEN: CZXXA9
DT Patent
LA Czech
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 248922	B1	19870312	CS 1984-9093	19841128

OS MARPAT 111:115036
AB AR1CHO2CCH2CH2CO2CHR1A1 (I; R1 = C1-3 alkyl) were prepd. by room temp. esterification of AR1CHO2CCH2CH2CO2H (II) with A1CHR1OH (III) in the presence of DCC and a catalytic amt. of 4-(dimethylamino)pyridine (4-DMAP). A mixt. of II (R1 = Et) 60, III (R1 = Et) 61, and DCC 41 mg was stirred 2 h at 15-25.degree. in dry Et2O in the presence of a small amt. of 4-DMAP and left overnight to give 60 mg I (R = Et) which (concn. not specified) completely inhibited development of soldiers and intercastes in Prorhinotermes simplex after 16 days.
IT **122156-11-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as juvenile hormone)
RN 122156-11-0 CAPLUS
CN Butanedioic acid, 1-(2,2-dimethyl-2H-1-benzopyran-6-yl)propyl 1-[4-[[5-(3,3-dimethyloxiranyl)-3-methylpentyl]oxy]phenyl]propyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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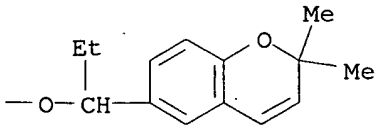
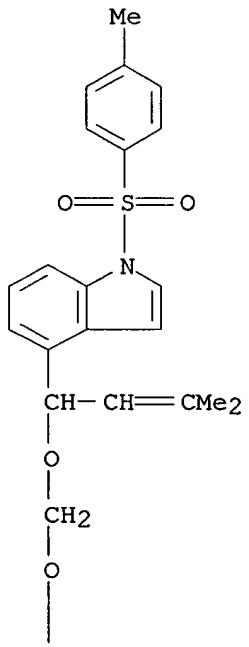
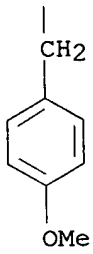


L12 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 1989:56678 CAPLUS
DN 110:56678
TI Protection of alcohols as their (p-methoxybenzyloxy)methyl ethers
AU Kozikowski, Alan P.; Wu, Jiang Ping
CS Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA
SO Tetrahedron Lett. (1987), 28(43), 5125-8
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 110:56678
AB Protection of even tertiary alcs. can be accomplished by treatment with p-methoxybenzyl chloromethyl ether. Deprotection can be effected under mild conditions using DDQ.
IT **118617-86-0P 118617-88-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deprotection of)
RN 118617-86-0 CAPLUS
CN 1H-Indole, 4-[1-[[(4-methoxyphenyl)methoxy]methoxy]-3-methyl-2-butenyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

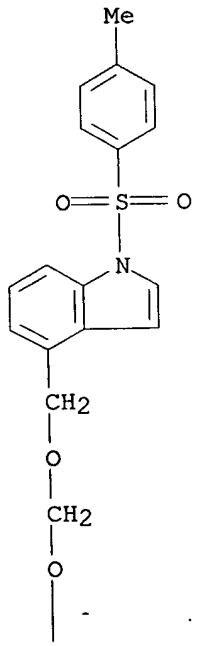


PAGE 2-A



RN 118617-88-2 CAPLUS
CN 1H-Indole, 4-[[[(4-methoxyphenyl)methoxy]methoxy]methyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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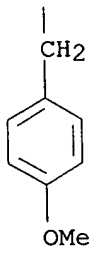

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS 4	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 5	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6	Mar 08	Gene Names now available in BIOSIS
NEWS 7	Mar 22	TOXLIT no longer available
NEWS 8	Mar 22	TRCTHERMO no longer available
NEWS 9	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 10	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 12	Apr 08	"Ask CAS" for self-help around the clock
NEWS 13	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 14	Apr 09	ZDB will be removed from STN
NEWS 15	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 16	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 17	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 18	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 19	Jun 03	New e-mail delivery for search results now available
NEWS 20	Jun 10	MEDLINE Reload
NEWS 21	Jun 10	PCTFULL has been reloaded
NEWS 22	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 23	Jul 19	NTIS to be reloaded July 28, 2002
NEWS 24	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 25	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 26	Jul 30	NETFIRST to be removed from STN

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:46:38 ON 01 AUG 2002

=> ile registry
ILE IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> fileregistry
FILEREGISTRY IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:47:07 ON 01 AUG 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 31 JUL 2002 HIGHEST RN 441711-84-8
DICTIONARY FILE UPDATES: 31 JUL 2002 HIGHEST RN 441711-84-8

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09662649.str

L1 STRUCTURE UPLOADED

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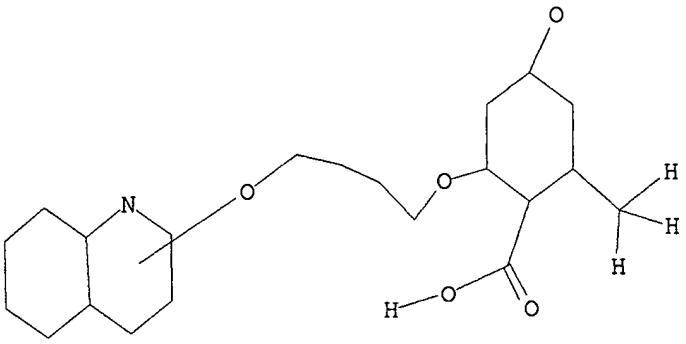
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 16:47:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:47:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 140.28 140.49

STN INTERNATIONAL LOGOFF AT 16:48:01 ON 01 AUG 2002

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=> file reg; d stat que l15
FILE 'REGISTRY' ENTERED AT 14:37:08 ON 01 JUN 2001
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STRUCTURE FILE UPDATES:   30 MAY 2001  HIGHEST RN 339046-06-9
DICTIONARY FILE UPDATES:  30 MAY 2001  HIGHEST RN 339046-06-9

TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Structure search limits have been increased.  See HELP SLIMIT
for details.
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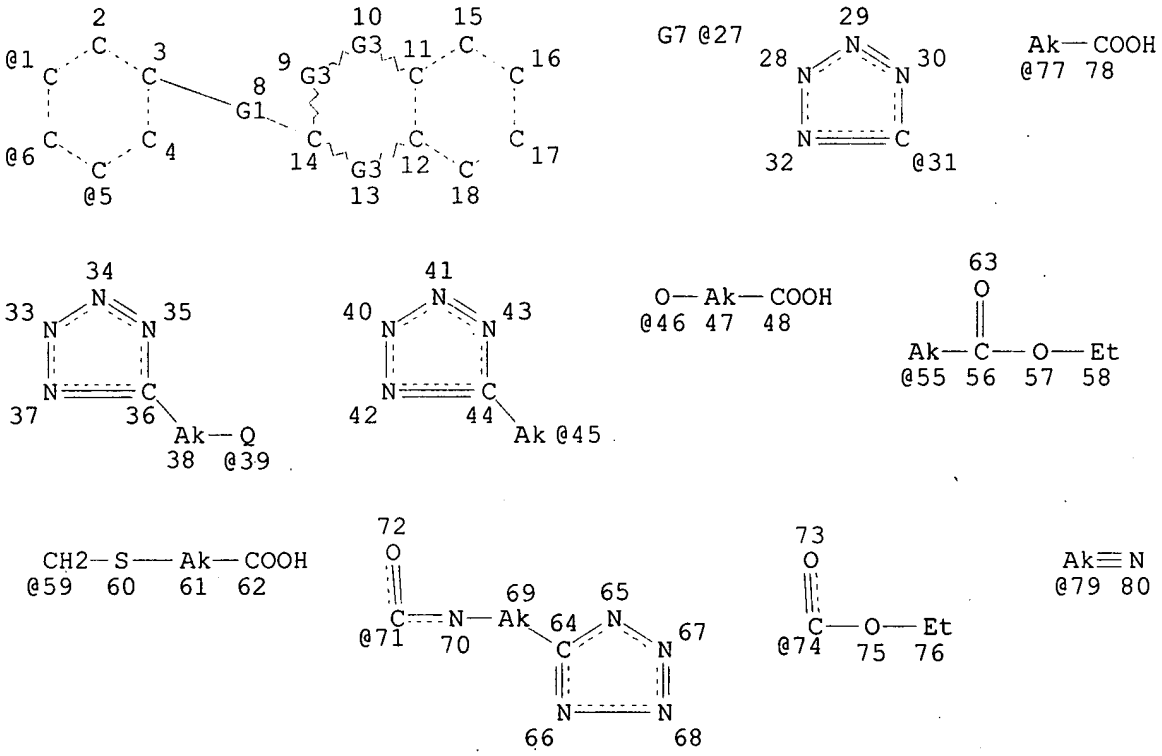
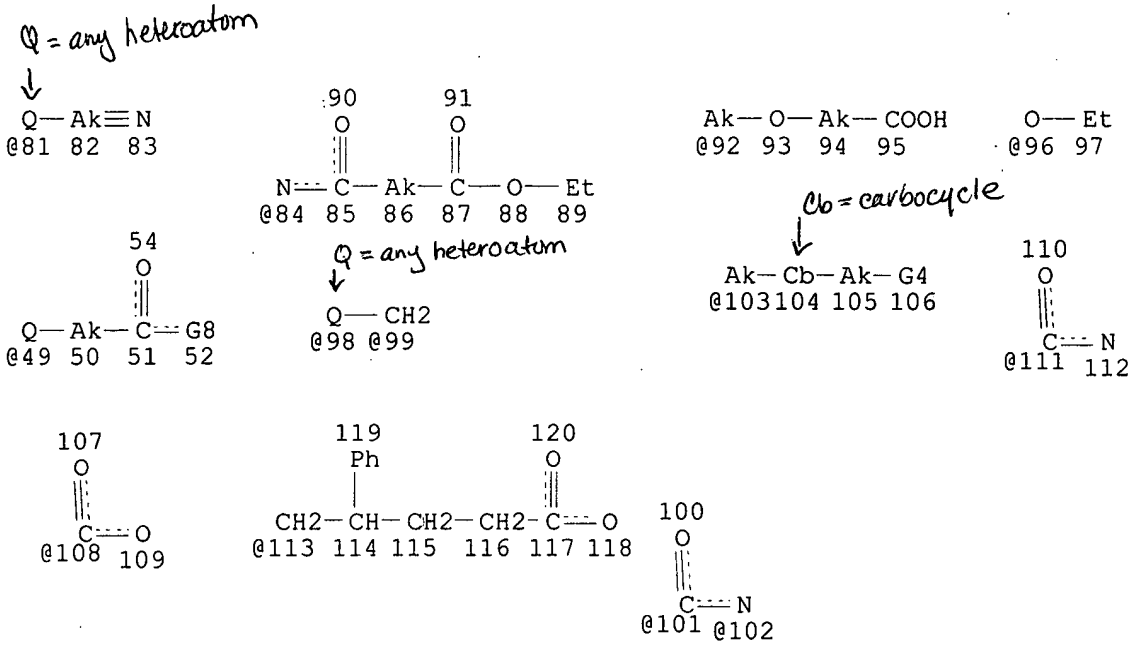
L5 STR





Page 2-A
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VAR G4=CN/HY/108/111
VAR G7=31/39/45/46/49/55/59/77/71/74/79/81/84/92/103/113
VAR G8=96/N
VPA 27-1/5/6 U
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CONNECT IS E2 RC AT 45
CONNECT IS E2 RC AT 47
CONNECT IS E2 RC AT 50
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CONNECT IS E2 RC AT 61
CONNECT IS E2 RC AT 69
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CONNECT IS E2 RC AT 103
CONNECT IS E2 RC AT 105
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 104
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E5 C AT 104

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 110

STEREO ATTRIBUTES: NONE
L13 521308 SEA FILE=REGISTRY ABB=ON PLU=ON (NC5-C6 OR NCNC3-C6)/ES
L15 263 SEA FILE=REGISTRY SUB=L13 SSS FUL L5

100.0% PROCESSED 173570 ITERATIONS 263 ANSWERS
SEARCH TIME: 00.00.33

Searched by Barb O'Bryen, STIC 308-4291

=> file caplus; d que nos l16
FILE 'CAPLUS' ENTERED AT 14:37:26 ON 01 JUN 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1947 - 1 Jun 2001 VOL 134 ISS 24
FILE LAST UPDATED: 31 May 2001 (20010531/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

L5 STR
L13 521308 SEA FILE=REGISTRY ABB=ON PLU=ON (NC5-C6 OR NCNC3-C6)/ES
L15 263 SEA FILE=REGISTRY SUB=L13 SSS FUL L5
L16 69 SEA FILE=CAPLUS ABB=ON PLU=ON L15

=> file uspatfull; d que nos l17
FILE 'USPATFULL' ENTERED AT 14:37:43 ON 01 JUN 2001

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 29 May 2001 (20010529/PD)
FILE LAST UPDATED: 29 May 2001 (20010529/ED)
HIGHEST PATENT NUMBER: US8411134
CA INDEXING IS CURRENT THROUGH 29 May 2001 (20010529/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 29 May 2001 (20010529/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2001
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2001

>>> Page images are available for patents from 1/1/1997. Current <<<
>>> week patent text is typically loaded by Thursday morning and <<<
>>> page images are available for display by the end of the day. <<<

>>> Image data for the /FA field are available the following week. <<<

>>> Complete CA file indexing for chemical patents (or equivalents) <<<
>>> is included in file records. A thesaurus is available for the <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL <<<
>>> fields. This thesaurus includes catchword terms from the <<<
>>> USPTO/MOC subject headings and subheadings. Thesauri are also <<<
>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L15         263 SEA FILE=REGISTRY SUB=L13 SSS FUL L5
L17          37 SEA FILE=USPATFULL ABB=ON  PLU=ON  L15

=> dup rem l16 l17
FILE 'CAPLUS' ENTERED AT 14:37:59 ON 01 JUN 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 14:37:59 ON 01 JUN 2001
CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L16
PROCESSING COMPLETED FOR L17
L19           98 DUP REM L16 L17 (8 DUPLICATES REMOVED)
                 ANSWERS '1-69' FROM FILE CAPLUS
                 ANSWERS '70-98' FROM FILE USPATFULL

=> d ibib abs hitstr l19 1-98; file caold; d que nos l18; file home

L19  ANSWER 1 OF 98  CAPLUS  COPYRIGHT 2001 ACS        DUPLICATE 1
ACCESSION NUMBER:         1994:508550  CAPLUS
DOCUMENT NUMBER:          121:108550
TITLE:                    Preparation of 2-substituted quinolines, and their use
                          in medicaments
INVENTOR(S):              Raddatz, Siegfried; Mohrs, Klaus Helmut; Matzke,
                          Michael; Fruchtmann, Romanis; Hatzelmann, Armin;
                          Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner;
                          Theisen-Popp, Pia
PATENT ASSIGNEE(S):       Bayer A.-G., Germany
SOURCE:                   U.S., 26 pp. Cont.-in-part of U.S. Ser. No. 834,734.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   3
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
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     US 5304563         A    19940419      US 1992-967881    19921028
     DE 4105551         A1   19920827      DE 1991-4105551   19910222
     DE 4226649         A1   19940217      DE 1992-4226649   19920812
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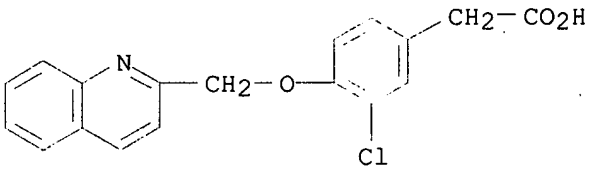
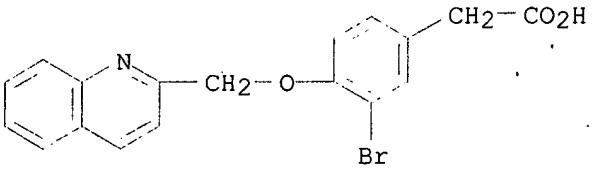


RN 145043-04-5 CAPLUS
CN Benzeneacetic acid, 3-bromo-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



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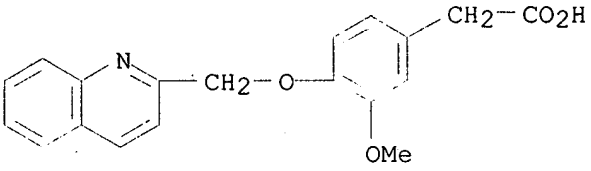

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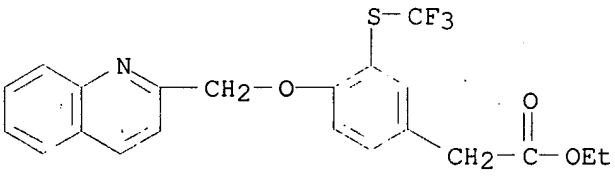

RN 145043-09-0 CAPLUS
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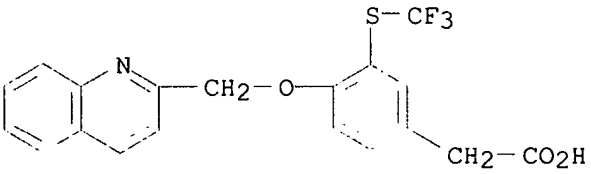

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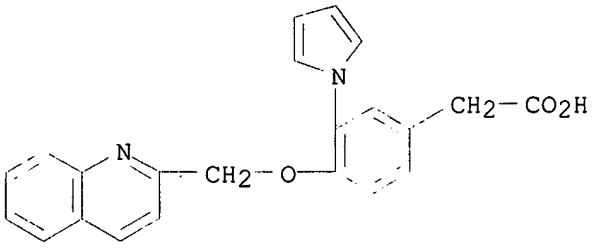
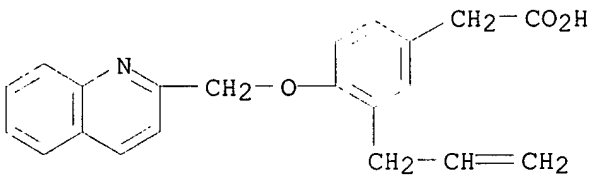
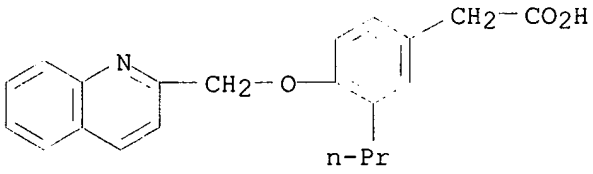


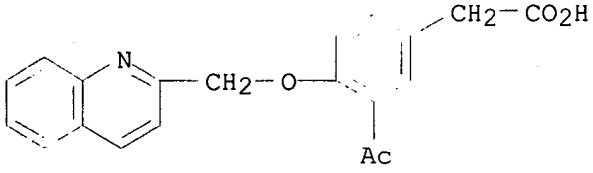
RN 145043-16-9 CAPLUS
CN Benzeneacetic acid, 3-(2-propenyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



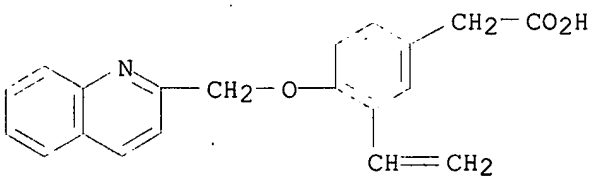
RN 145043-18-1 CAPLUS
CN Benzeneacetic acid, 3-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



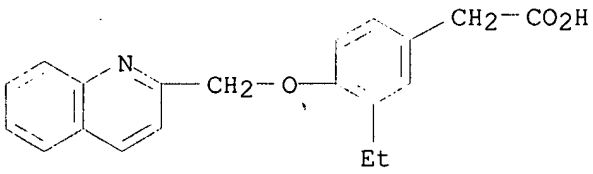
RN 145043-21-6 CAPLUS
CN Benzeneacetic acid, 3-acetyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 145043-23-8 CAPLUS
CN Benzeneacetic acid, 3-ethenyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 145043-25-0 CAPLUS
CN Benzeneacetic acid, 3-ethyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

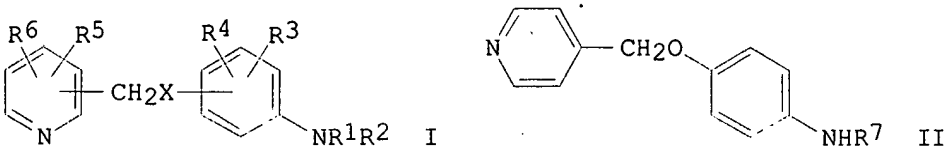


L19 ANSWER 2 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 2
ACCESSION NUMBER: 1993:233895 CAPLUS
DOCUMENT NUMBER: 118:233895
TITLE: 2-quinolinyl methoxy compounds, medical uses and intermediates therefor
INVENTOR(S): Nielsen, Ole Bent T.; Ahfelt-Ronne, Ian
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd., Den.
SOURCE: U.S., 23 pp. Cont.-in-part of U.S. 5,109,009.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5157039	A	19921020	US 1990-633390	19901231
US 4826987	A	19890502	US 1986-834542	19860228
US 5109009	A	19920428	US 1990-581121	19900910

PRIORITY APPLN. INFO.:
GB 1985-6094 19850308
GB 1985-25153 19851011
US 1986-834542 19860228
US 1987-140277 19871231
US 1990-581121 19900910

OTHER SOURCE(S): MARPAT 118:233895
GI

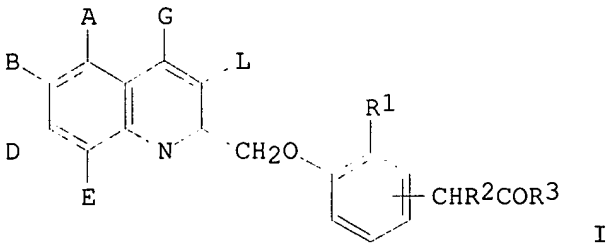


AB The title compds. [I; R1, R2 = H, (un)substituted alkyl, aryl, aralkyl; R3-R6 = H, halo, pseudohalo, cyano, NO2, amino, CO2H, OH, alkyl, alkoxy;

PRIORITY APPLN. INFO.: DE 1991-4105551 A 19910222
US 1992-834734 A2 19920212
DE 1992-4226649 A 19920812

OTHER SOURCE(S): MARPAT 121:108550

GI



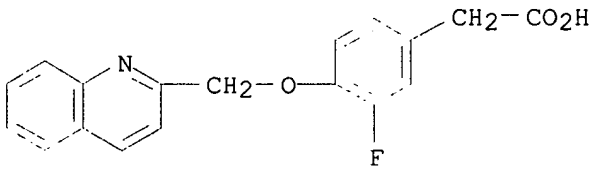
I

AB Title compds. I (A, B, D, E, G, L = H, HO, halo, NC, HO2C, O2N, F3C, F3CO, C1-8 alkyl, C1-8 alkoxy, (substituted) C6-8 aryl; R1 = halo, NC, O2N, N3, F3C, F3CO, F3CS, C1-8 alkoxy, C1-8 acyl, (substituted) C1-8 alkyl, (substituted) amino, heterocyclyl, etc.; R2 = C3-12 cycloalky or -alkenyl; R3 = (substituted) HO, PhO, R8SO2R7N wherein R7 = H, C1-6 alkyl, R8 = (substituted) C6-10 aryl, (substituted) C1-8 alkyl) and a salt thereof useful in particularly as lipoxygenase inhibitors. I are claimed for treatment of allergies/asthma, bronchitis, emphysema, shock lung, pulmonary hypertension, inflammations/rheumatism, edemas, thromboses, ischemias, cardiac and cerebral infarcts, angina pectoris, arteriosclerosis, in tissue transplantation, psoriasis, and cytoprotection in the gastrointestinal tract (no data). Me 3-fluoro-5-hydroxyphenylacetate (prepn. given) in DMF was added to NaOH in MeOH followed by 3-(chloromethyl)quinoline in DMF to give I (A, B, D, E, G, L = H, R1 = F, CHR2COR3 = p-MeOAc). A similar prepd. compd. I (A, B, D, E, L = H = H, R1 = vinyl, CHR2COR3 = p-2-cyclopentylacetic acid) (II) inhibited 5-lipoxygenase with IC50 at 0.56 .mu.mol/L.

IT **145042-98-4P 145043-02-3P 145043-04-5P**
145043-07-8P 145043-08-9P 145043-09-0P
145043-14-7P 145043-16-9P 145043-18-1P
145043-21-6P 145043-23-8P 145043-25-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of lipoxygenase inhibitors)

RN 145042-98-4 CAPLUS

CN Benzeneacetic acid, 3-fluoro-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 145043-02-3 CAPLUS

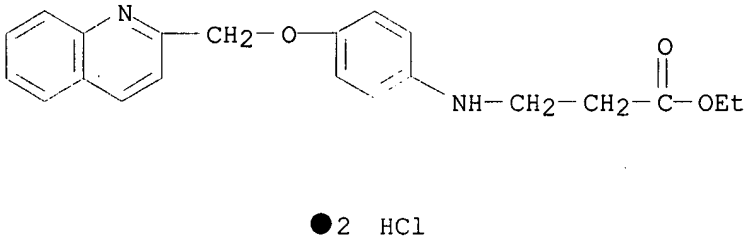
CN Benzeneacetic acid, 3-chloro-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

R5R6 = atoms required to form condensed, (un)substituted arom. ring; X = O, S, SO, SO2] were prepd. as arachidonic acid and histamine inhibitors, and drugs. Thus, 4-AcNHC6H4OH was condensed with 4-(chloromethyl)pyridine-HCl to give acetanilide II (R7 = Ac). This was deacetylated and methylated to give II (R7 = Me). At 10 .mu.M selected I gave 51-100% inhibition of antigen-induced histamine release from rat peritoneal mast cells.

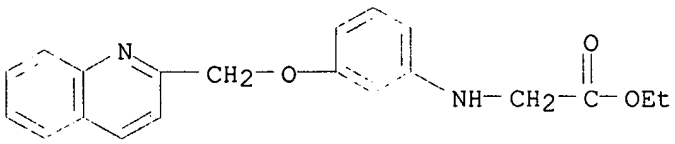
IT **105349-96-0P 105349-97-1P 146679-80-3P 146679-82-5P 146680-08-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

RN 105349-96-0 CAPLUS
CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 105349-97-1 CAPLUS
CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

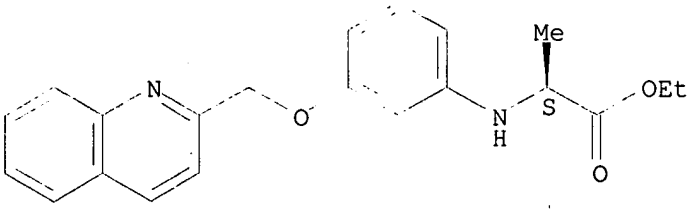


RN 146679-80-3 CAPLUS
CN Glycine, N-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

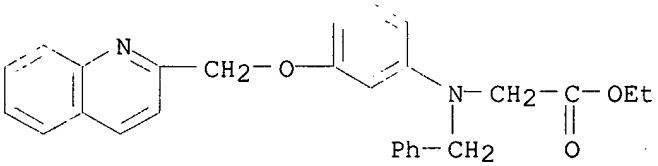


RN 146679-82-5 CAPLUS
CN L-Alanine, N-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146680-08-2 CAPLUS
CN Glycine, N-(phenylmethyl)-N-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 3 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 3
ACCESSION NUMBER: 1991:408594 CAPLUS
DOCUMENT NUMBER: 115:8594
TITLE: Preparation of quinolinylchromone derivatives for treatment of hypersensitive ailments
INVENTOR(S): Huang, Fu Chih; Campbell, Henry F.; Learn, Keith S.; Galemmo, Robert A., Jr.
PATENT ASSIGNEE(S): Rorer Pharmaceutical Corp., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4977162	A	19901211	US 1989-379528	19890713
CA 2036381	AA	19910114	CA 1990-2036381	19900709
WO 9101123	A2	19910207	WO 1990-US3847	19900709
WO 9101123	A3	19910307		
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9061605	A1	19910222	AU 1990-61605	19900709
AU 636087	B2	19930408		
EP 434827	A1	19910703	EP 1990-912046	19900709
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04501430	T2	19920312	JP 1990-511282	19900709
JP 07121940	B4	19951225		
US 5082849	A	19920121	US 1991-659403	19910308

PRIORITY APPLN. INFO.: US 1989-379528 19890713
WO 1990-US3847 19900709
OTHER SOURCE(S): MARPAT 115:8594
GI

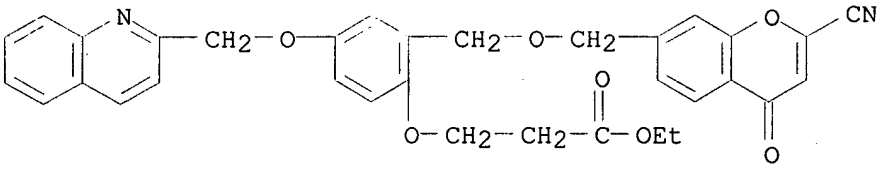
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = O, S, bond, (substituted) vinylene; B = bond, O, S, SO, SO2, (substituted) imino, etc.; D = O, S, (substituted) imino, vinylene bond; E = bond, (substituted) vinylene; a, b = 0, 1; c, d, e, f = 0-3; n = 0-2; R = H (substituted) alkyl contg. optional hetero atom, etc., R1 = H, C1-6 alkyl, PhCH2, phenethyl; R2 = H, R2R2 = bond; R3 = H, C1-6 alkyl, alkoxy, OH, etc.; R4 = H, OH, C2-6 alkoxy, etc., Z = cyano, CO2R1, tetrazolyl, etc.], useful as lipoxygenase inhibitors and/or leukotriene antagonists having antiinflammatory and antiallergic properties, are prepd. To a suspension of phosphonium salt II (prepn. given) in DMF was added 80% NaH in oil dispersion with stirring at 0.degree. and a soln. of aldehyde III in DMF was added with stirring to give the vinylene compd. (E)-IV (R5 = cyano), which (0.90 g) was heated with NH4Cl and NaN3 at 100.degree. to give 0.7 g (E)-IV (R5 = 5-tetrazolyl) (V). V was hydrogenated over 10% Pd-C to give the ethylene deriv. Also prepd. were over 50 addnl. I and intermediates. Slow-reacting substance of anaphylaxis binding assay and LTD4 binding assay were given.

IT **134138-91-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiallergic and antiinflammatory agent)

RN 134138-91-3 CAPLUS

CN Propanoic acid, 3-[2-[[(2-cyano-4-oxo-4H-1-benzopyran-7-yl)methoxy]methyl]-4-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 4 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 4

ACCESSION NUMBER: 1992:106117 CAPLUS
DOCUMENT NUMBER: 116:106117
TITLE: Preparation of quinoline derivatives as inflammation and allergy inhibitors
INVENTOR(S): Huang, Fuchi; Galemmo, Robert A., Jr.; Campbell, Henry F.
PATENT ASSIGNEE(S): Rorer Pharmaceutical Corp., USA
SOURCE: U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 116,420.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4920131	A	19900424	US 1988-209428	19880621
US 4920132	A	19900424	US 1987-116420	19871103
US 4920133	A	19900424	US 1987-116428	19871103
US 4920130	A	19900424	US 1987-116597	19871103
AU 8927946	A1	19890601	AU 1989-27946	19881101
AU 633475	B2	19930204		

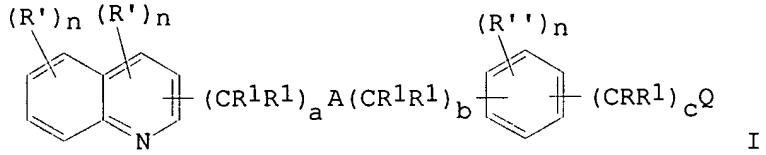
JP 03500889	T2	19910228	JP 1989-500520	19881101
JP 07107053	B4	19951115		
EP 348155	A1	19891227	EP 1989-306232	19890620
EP 348155	B1	19990512		
R: DE, ES, FR, GB, IT				
WO 8912628	A1	19891228	WO 1989-US2691	19890620
W: JP, US				
EP 784052	A1	19970716	EP 1997-200638	19890620
R: DE, ES, FR, GB, IT				
ES 2134755	T3	19991016	ES 1989-306232	19890620
US 5059610	A	19911022	US 1990-477896	19900420
US 5166210	A	19921124	US 1991-724745	19910702

PRIORITY APPLN. INFO.:

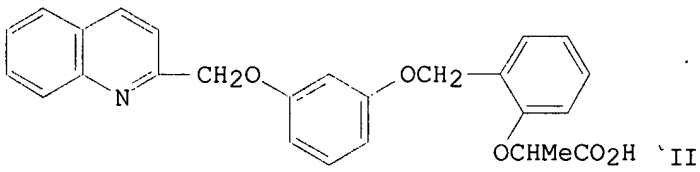
US 1987-116420	19871103
US 1987-116428	19871103
US 1987-116597	19871103
US 1988-209428	19880621
WO 1988-US3897	19881101
EP 1989-306232	19890620
US 1990-499513	19900420

OTHER SOURCE(S): MARPAT 116:106117

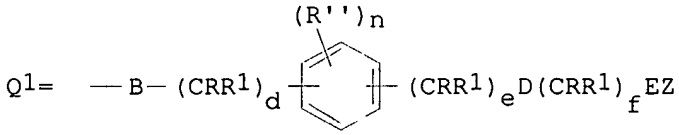
GI



I



II

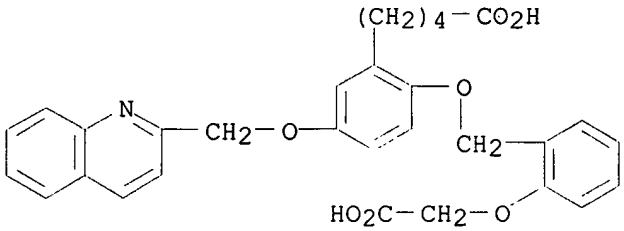


AB The title compds. I [A = O, S; Q = Q1; B = bond, O, S, SO, SO2, etc.; D = O, S, etc.; E = bond, CR1:CR1; a = 0-2; b = 0,1,; c = 0-4; d = 0-5; e = 0-4; f = 0-5; n = 0-2; R' = H, C1-6 alkyl, OH, C1-6 alkoxy, CO2H, etc.; R'' = H, OH, C1-6 alkoxy, halo, CF3, etc.; R1 = H, C1-6 alkyl, PhCH2, phenethyl; R = (CH2)xX, S(CH2)xX, etc.; X = H, C1-6 alkyl, C2-6 alkenyl, C3-6 cycloalkyl, etc.; x = 0-3; Z = CO2R1, cyano, CONHSO2R3; R3 = H, C1-6 alkyl, CF3, Ph, PhCH2] were prepd. I are antagonists of leukotriene D4 and are useful as inflammation and allergy inhibitors (no data). Reaction of 3-(quinolin-2-yl)methoxyphenol with Et 2-(2-bromomethylphenoxy)propionate in the presence of K2CO3, followed by sapon. and workup, gave quinoline II.

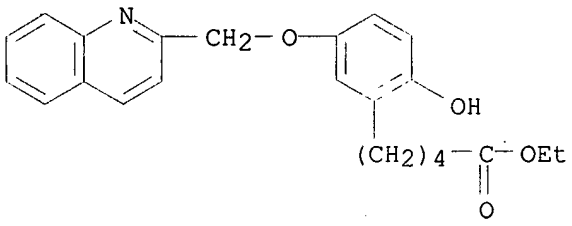
IT **128760-48-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn of, as inflammation and allergy inhibitor)

RN 128760-48-5 CAPLUS
CN Benzenepentanoic acid, 2-[[2-(carboxymethoxy)phenyl]methoxy]-5-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



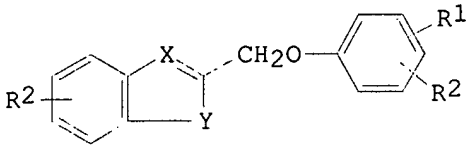
IT **128760-85-0**
RL: RCT (Reactant)
(reaction of, in prepn. of inflammation and allergy inhibitor)
RN 128760-85-0 CAPLUS
CN Benzenepentanoic acid, 2-hydroxy-5-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



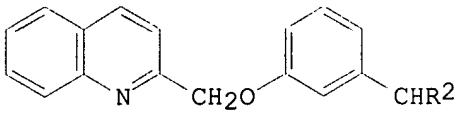
L19 ANSWER 5 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 5
ACCESSION NUMBER: 1990:478185 CAPLUS
DOCUMENT NUMBER: 113:78185
TITLE: Preparation of 2-(phenoxymethyl)quinolines and analogs as antiallergic and antiinflammatory agents
INVENTOR(S): Musser, John H.; Kubrak, Dennis M.; Kreft, Anthony F., III; Bender, Reinhold H. W.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 13 pp. Cont.-in-part of U.S. 4,772,703.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4904786	A	19900227	US 1988-231130	19880811
US 4581457	A	19860408	US 1984-653733	19840921
US 4675405	A	19870623	US 1986-823163	19860127
US 4772703	A	19880920	US 1987-50595	19870515

PRIORITY APPLN. INFO.: US 1984-653733 19840921
US 1985-787939 19851016
US 1986-823163 19860127
US 1987-50595 19870515
OTHER SOURCE(S): MARPAT 113:78185
GI



I



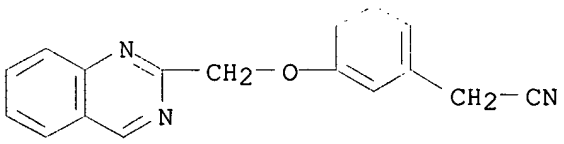
II

AB The title compds. [I; R1 = (CH2)nNR3SO2R5, CH(OR3)CH2NR3R4, CH(SCH2CH2CO2R3)2, etc.; R2 = H, alkyl, alkoxy(carbonyl), CF3, NO2, cyano, halo; R3 = H, alkyl; R4 = H, alkyl, CO2R3, CONR32; R5 = (fluoro)alkyl, (un)substituted Ph; X = N, CR3; Y = CR3:N, N:CR3, CR3:CR3, NR3] were prepd. Thus, 3-HOC6H4CHO and HOCH2CH2OH were refluxed 2 days with H2O sepn. in PhMe contg. 4-MeC6H4SO3H and the product refluxed 20 h with 2-chloromethylquinoline in Me2CO contg. CsCO3 and KI to give title compd. II (R2 = OCH2CH2O) which was stirred 1 h with HSCH2CH2CO2Me in CH2Cl2 contg. BF3.Et2O to give II (R = SCH2CH2CO2Me). The latter gave 46% inhibition of leukotriene-induced bronchospasm in guinea pigs at 50 mg/kg intragastrically.

IT **120028-55-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiallergic and antiinflammatory agents)

RN 120028-55-9 CAPLUS

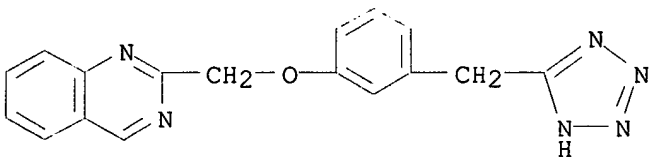
CN Benzeneacetonitrile, 3-(2-quinazolinylmethoxy)- (9CI) (CA INDEX NAME)



IT **120028-56-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic and antiinflammatory agent)

RN 120028-56-0 CAPLUS

CN Quinazoline, 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 6 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 6
ACCESSION NUMBER: 1989:534011 CAPLUS

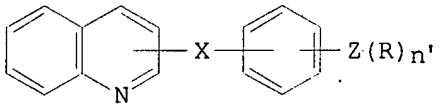
DOCUMENT NUMBER: 111:134011
TITLE: Heterocyclic (especially quinoline-containing) ethers and related compounds having antiinflammatory and antiallergic activity
INVENTOR(S): Musser, John H.; Chakraborty, Utpal R.
PATENT ASSIGNEE(S): USV Pharmaceutical Corp., USA
SOURCE: U.S., 15 pp. Division of U.S. Ser. No. 666,430, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4794188	A	19881227	US 1985-810868	19851219
US 4567184	A	19860128	US 1983-530811	19830909
IL 76838	A1	19910131	IL 1985-76838	19851028
ZA 8508347	A	19860924	ZA 1985-8347	19851030
JP 61267532	A2	19861127	JP 1985-241759	19851030
JP 07029952	B4	19950405		

PRIORITY APPLN. INFO.:

US 1982-445876	19821201
US 1983-530811	19830909
US 1984-666430	19841030
IL 1983-70356	19831201
US 1985-736795	19850522

OTHER SOURCE(S): MARPAT 111:134011
GI



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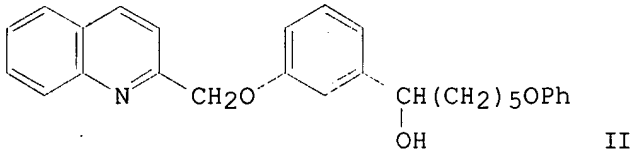


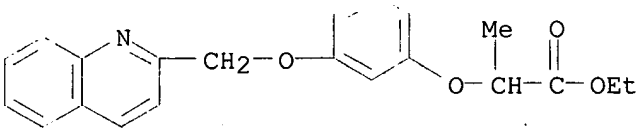
II

AB Title compds. Ar1XArZ(R)n' [Ar1 = (un)substituted N-, S-, or O-contg. heterocyclic ring; Ar = (un)substituted Ph or as for Ar1; X = O(CHR1)n, S(O)n''(CHR1)n, NR2(CHR1)n-alkylene, CR1:CR1, C.tplbond.C, CH:N, CO2, C(O)S, CONR1, CO(CHR1)n, CH(OH)(CHR1)n; Z = alkylene up to C10 chain and C12 total with 0-2 double bonds and optional bonding to Ar via O, S, or N atom; R = halo, oxo, OR3, SR3, N(R2)2, R1, COR4; R1 = H, Me; R2 = H, alkyl, aryl, aralkyl; R3 = as for R2, alkanoyl, substituted aryl; R4 = OR2, N(R2)2; n = 0, 1; n' = 1-7; n'' = 0-2] and esp. quinolines I [arom. ring(s) substituted; further specifications] are prepd. as antiinflammatory and antiallergic agents. Alkylation of phenol by Br(CH2)5Br using NaH in DMF gave 40% PhO(CH2)5Br, which underwent Grignard reaction with 3-NaOC6H4CHO in THF to give 3-HOC6H4CH(OH)(CH2)5OPh. Etherification of this alc. with 2-(chloromethyl)quinoline using K2CO3 in Me2CO gave 60% [(phenoxyhydroxyhexyl)phenoxymethyl]quinoline II. The IC50 of II for inhibition of 5-lipoxygenase was 0.6 .mu.M.
IT **104325-53-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiinflammatory and antiallergic agents)

RN 104325-53-3 CAPLUS

CN Propanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

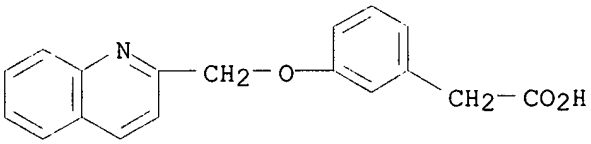


IT **104325-57-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiinflammatory and antiallergic agent)

RN 104325-57-7 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L19 ANSWER 7 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 7

ACCESSION NUMBER: 1989:173252 CAPLUS

DOCUMENT NUMBER: 110:173252

TITLE: Preparation of 2-(phenoxymethyl)quinazolines and analogs as antiallergic and antiinflammatory agents

INVENTOR(S): Musser, John H.; Kubrak, Dennis M.; Kreft, Anthony F., III; Bender, Reinhold H. W.

PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: U.S., 11 pp. Cont.-in-part of U.S. 4,675,405.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

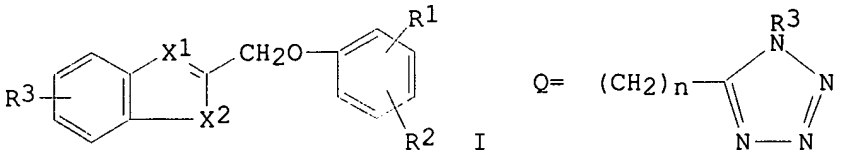
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4772703	A	19880920	US 1987-50595	19870515
US 4581457	A	19860408	US 1984-653733	19840921
US 4675405	A	19870623	US 1986-823163	19860127
US 4904786	A	19900227	US 1988-231130	19880811

PRIORITY APPLN. INFO.:

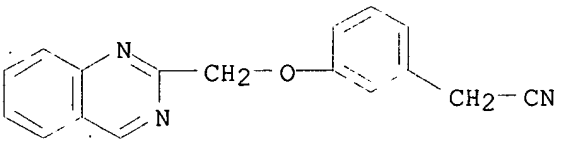
US 1984-653733	19840921
US 1985-787939	19851016
US 1986-823163	19860127
US 1987-50595	19870515

OTHER SOURCE(S): MARPAT 110:173252
GI

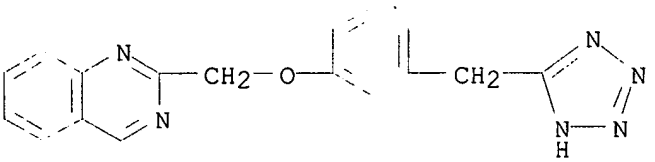


AB The title compds. [I; R1 = (CH2)nNR3SO2R5, R3OCHCH2NR3R4, (CH2)nCONHSO2R5, (CH2)nCO2R3, (CH2)nCON(R3)OR3, tetrazol-5-ylalkyl moiety Q; R2 = H, C1-6 alkyl, C1-6 alkoxy(carbonyl), CF3, halo, NO2, cyano; R3 = H, C1-6 alkyl; R4 = H, C1-6 alkyl, CO2R3, etc.; R5 = C1-6 (fluoro)alkyl, R2C6H4; X1 = CR3, N; X2 = R3C:N, N:CR3, R3C:CR3, NR3; n = 0-5] and their pharmaceutically acceptable salts were prepd. as antiinflammatory and antiallergic agents. 3-O2NC6H4OH and 2-chloromethylequinazoline were refluxed 20 h in Me2CO contg. Cs2CO3/KI to give 42% 2-[(3-nitrophenoxy)methyl]quinazoline which was reduced with FeSO4 in aq. HCl to give 56% 3-(2-quinazolinylmethoxy)benzenamine. Acylation of the latter with (CF3SO2)2O in CH2Cl2 at -70.degree. in the presence of Et3N gave 22% 1,1,1-trifluoro-N-[3-(2-quinazolinylmethoxy)phenyl]methanesulfonamide (II). In guinea pigs, II inhibited leukotrienes-induced bronchospasm with an ED50 of 2.0 mg/kg intraduodenally (i.d.), and gave 78% inhibition of ovalbumin-induced bronchospasm at 25 mg/kg i.d.

IT **120028-55-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation of, with azide)
RN 120028-55-9 CAPLUS
CN Benzeneacetonitrile, 3-(2-quinazolinylmethoxy)- (9CI) (CA INDEX NAME)



IT **120028-56-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as allergy and inflammation inhibitor)
RN 120028-56-0 CAPLUS
CN Quinazoline, 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

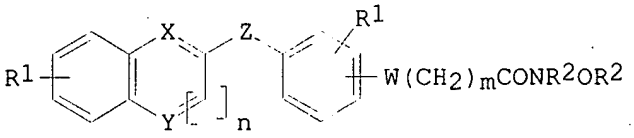


L19 ANSWER 8 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 8
ACCESSION NUMBER: 1989:57531 CAPLUS

DOCUMENT NUMBER: 110:57531
TITLE: Preparation of quinolinyl benzene hydroxamic acids and analogs as anti-inflammatory/antiallergic agents
INVENTOR(S): Musser, John H.; Kubrak, Dennis M.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4769461	A	19880906	US 1986-907933	19860916

OTHER SOURCE(S): MARPAT 110:57531
GI



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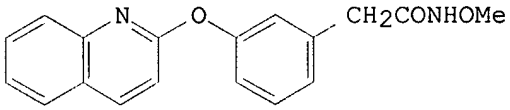
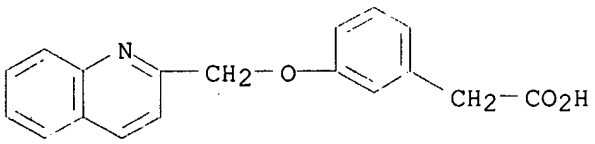


II

AB The title compds. (I; R1 = H, alkyl, CF3, alkoxy, alkylthio, OH, SH, NO2, halo; R2 = H, alkyl; W = bond, O, S, NR2, CHOH, CO, NR2CO; X = N, R2C; Y = O, S, NR2 when n = 0 or N, R2C when n = 1; Z = CH2O, CH2S, CH2NR2, O, S, NR2, CO, CONR2, CHR2CHR2, CR2:CR2, C.tplbond.C; m = 0-6 0-5 when W = bond) and their pharmaceutically acceptable salts were prepd. as 5-lipoxygenase/cyclooxygenase inhibitors, useful as antiinflammatory and antiallergy agents. 3-HOC6H4CH2CO2Me and 2-(chloromethyl)quinoline were refluxed 40 h in Me2CO contg. CsCO3 to give 97% of the quinolinylmethyl ether which was sapond. with aq. NaOH in THF to give 94% 3-(2-quinolinylmethoxy)benzeneacetic acid. The latter was stirred with MeONH2.HCl at room temp. in THF contg. Et3N and [3-(dimethylamino)propyl]ethylcarbodiimide to give 29% benzeneacetohydroxamate II. In guinea pigs 25 mg II/kg gave 90.6% inhibition of leukotrienes-induced bronchospasm. II had an IC50 of 1.8 mg/kg in this test.

IT **104325-55-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation of, by hydroxylamines)
RN 104325-55-5 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

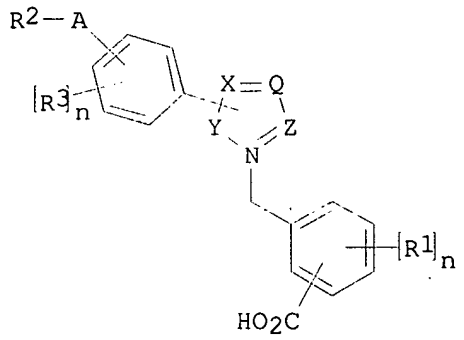


L19 ANSWER 9 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:137199 CAPLUS
DOCUMENT NUMBER: 134:178561
TITLE: Preparation of heterocyclylmethyl substituted benzoic acids for the treatment of diabetes mellitus
INVENTOR(S): Hargreaves, Rodney Brian; Whittamore, Paul Robert Owen
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca Limited
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012612	A1	20010222	WO 2000-GB3126	20000814

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-19413 A 19990818
OTHER SOURCE(S): MARPAT 134:178561
GI



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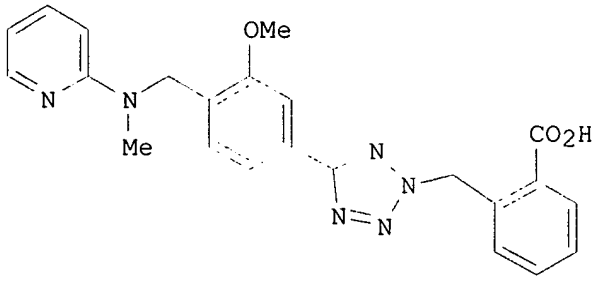


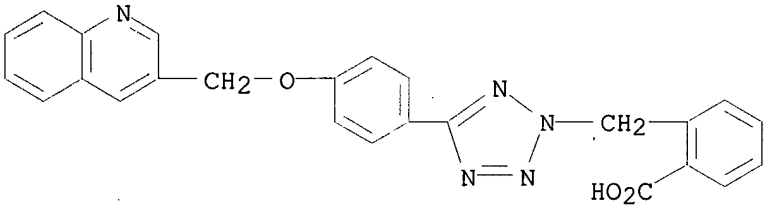
II

AB The title compds. [I; Q, X, Y, Z = CRa, CRb:CRc, N (wherein Ra, Rb, Rc = H, halo, a bond, such that together with the nitrogen atom to which Y and Z are attached, they form a 5-6 membered arom. ring); R1, R3 = alkyl, halo, haloalkyl, etc.; n = 0-2; A = alkylene, alkenylene, alkynylene optionally interposed by a heteroatom; R2 = (un)substituted aryl, heterocyclyl, cycloalkyl] which act as peroxisome proliferator activated receptor (PPAR) agonists, in particular states of insulin resistance including type 2 gamma receptors (PPAR) (data given), and so are useful therapeutically in the treatment of diabetes mellitus, were prepd. E.g., a multi-step synthesis of the benzoic acid II was given.

IT **326912-70-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylmethyl substituted benzoic acids for the treatment of diabetes mellitus)

RN 326912-70-3 CAPLUS

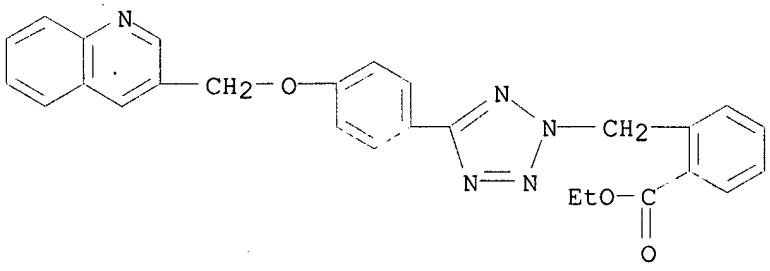
CN Benzoic acid, 2-[[5-[4-(3-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



IT **326913-07-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclylmethyl substituted benzoic acids for the treatment of diabetes mellitus)

RN 326913-07-9 CAPLUS

CN Benzoic acid, 2-[[5-[4-(3-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4

REFERENCE(S):
(1) Ici America Inc; EP 0179619 A 1986 CAPLUS
(2) Nishimura, H; WO 9727190 A 1997 CAPLUS
(3) Sawyer, J; JOURNAL OF MEDICINAL CHEMISTRY 1992, V35(7), P1200 CAPLUS
(4) Zeneca Ltd; WO 9606822 A 1996 CAPLUS

L19 ANSWER 10 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:772622 CAPLUS

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT NUMBER: 133:335167
TITLE: Preparation of diaryl carboxylic acids and derivatives as peroxisome proliferator-activated receptor ligands.
INVENTOR(S): Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Groneberg, Robert D.; McGarry, Daniel G.; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064888	A1	20001102	WO 2000-US11833	20000428

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-131455 P 19990428
OTHER SOURCE(S): MARPAT 133:335167

AB Ar1(CR1R2)aA(CR3R4)bAr2(CR5R6)cB(CR7R8)dEZ[Ar1, Ar2 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocycloalkenyl, fused arylheterocyclyl, heteroaryl, fused heteroarylcycloalkenyl, fused heteroarylcycloalkyl, fused heteroarylheterocyclyl, etc.; A = O, S, SO, SO2, NR13, CO, NR14CO, CONR15, NR14CONR15, CR14:N, bond, etc.; B = O, S, NR19, bond, CO, NR20CO, CONR20; E = bond, CH2CH2; Z = R21O2C, R21OC, cycloimide, cyano, R21O2SHNCO, R21O2SHN, (R21)2NCO, R21O-substituted 2,4-thiazolidinedionyl, tetrazolyl; a, d = 0-6; b, c = 0-4; R1, R3, R5, R7 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8 = (CH2)qX; q = 0-3; R14, R15, R20 = H, alkyl, aralkyl, CO, alkoxycarbonyl; R14R15 = atoms to form a 5-6 membered azaheterocyclyl; R19, R21 = H, aryl, alkyl, cycloalkyl, aralkyl], were prepd. as agonists or antagonists of the PPAR receptor (no data). Thus, 3-(quinolin-2-ylmethoxy)propan-1-ol in DMPU/THF at 0.degree. was treated with NaH and then with Me 2-bromomethyl-6-methylbenzoate followed by stirring overnight at room temp. to give Me 2-methyl-6-[3-(quinolin-2-ylmethoxy)propoxymethyl]benzoate.

IT **102649-98-9P 107813-59-2P 107813-63-8P 107813-64-9P 107813-78-5P 107813-81-0P 107813-82-1P 107813-83-2P 114497-39-1P 114497-40-4P 114497-41-5P 114497-42-6P 114497-43-7P 114497-44-8P 114497-45-9P 114497-46-0P 114497-47-1P 114497-48-2P 114497-54-0P 114497-66-4P 114516-61-9P 125439-16-9P 125439-17-0P 125439-19-2P 125439-20-5P 125439-21-6P 125439-23-8P 125439-24-9P 125439-25-0P 129649-21-4P 129649-22-5P 129649-23-6P 129649-25-8P 129649-26-9P 129649-27-0P 129649-28-1P 129649-29-2P 129649-30-5P 129649-31-6P 129649-32-7P 129649-33-8P 129649-34-9P 129649-35-0P 129649-36-1P 129649-37-2P 129649-38-3P 129649-41-8P 129649-44-1P**

129649-45-2P 129650-11-9P 221267-52-3P
304023-91-4P 304023-93-6P 304023-94-7P
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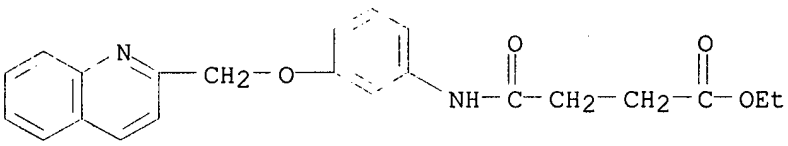
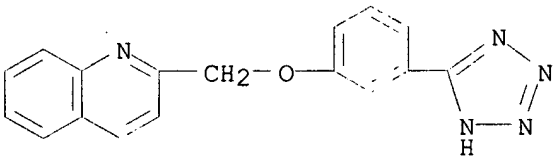
304024-39-3P 304024-40-6P 304024-41-7P
304024-55-3P 304024-62-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diaryl carboxylic acids and derivs. as PPAR ligands)

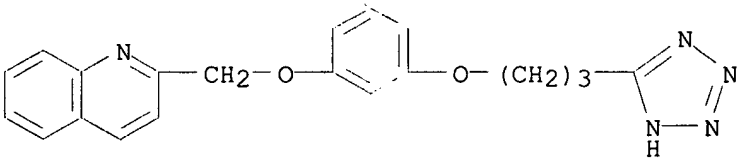
RN 102649-98-9 CAPLUS
CN Butanoic acid, 4-oxo-4-[[3-(2-quinolinylmethoxy)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



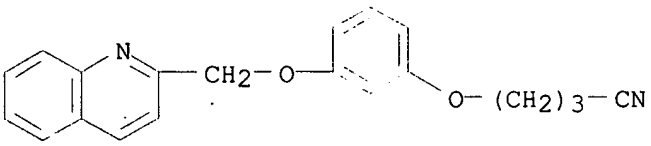
RN 107813-59-2 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



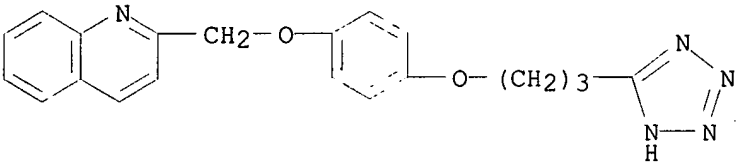
RN 107813-63-8 CAPLUS
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



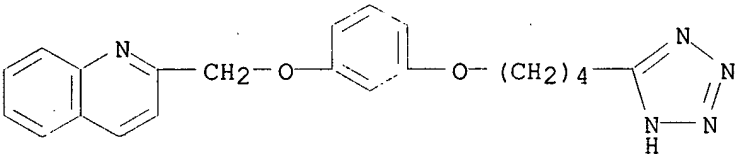
RN 107813-64-9 CAPLUS
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



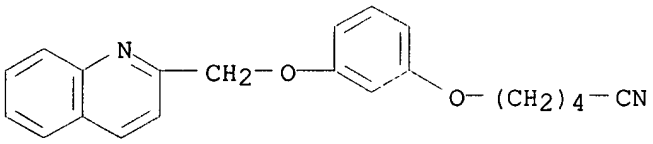
RN 107813-78-5 CAPLUS
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



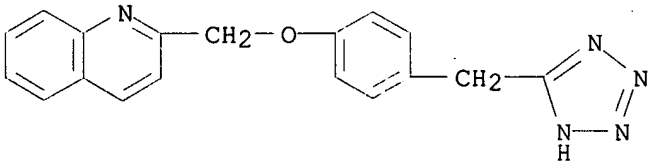
RN 107813-81-0 CAPLUS
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



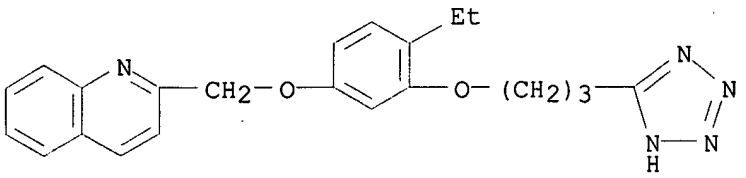
RN 107813-82-1 CAPLUS
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



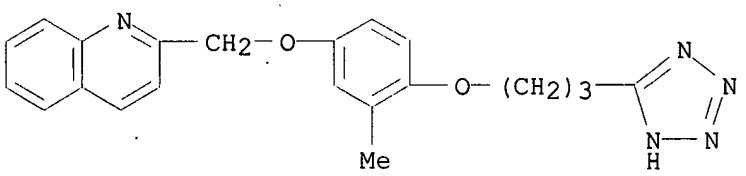
RN 107813-83-2 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



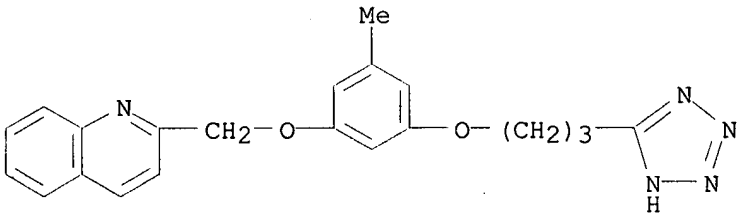
RN 114497-39-1 CAPLUS
CN Quinoline, 2-[[4-ethyl-3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



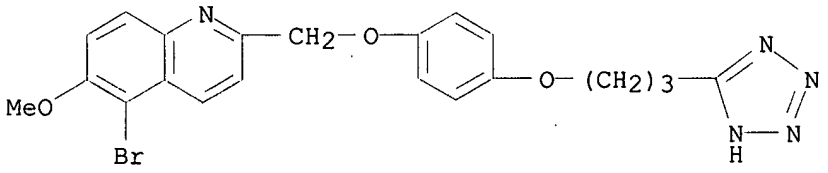
RN 114497-40-4 CAPLUS
CN Quinoline, 2-[[3-methyl-4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



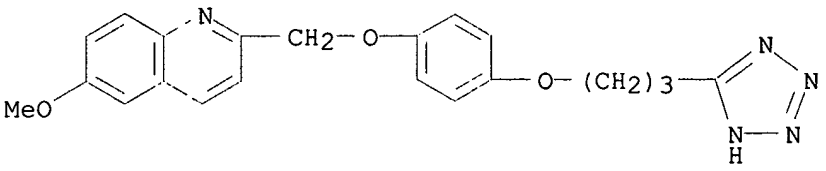
RN 114497-41-5 CAPLUS
CN Quinoline, 2-[[3-methyl-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



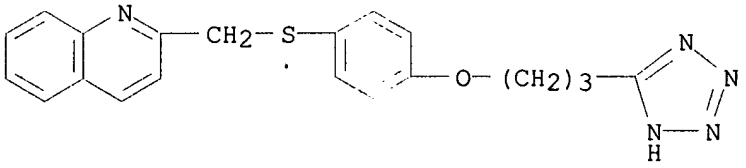
RN 114497-42-6 CAPLUS
CN Quinoline, 5-bromo-6-methoxy-2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



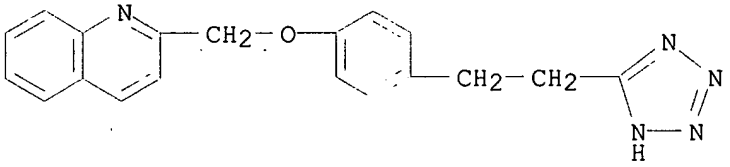
RN 114497-43-7 CAPLUS
CN Quinoline, 6-methoxy-2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



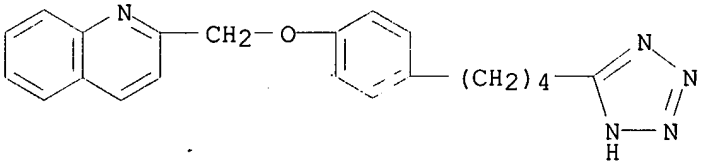
RN 114497-44-8 CAPLUS
CN Quinoline, 2-[[[4-[3-(1H-tetrazol-5-yl)propoxy]phenyl]thio]methyl]- (9CI) (CA INDEX NAME)



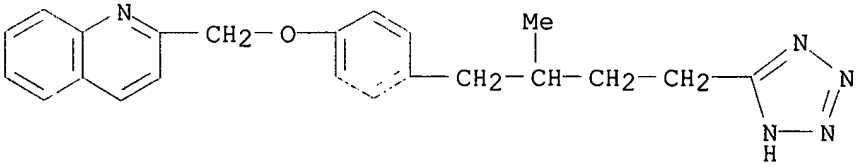
RN 114497-45-9 CAPLUS
CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



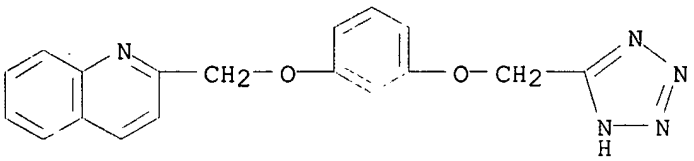
RN 114497-46-0 CAPLUS
CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



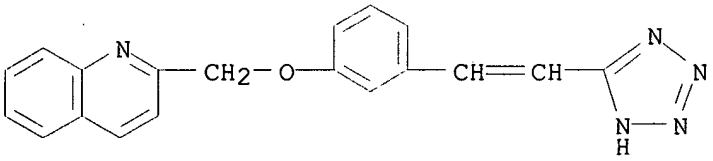
RN 114497-47-1 CAPLUS
CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



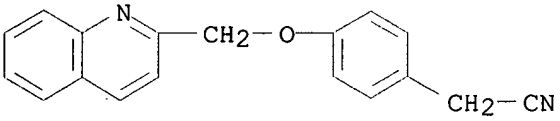
RN 114497-48-2 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-ylmethoxy)phenoxy]methyl]- (9CI) (CA INDEX NAME)



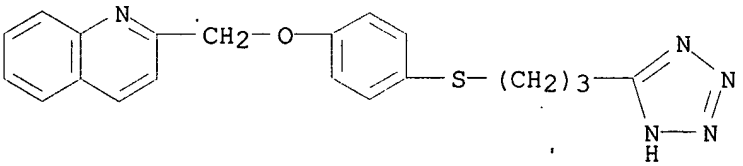
RN 114497-54-0 CAPLUS
CN Quinoline, 2-[[3-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



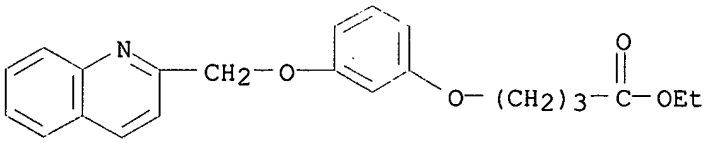
RN 114497-66-4 CAPLUS
CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



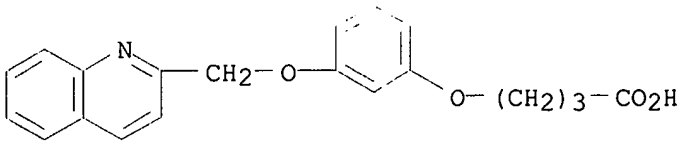
RN 114516-61-9 CAPLUS
CN Quinoline, 2-[[4-[[3-(1H-tetrazol-5-yl)propyl]thio]phenoxy]methyl]- (9CI) (CA INDEX NAME)



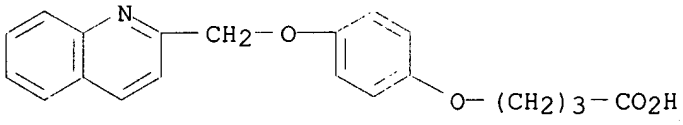
RN 125439-16-9 CAPLUS
CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



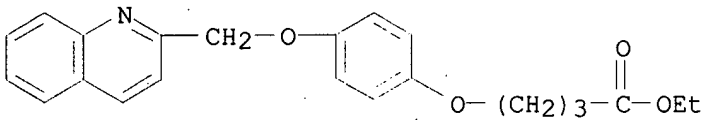
RN 125439-17-0 CAPLUS
CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



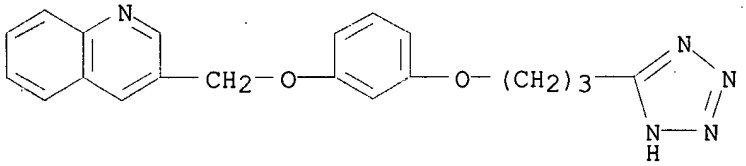
RN 125439-19-2 CAPLUS
CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



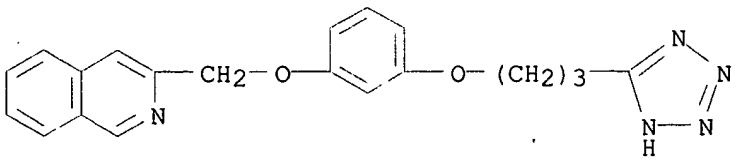
RN 125439-20-5 CAPLUS
CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



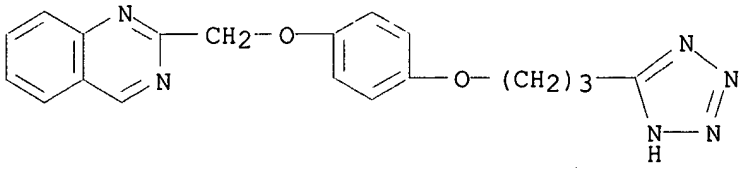
RN 125439-21-6 CAPLUS
CN Quinoline, 3-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



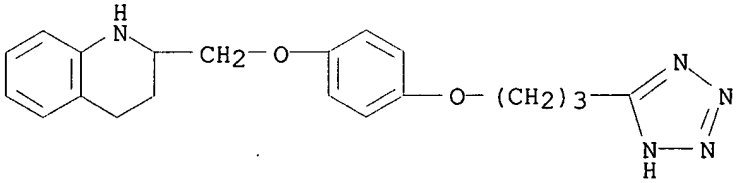
RN 125439-23-8 CAPLUS
CN Isoquinoline, 3-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



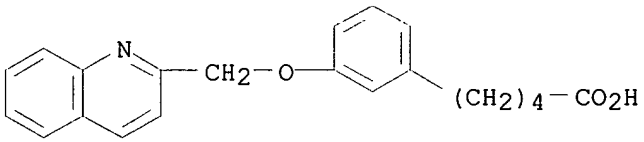
RN 125439-24-9 CAPLUS
CN Quinazoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



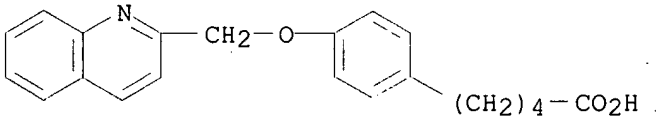
RN 125439-25-0 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



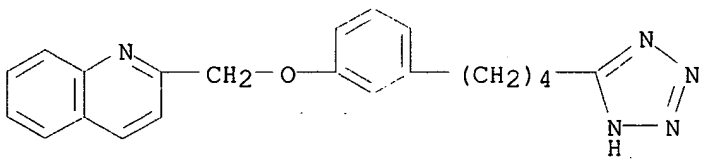
RN 129649-21-4 CAPLUS
CN Benzenepentanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



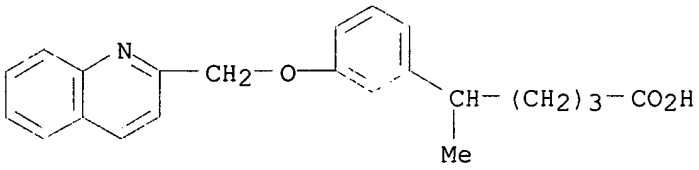
RN 129649-22-5 CAPLUS
CN Benzenepentanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



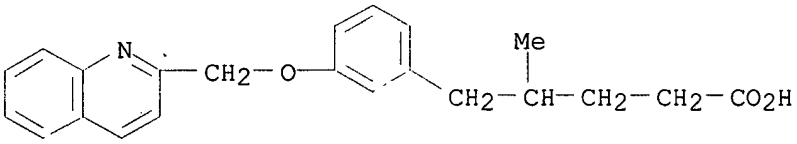
RN 129649-23-6 CAPLUS
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



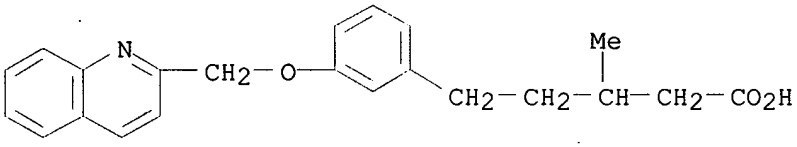
RN 129649-25-8 CAPLUS
CN Benzenepentanoic acid, .delta.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



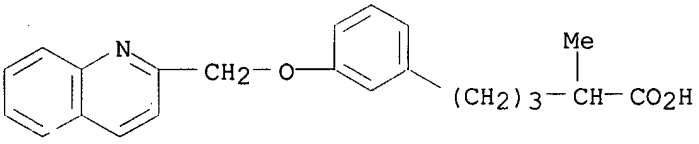
RN 129649-26-9 CAPLUS
CN Benzenepentanoic acid, .gamma.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



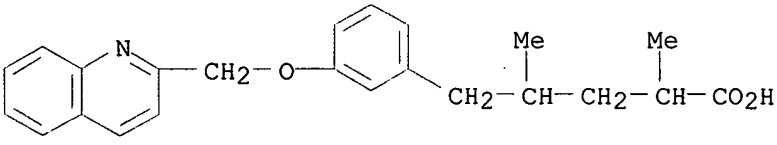
RN 129649-27-0 CAPLUS
CN Benzenepentanoic acid, .beta.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



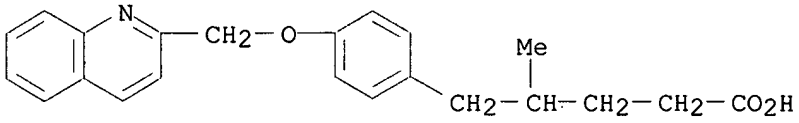
RN 129649-28-1 CAPLUS
CN Benzenepentanoic acid, .alpha.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



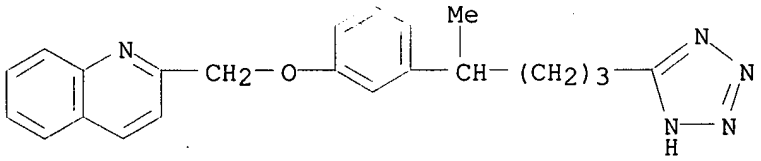
RN 129649-29-2 CAPLUS
CN Benzenepentanoic acid, .alpha.,.gamma.-dimethyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



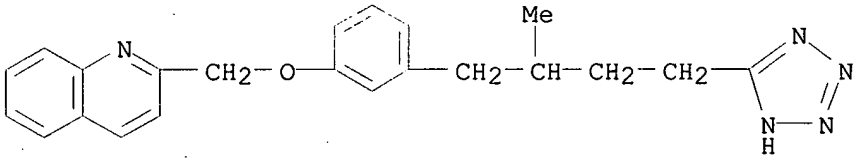
RN 129649-30-5 CAPLUS
CN Benzenepentanoic acid, .gamma.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



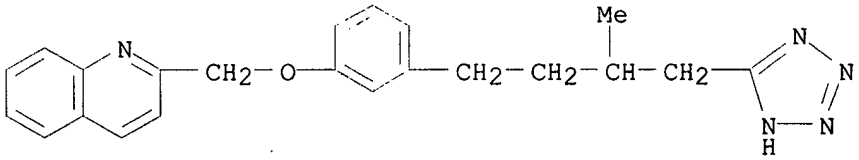
RN 129649-31-6 CAPLUS
CN Quinoline, 2-[[3-[1-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
(9CI) (CA INDEX NAME)



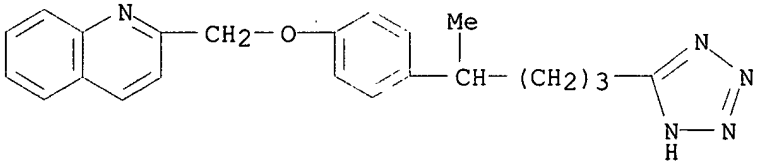
RN 129649-32-7 CAPLUS
CN Quinoline, 2-[[3-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
(9CI) (CA INDEX NAME)



RN 129649-33-8 CAPLUS
CN Quinoline, 2-[[3-[3-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
(9CI) (CA INDEX NAME)

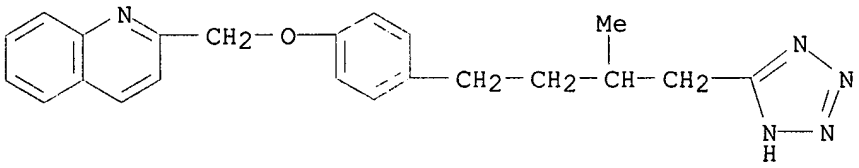


RN 129649-34-9 CAPLUS
CN Quinoline, 2-[[4-[1-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
(9CI) (CA INDEX NAME)

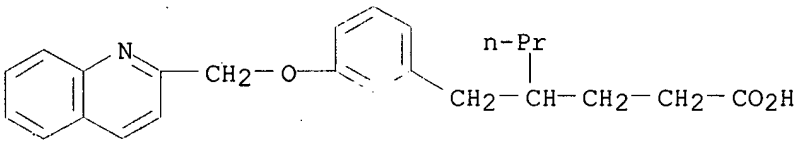


RN 129649-35-0 CAPLUS

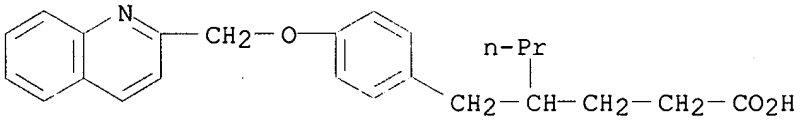
CN Quinoline, 2-[[4-[3-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



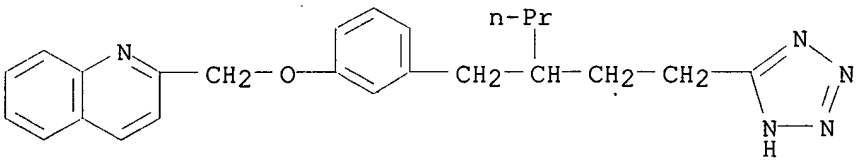
RN 129649-36-1 CAPLUS
CN Benzenepentanoic acid, .gamma.-propyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



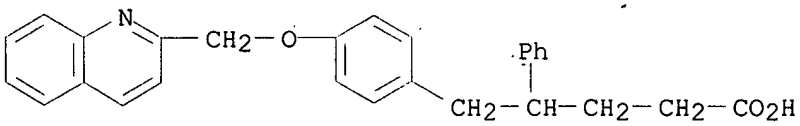
RN 129649-37-2 CAPLUS
CN Benzenepentanoic acid, .gamma.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-38-3 CAPLUS
CN Quinoline, 2-[[3-[2-[2-(1H-tetrazol-5-yl)ethyl]pentyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

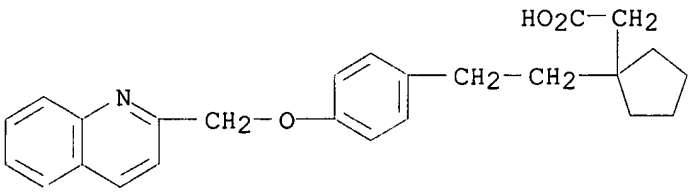


RN 129649-41-8 CAPLUS
CN Benzenepentanoic acid, .gamma.-phenyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

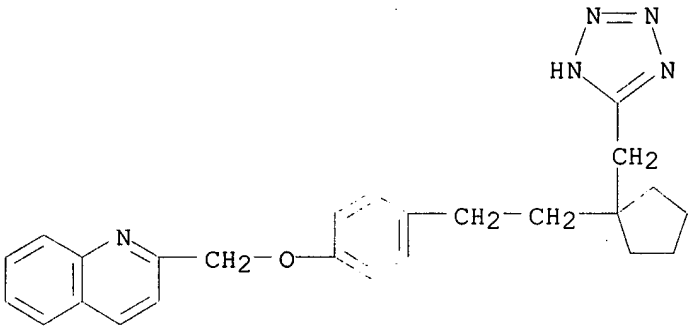


RN 129649-44-1 CAPLUS
CN Cyclopentaneacetic acid, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI)

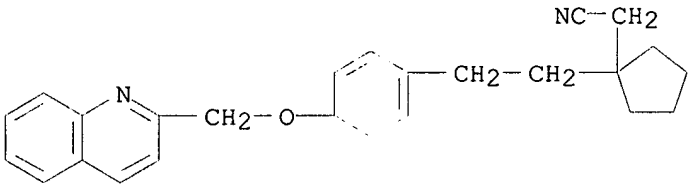
(CA INDEX NAME)



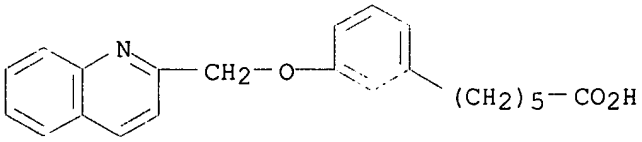
RN 129649-45-2 CAPLUS
CN Quinoline, 2-[[4-[2-[1-(1H-tetrazol-5-ylmethyl)cyclopentyl]ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



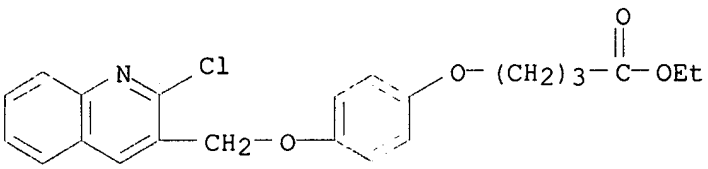
RN 129650-11-9 CAPLUS
CN Cyclopentaneacetonitrile, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)



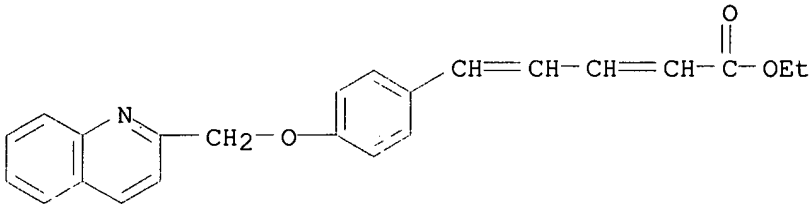
RN 221267-52-3 CAPLUS
CN Benzenehexanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



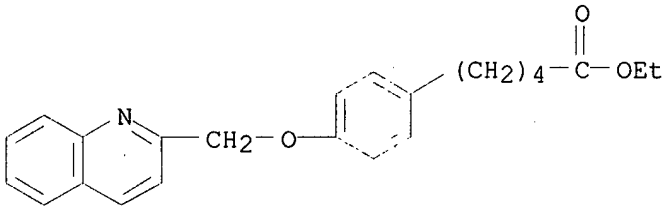
RN 304023-91-4 CAPLUS
CN Butanoic acid, 4-[4-[(2-chloro-3-quinolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



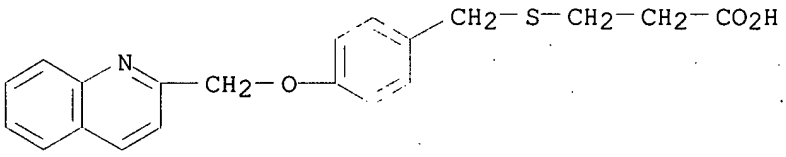
RN 304023-93-6 CAPLUS
CN 2,4-Pentadienoic acid, 5-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



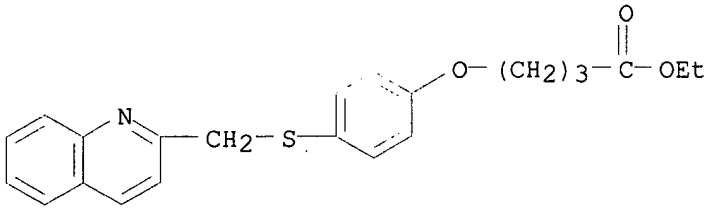
RN 304023-94-7 CAPLUS
CN Benzenepentanoic acid, 4-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



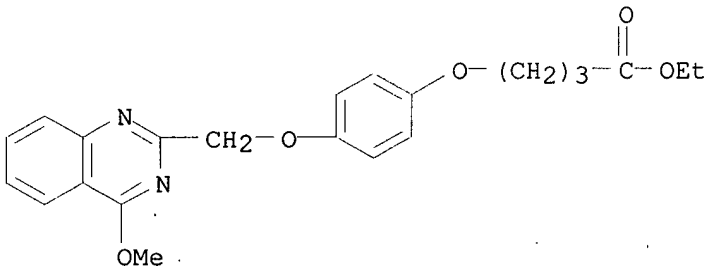
RN 304023-95-8 CAPLUS
CN Propanoic acid, 3-[[[4-(2-quinolinylmethoxy)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



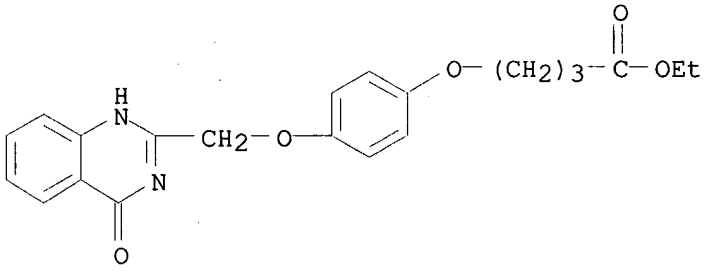
RN 304023-96-9 CAPLUS
CN Butanoic acid, 4-[4-[(2-quinolinylmethyl)thio]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



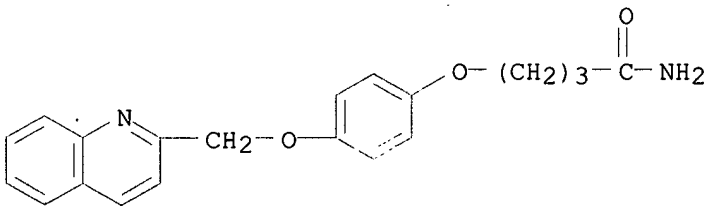
RN 304023-99-2 CAPLUS
CN Butanoic acid, 4-[4-[(4-methoxy-2-quinazolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 304024-01-9 CAPLUS
CN Butanoic acid, 4-[4-[(1,4-dihydro-4-oxo-2-quinazolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

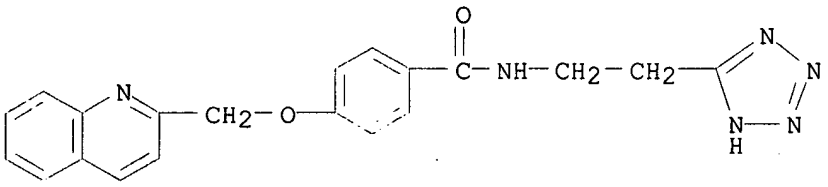


RN 304024-03-1 CAPLUS
CN Butanamide, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

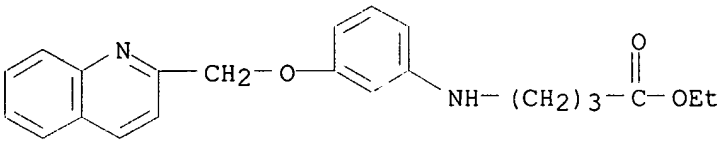


RN 304024-05-3 CAPLUS
CN Benzamide, 4-(2-quinolinylmethoxy)-N-[2-(1H-tetrazol-5-yl)ethyl]- (9CI)

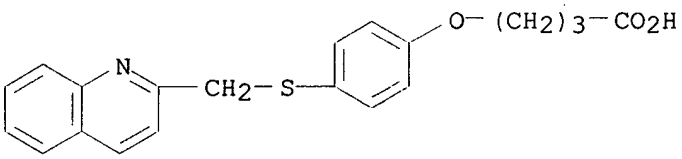
(CA INDEX NAME)



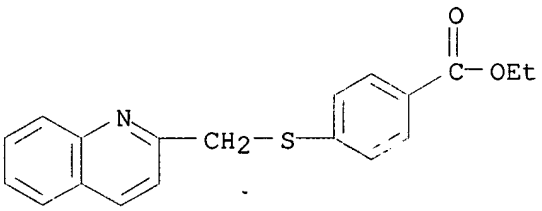
RN 304024-06-4 CAPLUS
CN Butanoic acid, 4-[[3-(2-quinolinylmethoxy)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



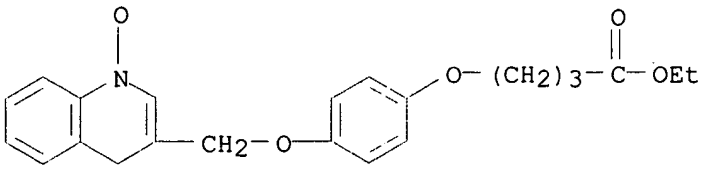
RN 304024-07-5 CAPLUS
CN Butanoic acid, 4-[4-[(2-quinolinylmethyl)thio]phenoxy]- (9CI) (CA INDEX NAME)



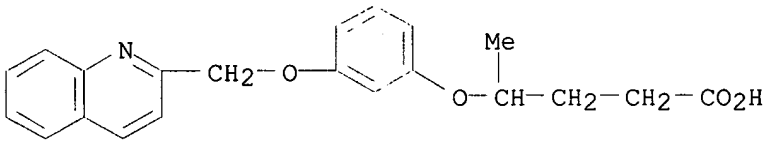
RN 304024-08-6 CAPLUS
CN Benzoic acid, 4-[(2-quinolinylmethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



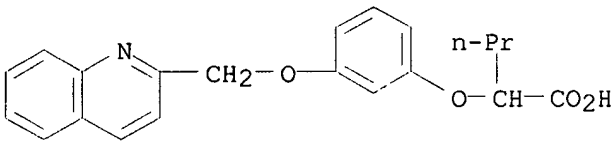
RN 304024-11-1 CAPLUS
CN 1(4H)-Quinolinyloxy, 3-[[4-(4-ethoxy-4-oxobutoxy)phenoxy]methyl]- (9CI) (CA INDEX NAME)



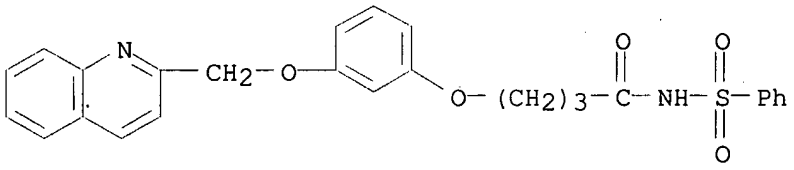
RN 304024-14-4 CAPLUS
CN Pentanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



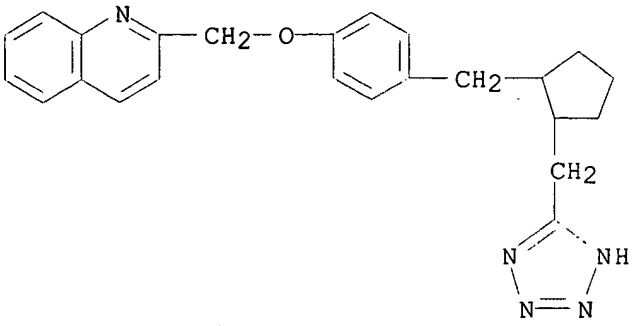
RN 304024-16-6 CAPLUS
CN Pentanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



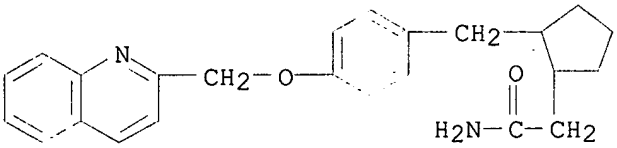
RN 304024-17-7 CAPLUS
CN Butanamide, N-(phenylsulfonyl)-4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



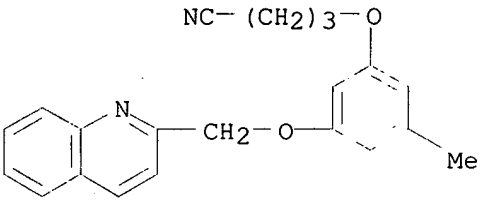
RN 304024-18-8 CAPLUS
CN Quinoline, 2-[[4-[[2-(1H-tetrazol-5-ylmethyl)cyclopentyl]methyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



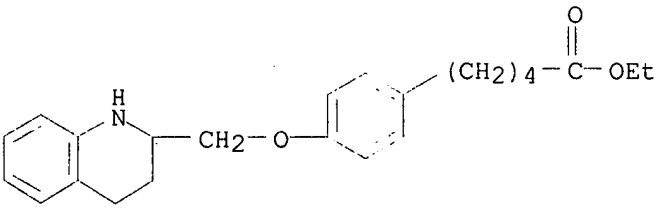
RN 304024-19-9 CAPLUS
CN Cyclopentaneacetamide, 2-[[4-(2-quinolinylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



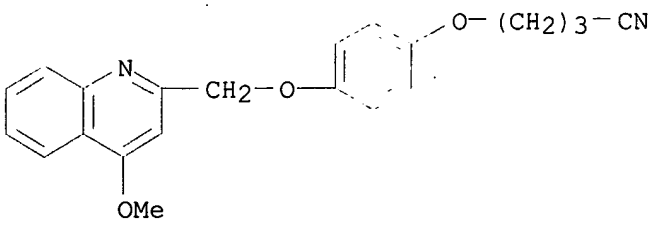
RN 304024-21-3 CAPLUS
CN Butanenitrile, 4-[3-methyl-5-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



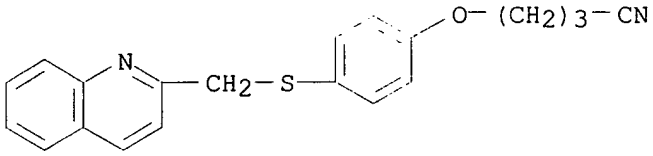
RN 304024-22-4 CAPLUS
CN Benzenepentanoic acid, 4-[(1,2,3,4-tetrahydro-2-quinolinyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



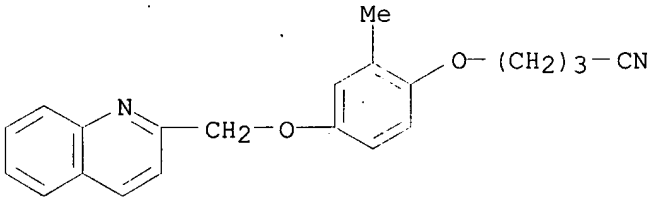
RN 304024-23-5 CAPLUS
CN Butanenitrile, 4-[4-[(4-methoxy-2-quinolinyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



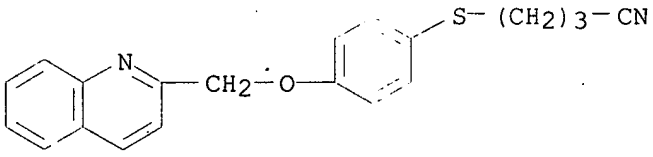
RN 304024-24-6 CAPLUS
CN Butanenitrile, 4-[4-[(2-quinolinylmethyl)thio]phenoxy]- (9CI) (CA INDEX NAME)



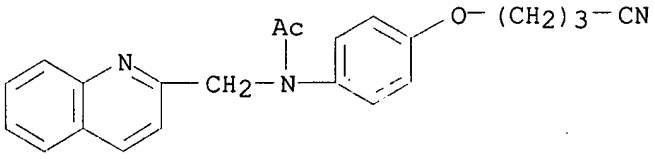
RN 304024-25-7 CAPLUS
CN Butanenitrile, 4-[2-methyl-4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



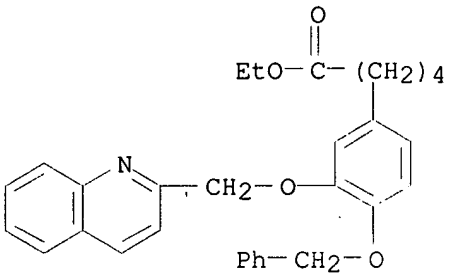
RN 304024-28-0 CAPLUS
CN Butanenitrile, 4-[[4-(2-quinolinylmethoxy)phenyl]thio]- (9CI) (CA INDEX NAME)



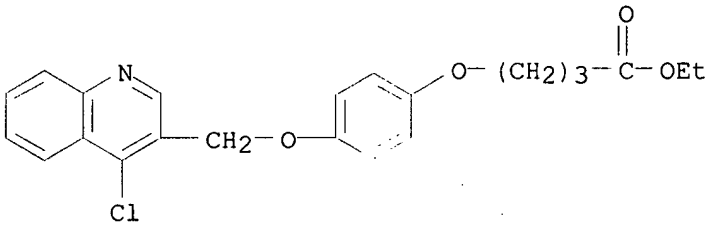
RN 304024-29-1 CAPLUS
CN Acetamide, N-[4-(3-cyanopropoxy)phenyl]-N-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



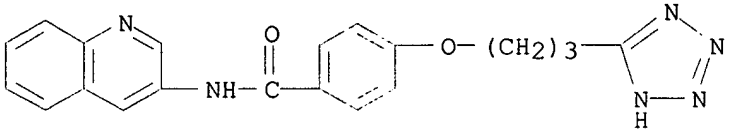
RN 304024-30-4 CAPLUS
CN Benzenepentanoic acid, 4-(phenylmethoxy)-3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



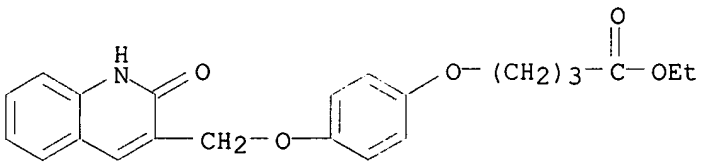
RN 304024-31-5 CAPLUS
CN Butanoic acid, 4-[4-[(4-chloro-3-quinolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



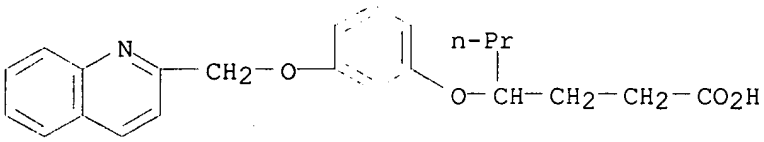
RN 304024-34-8 CAPLUS
CN Benzamide, N-3-quinolinyl-4-[3-(1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



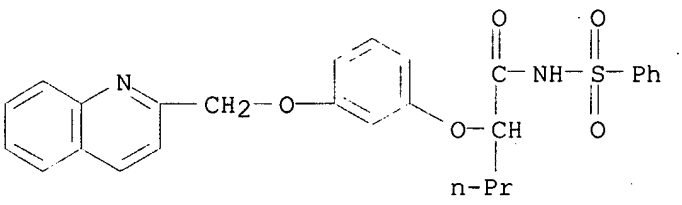
RN 304024-35-9 CAPLUS
CN Butanoic acid, 4-[4-[(1,2-dihydro-2-oxo-3-quinolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



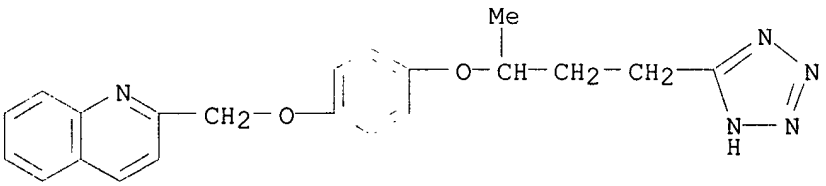
RN 304024-38-2 CAPLUS
CN Heptanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



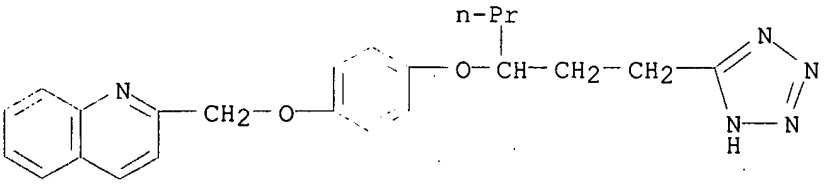
RN 304024-39-3 CAPLUS
CN Pentanamide, N-(phenylsulfonyl)-2-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



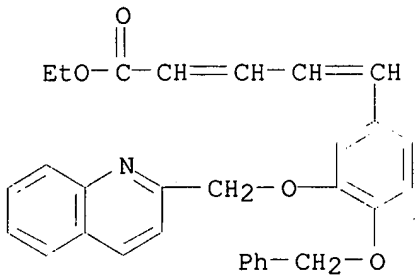
RN 304024-40-6 CAPLUS
CN Quinoline, 2-[[4-[1-methyl-3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



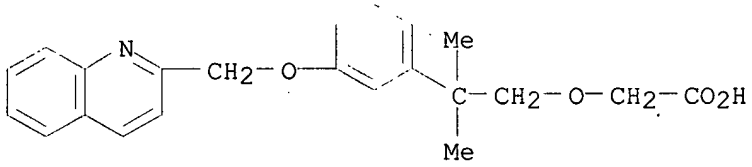
RN 304024-41-7 CAPLUS
CN Quinoline, 2-[[4-[1-[2-(1H-tetrazol-5-yl)ethyl]butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 304024-55-3 CAPLUS
CN 2,4-Pentadienoic acid, 5-[4-(phenylmethoxy)-3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 304024-62-2 CAPLUS
CN Acetic acid, [2-methyl-2-[3-(2-quinolinylmethoxy)phenyl]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12
REFERENCE(S):
(1) Dr Reddy'S Research Foundation; WO 9908501 A 1999 CAPLUS
(2) Dr Reddy'S Research Foundation; WO 9916758 A 1999 CAPLUS
(3) Imperial Chemical Industries Plc; EP 0520723 A 1992 CAPLUS
(4) Merck & Co Inc; WO 9728149 A 1997 CAPLUS
(5) Merck & Co Inc; WO 9727847 A 1997 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 11 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:83221 CAPLUS
DOCUMENT NUMBER: 132:137386
TITLE: Preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors
INVENTOR(S): Hauel, Norbert; Ries, Uwe; Priepke, Henning; Mihm, Gerhard; Wienen, Wolfgang; Stassen, Jean Marie; Binder, Klaus; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
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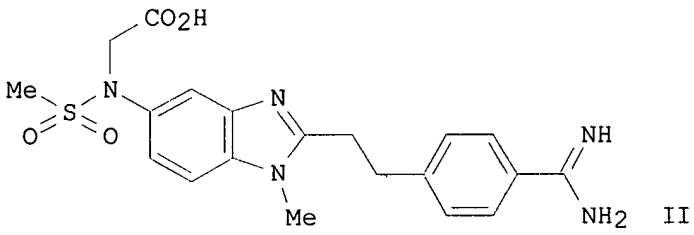
DE 19834751	A1	20000203	DE 1998-19834751	19980801

US 6121308 A 20000919 US 1999-359487 19990722
WO 2000008014 A1 20000217 WO 1999-EP5371 19990727
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9952885 A1 20000228 AU 1999-52885 19990727
EP 1100795 A1 20010523 EP 1999-938353 19990727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.: DE 1998-19834751 A 19980801
US 1998-98838 P 19980902
WO 1999-EP5371 W 19990727
OTHER SOURCE(S): MARPAT 132:137386
GI



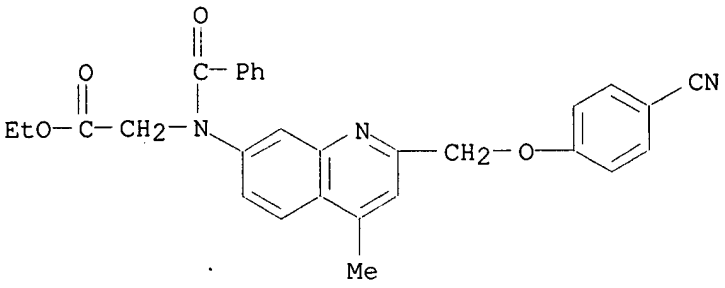
II

AB RaZ2Z1ZR [I; R = cyano or C(:NH)NHRb; Ra = (alkyl)amino, phenylalkoxy, NR4COR3, etc.; Rb = H, OH, alkyl, metabolically labile group; Z = (un)substituted (hetero)arylene; Z1 = (alkyl-substituted) CH2CH2, -OCH2, -CH2O, -NHCH2, etc.; Z2 = indole-, benzimidazole-, benzoxazole-n,2-diyl, quinolinediyl, etc.; n = 4-7] were prepd. Thus, 2-methylamino-5-nitroaniline was cyclocondensed with HO2CCH2CH2C6H4(CN)-4 and the reduced product N-substituted by, successively, MeSO2Cl and BrCH2CO2Et to give, after aminolysis and sapon., title compd. II. Data for biol. activity of I were given.

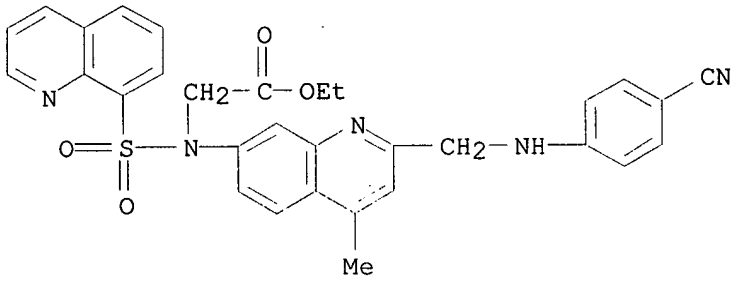
IT **256493-72-8 256493-73-9**
RL: RCT (Reactant)
(prepn. of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

RN 256493-72-8 CAPLUS

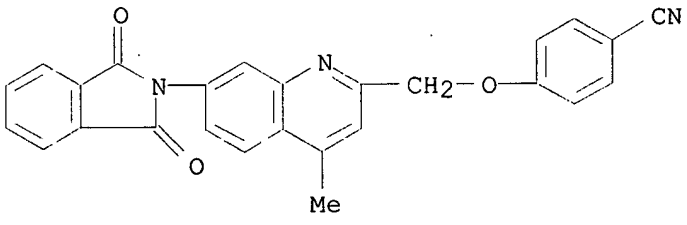
CN Glycine, N-benzoyl-N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]-, ethyl ester (9CI) (CA INDEX NAME)



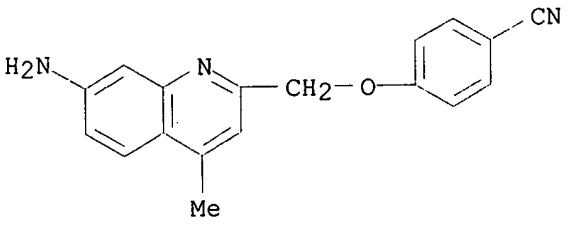
RN 256493-73-9 CAPLUS
CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-4-methyl-7-quinolinyl]-N-(8-quinolinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



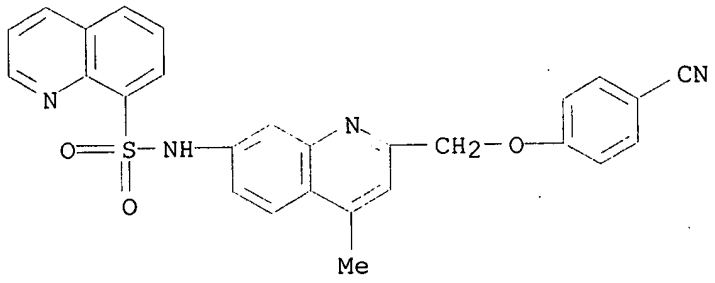
IT **256493-00-2P 256493-01-3P 256493-02-4P 256493-03-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)
RN 256493-00-2 CAPLUS
CN Benzonitrile, 4-[[7-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-4-methyl-2-quinolinyl]methoxy]- (9CI) (CA INDEX NAME)



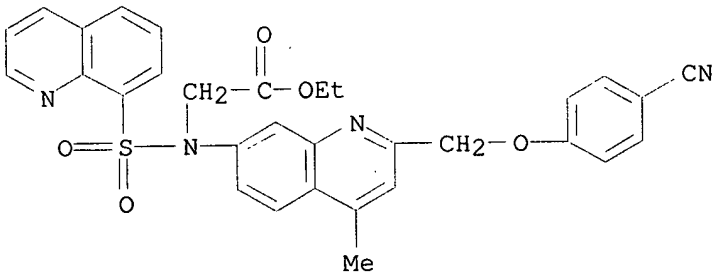
RN 256493-01-3 CAPLUS
CN Benzonitrile, 4-[(7-amino-4-methyl-2-quinolinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 256493-02-4 CAPLUS
CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]- (9CI) (CA INDEX NAME)



RN 256493-03-5 CAPLUS
CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]-N-(8-quinolinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



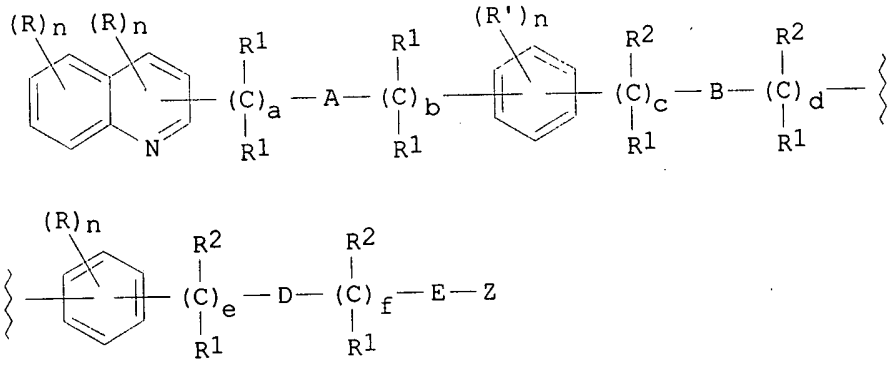
L19 ANSWER 12 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:282096 CAPLUS
DOCUMENT NUMBER: 130:320864
TITLE: PPAR-.gamma.-binding quinoline derivatives, their preparation, and their therapeutic use
INVENTOR(S): Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9920275	A1	19990429	WO 1998-US21947	19981016	
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9896961	A1	19990510	AU 1998-96961	19981016	
EP 1030665	A1	20000830	EP 1998-951075	19981016	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO					
BR 9814087	A	20001003	BR 1998-14087	19981016	
NO 2000001962	A	20000616	NO 2000-1962	20000414	
PRIORITY APPLN. INFO.:			US 1997-62318	P 19971017	
			US 1997-65902	P 19971117	
			WO 1998-US21947	W 19981016	

OTHER SOURCE(S): MARPAT 130:320864

GI



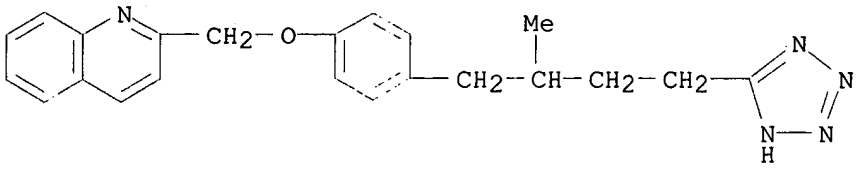
I

AB A method for mediating the activity of PPAR-.gamma. receptor comprises contacting the PPAR-.gamma. receptor with I [A = O, S, (R1)C=C(R1), bond; B = O, S, SO, SO2, NR1, bond; D = O, S, NR1, (R1)C=C(R1), bond; E = bond; a = 0-2; b = 0, 1; c = 0-4; d = 0-5; e = 0-4; f = 0-5; n = 0-2; R = H; R' = H; R1 = H; R2 = (CH2)qX, or two vicinal R2 taken together with the carbon atoms through which the two vicinal R2 are linked form cycloalkylene, etc.; q = 0-3; X = H]. Prepn. of I is described. The compds. may be used to treat cardiovascular conditions, diabetes, hyperlipidemia, hypertension, eating disorders, etc.

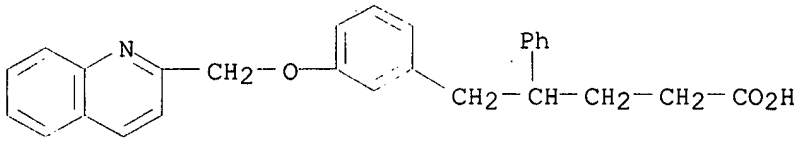
IT **114497-47-1P 129649-40-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR-.gamma.-binding quinoline deriv. prepn. and therapeutic use)

RN 114497-47-1 CAPLUS

CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 129649-40-7 CAPLUS
CN Benzenepentanoic acid, .gamma.-phenyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

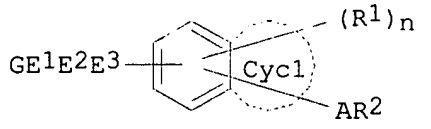


REFERENCE COUNT: 3
REFERENCE(S): (1) Asahi Glass Company Ltd; EP 0709377 A1 1996 CAPLUS
(2) Merrell Dow Pharmaceutical Inc; WO 9514669 A1 1995 CAPLUS
(3) Sterne; US 3174901 A 1965 CAPLUS

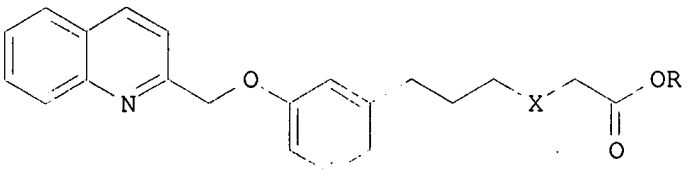
L19 ANSWER 13 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:184126 CAPLUS
DOCUMENT NUMBER: 130:237567
TITLE: Preparation of phenylalkanoic acid derivatives as peroxisome proliferator-activated receptor controllers
INVENTOR(S): Tajima, Hisao; Nakayama, Yoshisuke; Fukushima, Daikichi
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911255	A1	19990311	WO 1998-JP3760	19980825
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9887502	A1	19990322	AU 1998-87502	19980825

PRIORITY APPLN. INFO.: JP 1997-233158 19970828
JP 1997-348825 19971218
WO 1998-JP3760 19980825
OTHER SOURCE(S): MARPAT 130:237567
GI



I

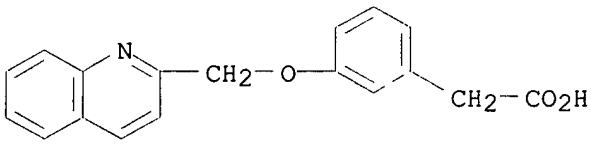


II

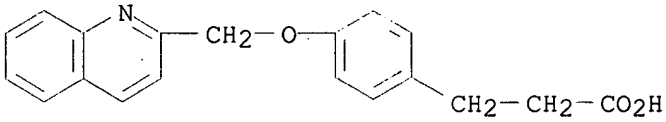
AB Claimed are peroxisome proliferator-activated receptor controllers contg. as the active ingredient compds. represented by general formula [I; R1 = C1-8 alkyl or alkoxy, halo, NO2, CF3; R2 = CO2H, C1-4 alkoxycarbonyl, 1H-tetrazol-5-yl; A = single bond, :CH, C1-8 alkylene or C2-8 alkenylene, :CH-C1-8 alkylene, or :CH-C2-8 alkenylene (wherein one of C1-8 alkylene or C2-8 alkenylene carbon atoms is optionally replaced with S, SO, SO2, O, NH, or alkyl-N); G = (un)substituted carbocyclic or heterocyclic; E1 = single bond, C1-8 alkylene, C2-8 alkenylene, C2-8 alkynylene; E2 = O, S, NH, C1-8 alkyl-N; E3 = single bond, C1-8 alkylene; n = 0,1; ring Cyc1 = absent, satd., partially satd., or unsatd. 5- to 7-membered carbocyclic ring; some provisos are given], nontoxic salts thereof, acid addn. salts thereof or hydrates of the same. Because of the activity of controlling a peroxisome proliferator-activated receptor, the compds. of general formula I are useful as hypoglycemic agents, lipid-lowering agents, HDL cholesterol-increasing agents, LDL cholesterol- and/or VLDL cholesterol-lowering agents, risk factor decreasing agents for diabetes and syndrome X, and preventives and/or remedies for diseases caused by metabolic errors, such as diabetes, obesity, syndrome X, hypercholesterolemia and hyperlipoproteinemia, hyperlipemia, arteriosclerosis, hypertension, circulatory diseases, hyperphagia, and ischemic heart diseases. Thus, 5.98 g Me 6-(3-hydroxyphenyl)hexanoate (prepn. given) was stirred with K2CO3 in DMF at room temp. for 5 min and then with 2-chloromethylquinoline hydrochloride 7.49, NaI 4.44, and Cs2CO3 8.77 g at room temp. for 3 h to give Me 6-[3-(quinolin-2-ylmethoxy)phenyl]hexanoate (II; X = CH2, R = Me). Prepn. of 329 compds. I by the solid phase method on Wang resin was also described. II (X = S, R = H) mixed in a feed was fed to mice at 159 mg/kg/day for 8 consecutive days. The blood sugar level was 431.+-.76.4, 309.4.+-.99.5, and 324.5.+-.26.6 mg/dL on day 0, 6, and 9, resp., vs. 440.7.+-.102.7, 442.6.+-.108.3, and 518.8.+-.48.6 mg/dL, resp., for the control. The blood triglyceride level was 429.2.+-.80.6, 248.8.+-.64.7, and 260.6.+-.71.2 mg/dL on day 0, 6, and 9, resp., vs. 436.1.+-.97.5, 367.6.+-.64.1, and 272.3.+-.48.2 mg/dL, resp., for the control. A tablet and an ampule formulation contg. II (X = CH2, R = H) were described.

IT **104325-55-5P 119514-99-7P 123723-94-4P**
129649-21-4P 186641-59-8P 221259-00-3P
221259-19-4P 221259-82-1P 221259-98-9P
221260-83-9P 221261-48-9P 221261-62-7P
221262-25-5P 221262-39-1P 221262-43-7P
221267-02-3P 221267-52-3P 221267-56-7P
221267-60-3P 221267-62-5P 221267-65-8P
221267-70-5P 221267-81-8P 221267-82-9P
221267-86-3P 221267-93-2P 221267-95-4P
221267-96-5P 221267-99-8P 221268-22-0P

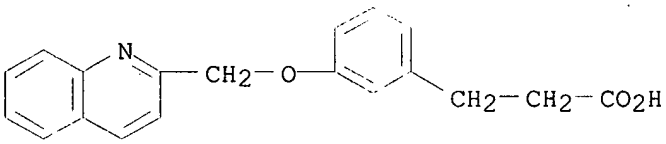
221268-73-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylalkanoic acid derivs. as peroxisome proliferator-activated receptor controllers for treatment of diseases)
RN 104325-55-5 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



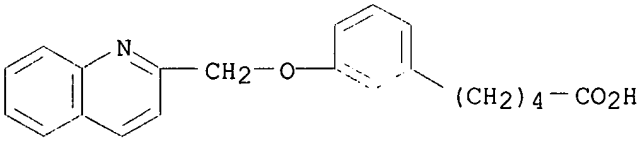
RN 119514-99-7 CAPLUS
CN Benzenepropanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 123723-94-4 CAPLUS
CN Benzenepropanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

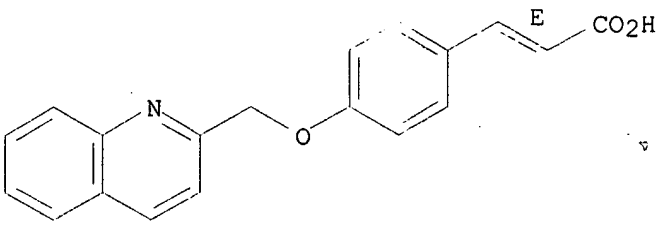


RN 129649-21-4 CAPLUS
CN Benzenepentanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

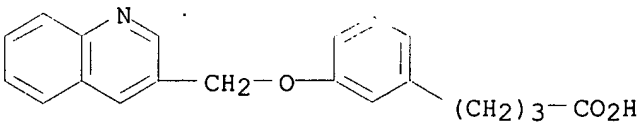


RN 186641-59-8 CAPLUS
CN 2-Propenoic acid, 3-[4-(2-quinolinylmethoxy)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

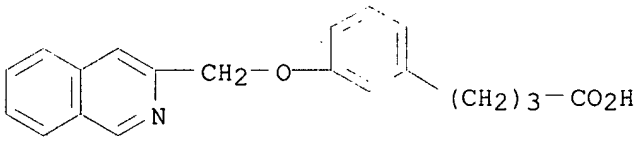
Double bond geometry as shown.



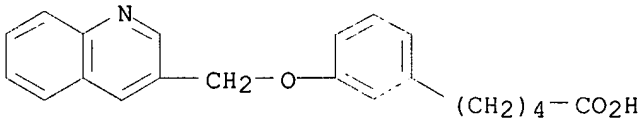
RN 221259-00-3 CAPLUS
CN Benzenebutanoic acid, 3-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



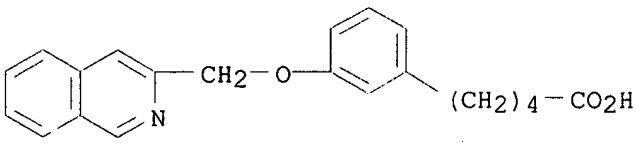
RN 221259-19-4 CAPLUS
CN Benzenebutanoic acid, 3-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)



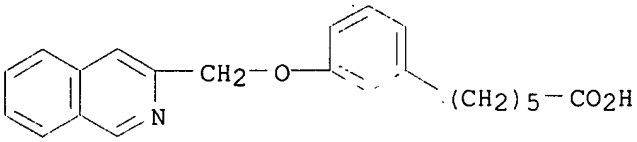
RN 221259-82-1 CAPLUS
CN Benzenepentanoic acid, 3-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



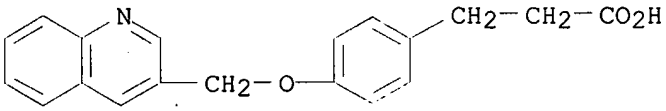
RN 221259-98-9 CAPLUS
CN Benzenepentanoic acid, 3-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)



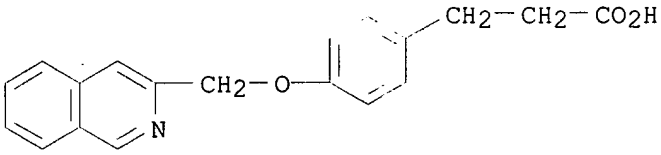
RN 221260-83-9 CAPLUS
CN Benzenehexanoic acid, 3-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)



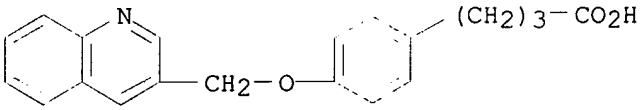
RN 221261-48-9 CAPLUS
CN Benzenepropanoic acid, 4-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



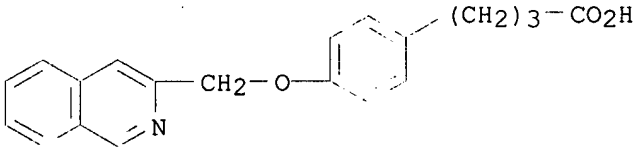
RN 221261-62-7 CAPLUS
CN Benzenepropanoic acid, 4-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)



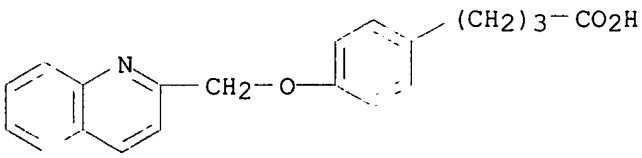
RN 221262-25-5 CAPLUS
CN Benzenebutanoic acid, 4-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 221262-39-1 CAPLUS
CN Benzenebutanoic acid, 4-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)

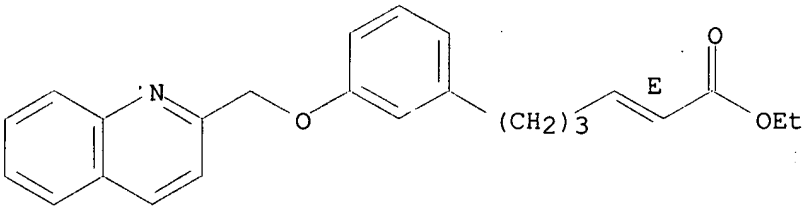


RN 221262-43-7 CAPLUS
CN Benzenebutanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

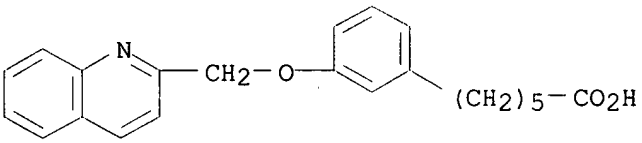


RN 221267-02-3 CAPLUS
CN 2-Hexenoic acid, 6-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

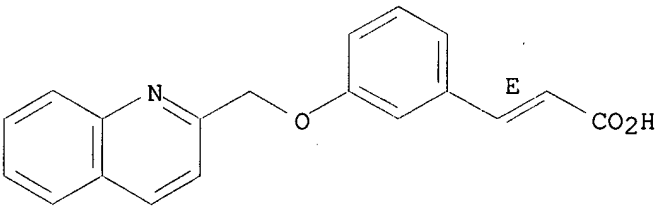


RN 221267-52-3 CAPLUS
CN Benzenehexanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

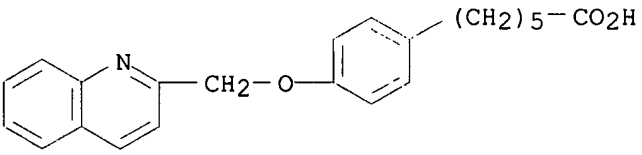


RN 221267-56-7 CAPLUS
CN 2-Propenoic acid, 3-[3-(2-quinolinylmethoxy)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

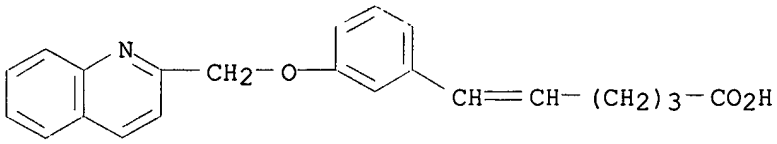
Double bond geometry as shown.



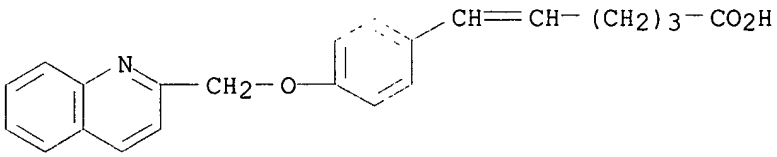
RN 221267-60-3 CAPLUS
CN Benzenehexanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



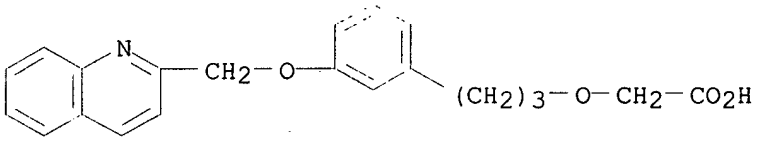
RN 221267-62-5 CAPLUS
CN 5-Hexenoic acid, 6-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



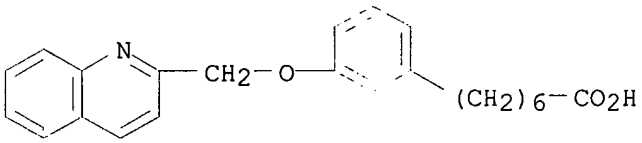
RN 221267-65-8 CAPLUS
CN 5-Hexenoic acid, 6-[4-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



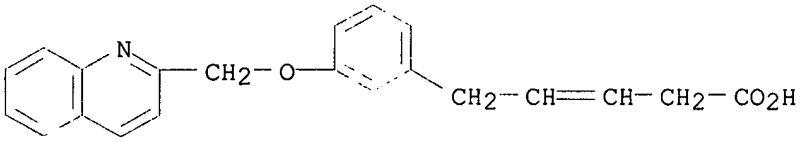
RN 221267-70-5 CAPLUS
CN Acetic acid, [3-[3-(2-quinolinylmethoxy)phenyl]propoxy]- (9CI) (CA INDEX NAME)



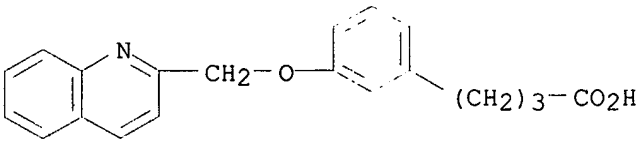
RN 221267-81-8 CAPLUS
CN Benzeneheptanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



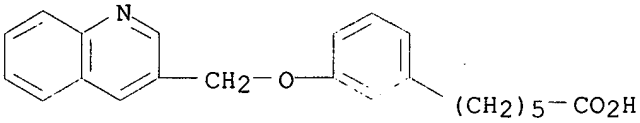
RN 221267-82-9 CAPLUS
CN 3-Pentenoic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



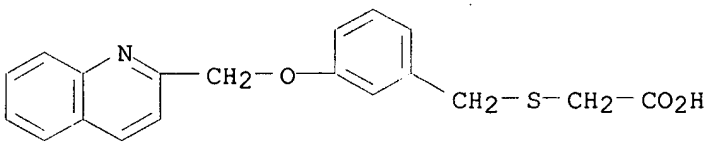
RN 221267-86-3 CAPLUS
CN Benzenebutanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 221267-93-2 CAPLUS
CN Benzenehexanoic acid, 3-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

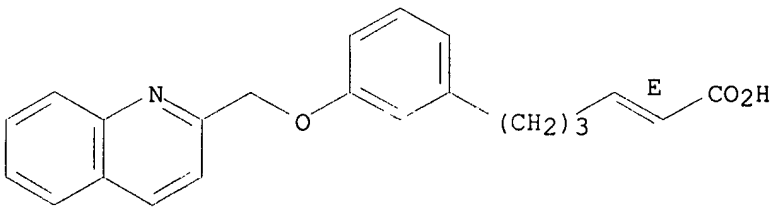


RN 221267-95-4 CAPLUS
CN Acetic acid, [[[3-(2-quinolinylmethoxy)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)

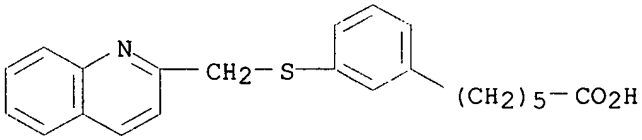


RN 221267-96-5 CAPLUS
CN 2-Hexenoic acid, 6-[3-(2-quinolinylmethoxy)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

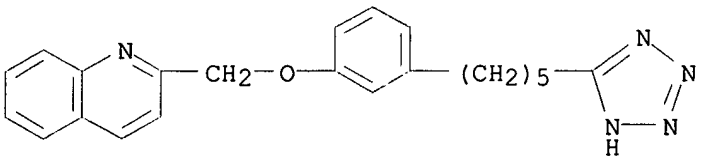
Double bond geometry as shown.



RN 221267-99-8 CAPLUS
CN Benzenehexanoic acid, 3-[(2-quinolinylmethyl)thio]- (9CI) (CA INDEX NAME)

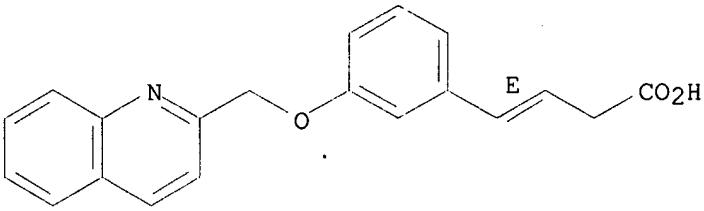


RN 221268-22-0 CAPLUS
CN Quinoline, 2-[[3-[5-(1H-tetrazol-5-yl)pentyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 221268-73-1 CAPLUS
CN 3-Butenoic acid, 4-[3-(2-quinolinylmethoxy)phenyl]-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



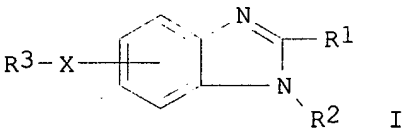
REFERENCE COUNT: 15
REFERENCE(S): (1) Merck & Co Inc; WO 9827974 A1 1998 CAPLUS
(2) Merck & Co Inc; WO 9727847 A1 1997 CAPLUS
(3) Merck & Co Inc; WO 9727857 A1 1997 CAPLUS
(4) Merck & Co Inc; WO 9728137 A1 1997 CAPLUS
(5) Ono Pharmaceutical Co Ltd; JP 07215929 A CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 14 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:34901 CAPLUS
DOCUMENT NUMBER: 130:95550
TITLE: Preparation of benzimidazole derivatives having blood sugar-lowering (hypoglycemic) and phosphodiesterase 5 (PDE5)-inhibitory activities
INVENTOR(S): Yamasaki, Noritsugu; Imoto, Takafumi; Oku, Teruo; Katayama, Akira; Kayakiri, Hiroshi; Onomura, Osamu; Hiramura, Takahiro; Nishikawa, Masahiro; Sawada, Hitoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

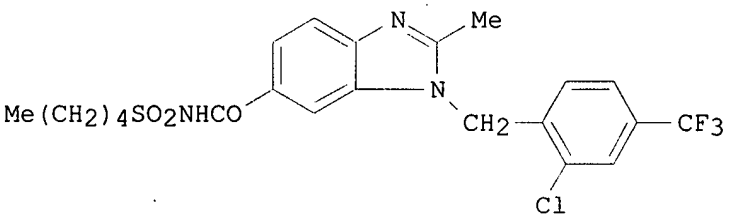
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9900373	A1	19990107	WO 1998-JP2885	19980626

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9879346 A1 19990119 AU 1998-79346 19980626
ZA 9805598 A 19990125 ZA 1998-5598 19980626
BR 9811273 A 20000718 BR 1998-11273 19980626
EP 1020452 A1 20000719 EP 1998-929723 19980626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
PRIORITY APPLN. INFO.: JP 1997-187696 A 19970627
JP 1998-76357 A 19980325
WO 1998-JP2885 W 19980626
OTHER SOURCE(S): MARPAT 130:95550
GI



I

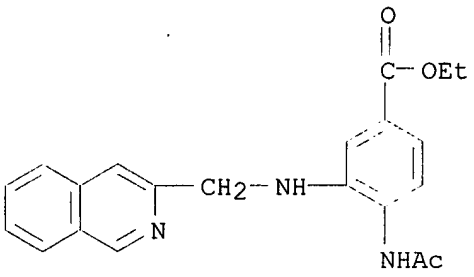


II

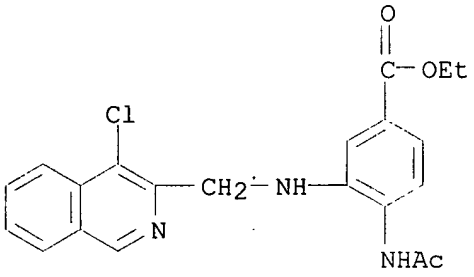
AB New benzimidazole derivs. of general formula (I; R1 = H, lower alkyl, alkoxy, or alkylthio; R2 = arom. ring-contg. lower alkyl which may be substituted; R3 = alkyl, hydroxy-lower alkyl, alkenyl, heterocyclyl, haloaryl, lower alkylaryl, lower alkenylaryl, aryl-lower alkyl, aryl-lower alkenyl; X = NHSO2NHCO, SO2 NHNHCO, SO2NHCONH, SO2 NHCO, NHCONH) or salts thereof are prepd. These compds. are useful for the treatment or prevention of impaired glucose tolerance, diabetes, complication of diabetes, insulin resistant syndrome, polycystic ovarian syndrome, hyperlipidemia, atherosclerosis, cardiovascular diseases, hyperglycemia, hypertension, angina pectoris, pulmonary hypertension, congestive heart failure, glomerular diseases, renal tubular interstitial diseases, renal insufficiency, angiostenosis, peripheral vascular diseases, cerebral stroke, chronic reversible obstructive diseases, autoimmune diseases, allergic rhinitis, urticaria (hives), glaucoma, intestinal motility disorders, sexual impotence, nephritis, cachexia, or post-percutaneous transluminal coronary angioplasty (PTCA) reconstriction. Thus, 6-carboxy-1-[2-chloro-4-(trifluoromethyl)benzyl]-2-methylbenzimidazole was stirred with N,N'-carbonyl diimidazole in DMF at room temp. 1.5 h and then condensed with 1-pentanesulfonamide at 100.degree. for 6.5 h to give the title compd. (II). When a feed contg. 0.01% II was fed to mice twice per wk for 14 days, the serum glucose and triglyceride levels lowered by 44 and 77%, resp.

IT **219572-32-4P 219572-35-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzimidazole derivs. having blood sugar-lowering (hypoglycemic) and phosphodiesterase 5 (PDE5)-inhibitory activities as

drugs)
RN 219572-32-4 CAPLUS
CN Benzoic acid, 4-(acetylamino)-3-[(3-isoquinolinylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219572-35-7 CAPLUS
CN Benzoic acid, 4-(acetylamino)-3-[[(4-chloro-3-isoquinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



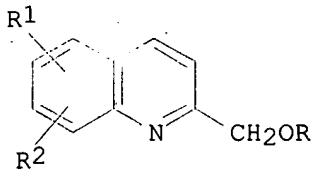
REFERENCE COUNT: 19
REFERENCE(S): (1) Dr Karl Thomae Gmbh; CA 2060624 A CAPLUS
(3) Dr Karl Thomae Gmbh; DE 4103492 A CAPLUS
(4) Dr Karl Thomae Gmbh; DE 4117121 A CAPLUS
(5) Dr Karl Thomae Gmbh; DE 4224133 A CAPLUS
(6) Dr Karl Thomae Gmbh; EP 502314 A CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 15 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:781887 CAPLUS
DOCUMENT NUMBER: 132:3324
TITLE: Quinolinylmethoxyaryl compounds as dual inhibitors of cyclooxygenase and 5-lipoxygenase
INVENTOR(S): Laufer, Stefan; Neher, Karda
PATENT ASSIGNEE(S): Merckle G.m.b.H., Germany
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

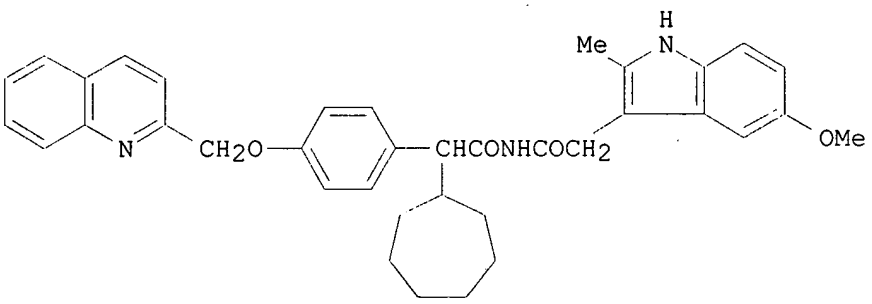
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19823722	A1	19991202	DE 1998-19823722	19980527

OTHER SOURCE(S): MARPAT 132:3324

GI



I



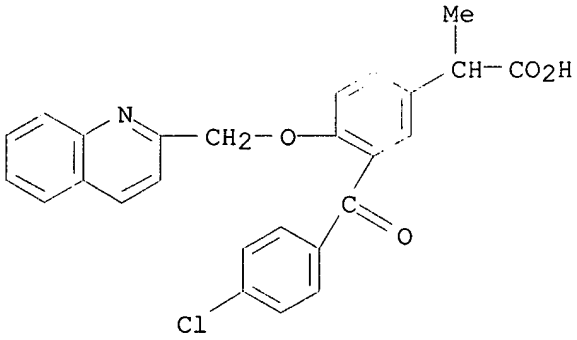
II

AB Title compds. I [R = substituted Ph; R1, R2 = H, alkyl, alkoxy, halogen] were prepd. Thus, 2-[4-(2-quinolylmethoxy)phenyl]-2-cycloheptylacetic acid was amidated and treated with 5-methoxy-2-methyl-1H-indol-3-ylacetic acid to give the diamide II. II had IC50 for cyclooxygenase-1 and lipoxygenase inhibition of 8.0 and 0.034 .mu.M resp.

IT **251297-78-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolinylmethoxyphenylacetamides as cyclooxygenase and lipoxygenase inhibitors)

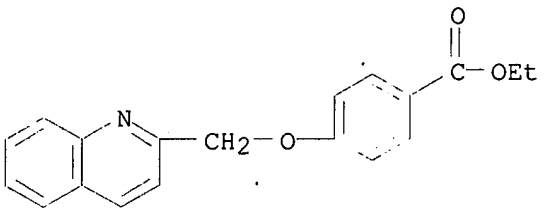
RN 251297-78-6 CAPLUS

CN Benzeneacetic acid, 3-(4-chlorobenzoyl)-.alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

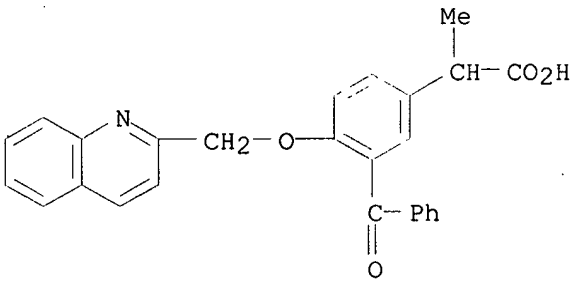


IT **251297-51-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of quinolinylmethoxyphenylacetamides as cyclooxygenase and lipoxygenase inhibitors)

RN 251297-51-5 CAPLUS
CN Benzoic acid, 4-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



IT **251297-76-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolinylmethoxyphenylacetamides as cyclooxygenase and lipoxygenase inhibitors)
RN 251297-76-4 CAPLUS
CN Benzeneacetic acid, 3-benzoyl-.alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 16 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:27808 CAPLUS
DOCUMENT NUMBER: 130:81527
TITLE: Preparation of novel amidrazone derivatives having antifungal activity
INVENTOR(S): Kageyama, Shunji; Kontani, Toru; Fujii, Masahiro; Igarashi, Kiyoshi; Yamamoto, Osamu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858905	A1	19981230	WO 1998-JP2817	19980624

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

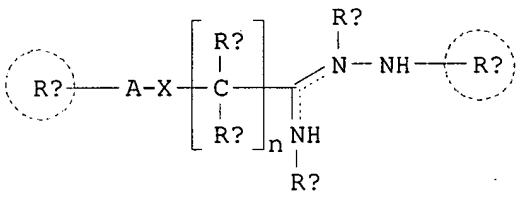
AU 9879330 A1 19990104 AU 1998-79330 19980624

PRIORITY APPLN. INFO.: JP 1997-168354 19970625

WO 1998-JP2817 19980624

OTHER SOURCE(S): MARPAT 130:81527

GI



I

AB Amidrazone derivs. of formula [I; wherein the ring Ra represents: (1) an optionally substituted monocyclic to tricyclic arom. hydrocarbon, (2) an optionally substituted monocyclic to tricyclic satd. or unsatd. hetero ring contg. one or more hetero atoms selected from N, O and S, (3) an optionally substituted and optionally cross-linked cycloalkyl, or (4) an optionally substituted and optionally cross-linked cycloalkenyl; the ring Rb represents (1) an optionally substituted monocyclic to tricyclic arom. hydrocarbon or (2) an optionally substituted monocyclic to tricyclic satd. or unsatd. hetero ring contg. one or more hetero atoms selected from N, O and S; one of Rc and Rd represents H and the other is not present; Re represents H or OH; Rf represents H or lower alkyl, or YRa1; the dotted line "...." represents a single bond or a double bond; n is 1 to 8; A represents a bond or a lower alkylene optionally substituted by a lower alkyl; and X represents a bond, CO, CO2, CONRg, COCONRg1, CH:CHCONRg2, NRg3, NRg4CO, NRg5CO2, NRg6CONRg7, O, O2C, O2CNRg8, OCH2CONRg9, S, SO, SO2, SO2NRg10, or SO2NRg11CO; wherein Rg and Rg1 - Rg11 represent H, lower alkyl, or YRa2; Ra1 and Ra2 represents the same group as Ra; Y represents a single bond, CH2, or CO; a proviso given] or pharmaceutically acceptable salts thereof are prepd. Also claimed are pharmaceutical compns. thereof and a method for prevention or treatment of fungal or deep fungal infection by administration of I. These compds. I are useful for the treatment or prevention of fungal infection, in particular, deep fungal infection attributable to fungi, such as Candida, Aspergillus, and Cryptococcus. Thus, 2-(2-chloro-5-fluoro-6-oxo-1,6-dihydropyrimidin-1-yl)acetonitrile was treated with EtOH and HCl(g) in CHCl3 at 5.degree. for 2 days to give a crude imidate which was condensed with 4-chlorophenylhydrazine hydrochloride in EtOH in the presence of EtONa at room temp. overnight to give the title compd., 2-pyrimidinyl-N-phenylacetamidrazone (II). II showed 80% min. inhibitory concn. of 0.31, 0.31, and 0.63 .mu.g/mL against Candida albicans TIMM1768, Cryptococcus neoformans TIMM0362, and Aspergillus fumigatus TIMM1776, resp.

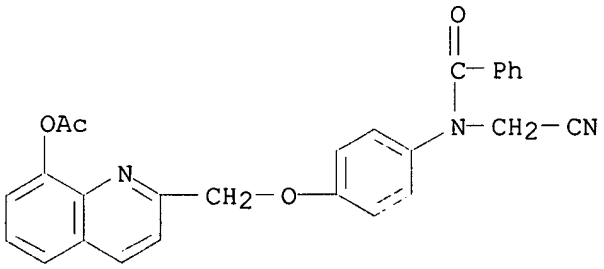
IT **218922-28-2**

RL: RCT (Reactant)

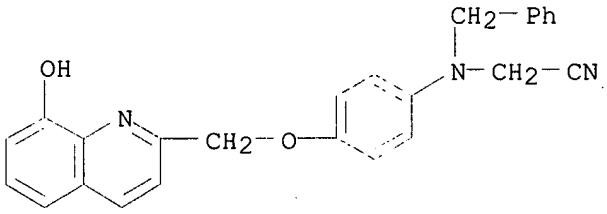
(prepn. of novel amidrazone derivs. having antifungal activity)

RN 218922-28-2 CAPLUS

CN Benzamide, N-[4-[[8-(acetyloxy)-2-quinolinyl]methoxy]phenyl]-N-(cyanomethyl)- (9CI) (CA INDEX NAME)



IT **218920-87-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of novel amidrazone derivs. having antifungal activity)
RN 218920-87-7 CAPLUS
CN Acetonitrile, [[4-[(8-hydroxy-2-quinolinyl)methoxy]phenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6
REFERENCE(S):
(1) Fraser, J; J Chem Soc Perkin Trans 1 1975, 22, P2280 CAPLUS
(2) Fraser, J; J Chem Soc Perkin Trans 1 1977, 6, P646 CAPLUS
(4) Montedison Spa; FR 2245620 A1 CAPLUS
(5) Montedison Spa; IL 45376 A CAPLUS
(6) Montedison Spa; DE 2436544 A1 1975 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

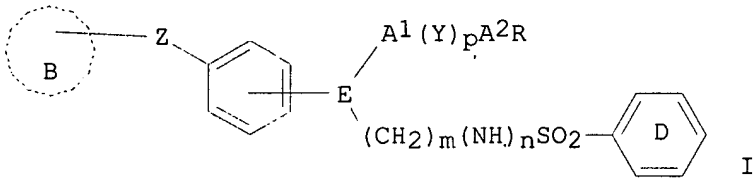
L19 ANSWER 17 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:163568 CAPLUS
DOCUMENT NUMBER: 128:204814
TITLE: Preparation of quinoline moiety-containing benzenesulfone derivatives as leukotriene and thromboxane A2 antagonists
INVENTOR(S): Yokota, Masaki; Kawazoe, Souichirou; Okamoto, Yoshinori; Kubota, Hirokazu; Naito, Ryo; Arakida, Yasuhito
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Yokota, Masaki; Kawazoe, Souichirou; Okamoto, Yoshinori; Kubota, Hirokazu; Naito, Ryo; Arakida, Yasuhito
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9808820	A1	19980305	WO 1997-JP2934	19970825	
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9738684	A1	19980319	AU 1997-38684	19970825	
PRIORITY APPLN. INFO.:			JP 1996-224236	19960826	
			WO 1997-JP2934	19970825	

OTHER SOURCE(S): MARPAT 128:204814

GI



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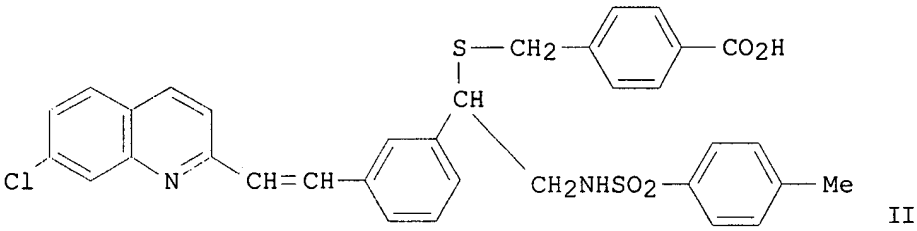


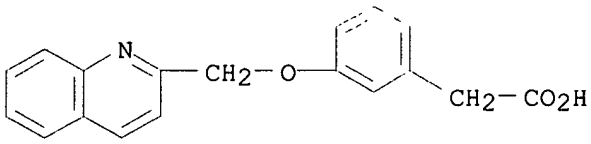
II

AB The title compds. I [ring B represents an optionally substituted quinolyl group;ring D represents an optionally substituted Ph group; E represents CHX, etc.; one of A1 and A2 represents an optionally substituted methylene group or an optionally substituted ethylene group with the other representing a single bond, an optionally substituted methylene group, or an optionally substituted ethylene group; a proviso is given; X represents an oxygen atom or a sulfur atom; Y represents an optionally substituted phenylene group, an optionally substituted phenyleneoxy group, etc.; Z represents CH:CH, CH2CH2, CH2O, or OCH2; R represents a carboxyl group or tetrazolyl group which may be optionally substituted with an ester residue; p, n are each 0 or 1; and m represents 1, 2, or 3] are prepd. I are useful in the treatment of asthma. In an in vitro test for inhibiting activity against the contraction of guinea pig ileum induced by leukotriene D4 (LTD4) (10-9 M), the title compd. II showed IC50 of 0.00036 .mu.M. In an in.vitro test for inhibition of platelet aggregation induced by U-46619 (thromboxane A2 analog) (10-6 M), II showed IC50 of 0.45 .mu.M.

IT **104325-55-5**
RL: RCT (Reactant)
(prepn. of quinoline moiety-contg. benzenesulfone derivs. as leukotriene and thromboxane A2 antagonists)

RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

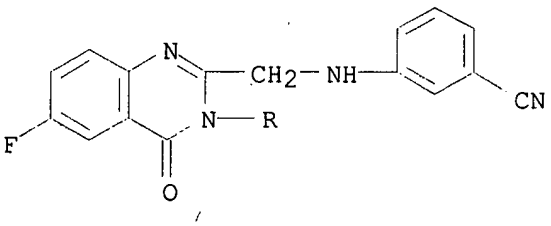


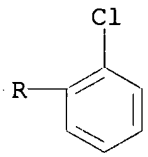
L19 ANSWER 18 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:3410 CAPLUS
DOCUMENT NUMBER: 130:66507
TITLE: Quinazolin-4-one AMPA antagonists
INVENTOR(S): Chenard, Bertrand Leo; Reinhold, Anthony Ronald; Welch, Willard McKowan
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 884310	A1	19981216	EP 1998-304319	19980601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2240138	AA	19981209	CA 1998-2240138	19980605
JP 11012255	A2	19990119	JP 1998-160821	19980609
BR 9801808	A	20000321	BR 1998-1808	19980609

PRIORITY APPLN. INFO.: US 1997-49082 P 19970609
US 1997-53274 P 19970721
OTHER SOURCE(S): MARPAT 130:66507
GI For diagram(s), see printed CA Issue.
AB Prepn. of quinazolin-4-one derivs. I [A = benzo- or thieno-fused arom. ring; B = Ph, pyridyl, pyrimidyl; X = N, CH; YZ = CH2NH, NHCH2; R1 = H, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, cyano, halo, amino, nitro and (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms; R2 = halo, cyano, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, nitro, amino, (C1-C6)alkylthio, (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms, hydroxy, etc.; R3, R4 = H, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, halo, cyano, hydroxy (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms, etc.] and the use of such compds. to treat neurodegenerative, psychotropic, and drug and alc. induced central and peripheral nervous system disorders (no data), are described. E.g., reaction of 3-(2-chloropyridin-3-yl)-6-fluoro-3,4-dihydroquinazolin-4-one-2-carboxaldehyde and anthranilonitrile gave an intermediate imine, which was reduced to give 2-[[3-(2-chloropyridin-3-yl)-6-fluoro-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]amino]benzonitrile.
IT **217942-52-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolinone as AMPA antagonists)
RN 217942-52-4 CAPLUS
CN Benzonitrile, 3-[[[3-(2-chlorophenyl)-6-fluoro-3,4-dihydro-4-oxo-2-

quinazolinyl]methyl]amino]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 4
REFERENCE(S): (1) Merck, S; EP 0459561 A 1991 CAPLUS
(2) Merck, S; EP 0481676 A 1992 CAPLUS
(3) Merck, S; WO 9311115 A 1993 CAPLUS
(4) Sandoz Ltd; WO 9519346 A 1995 CAPLUS

L19 ANSWER 19 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:31302 CAPLUS
DOCUMENT NUMBER: 128:75390
TITLE: Preparation of quinoline and benzothiazole derivatives having affinity to nuclear hormone receptors
INVENTOR(S): Kerwin, Sean; Hurley, Laurence H.; DeLuca, Mark R.; Moore, Bob M., III
PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA; Kerwin, Sean; Hurley, Laurence H.; DeLuca, Mark R.; Moore, Bob M., III
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748694	A1	19971224	WO 1997-US10643	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2258822	AA	19971224	CA 1997-2258822	19970620
AU 9737917	A1	19980107	AU 1997-37917	19970620
AU 727708	B2	20001221		
EP 912549	A1	19990506	EP 1997-934849	19970620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1226245	A	19990818	CN 1997-196755	19970620

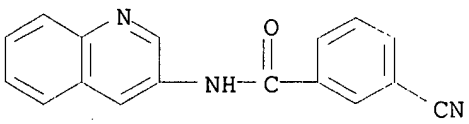
JP 2000514048 T2 20001024 JP 1998-503338 19970620
NO 9805975 A 19990218 NO 1998-5975 19981218
PRIORITY APPLN. INFO.: US 1996-16088 P 19960620
WO 1997-US10643 W 19970620
OTHER SOURCE(S): MARPAT 128:75390
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

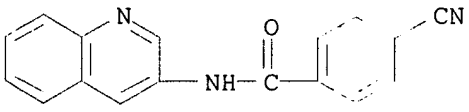
AB The present invention relates to pharmacol. active compds. represented by, e.g. quinoline derivs. (I) and benzothiazole derivs. (II) [wherein L = Q, N:N, SCH2, O2C, NR6CO, CH2CH(OR7), single bond ; Z = Q1, Q2, Q3; R1 = H, OH, C1-4 alkyl, alkoxy, or alkylthio, halo, C1-12 alkyl-carbonyloxy; R2, R3 = H, OH, halo, C1-6 alkyl, alkenyl, or alkoxy, C1-12 alkyl-carbonyloxy; R4 = H, OH, halo, C1-6 alkyl or alkoxy, C1-12 alkyl-carbonyloxy; R5 = H, halo, C1-6 alkyl or alkoxy, OAc, phthalimide, C1-12 alkyl-carbonyloxy; R6 = H, OH, NH2, C1-4 alkyl or alkoxy; R7 = H, C1-4 alkyl, C1-4 alkyl-carbonyl, C7-10 arylalkyl; R8 = H, OH, halo, CF3, C1-4 haloalkyl, C1-4 alkyl or alkoxy, NHAc, di(C1-4 alkyl)amino; R9 = H, OH, halo, cyano, NO2, C1-4 haloalkyl, C1-8 alkyl, C1-8 alkoxy, NHAc, OAc; R10 = H, OH, halo, cyano, NO2, C1-4 haloalkyl, CO2H, C1-12 alkyl or alkoxy, Ph, C1-12 alkyl, etc.; R11 = H, OH, C1-4 haloalkyl, CF3, C1-4 alkyl, NH2, C1-4 alkoxy, NHAc, C1-4 alkenyl, etc.; R12, R13 = H, OH, halo, NH2, C1-4 alkyl or alkoxy, di(C1-4 alkyl)amino] which are capable of binding to nuclear hormone receptors and are useful for the stimulation of osteoblast proliferation and ultimately bone growth (no data). This invention also relates to the use of such compds. for the treatment or prevention of diseases and/or disorders assocd. with nuclear hormone receptor families. Thus, a soln. of 2-aminobenzothiazole and pyridine in CH2Cl2 was treated with 2,4-dimethoxybenzoyl chloride and stirred at 25.degree. for 30 min to give 80% 2-(2,4-dimethoxybenzamido)benzothiazole.

IT **200726-30-3P**, 3-[(3-Cyanobenzoyl)amino]quinoline
200726-31-4P, 3-[(4-Cyanobenzoyl)amino]quinoline
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinoline and benzothiazole derivs. having affinity to nuclear hormone receptors for stimulation of osteoblast proliferation and bone growth)

RN 200726-30-3 CAPLUS
CN Benzamide, 3-cyano-N-3-quinolinyl- (9CI) (CA INDEX NAME)



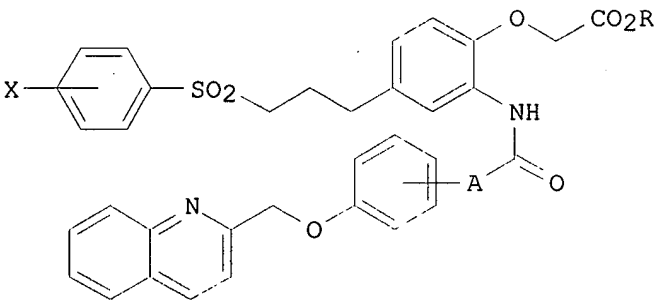
RN 200726-31-4 CAPLUS
CN Benzamide, 4-cyano-N-3-quinolinyl- (9CI) (CA INDEX NAME)



L19 ANSWER 20 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:140201 CAPLUS
DOCUMENT NUMBER: 126:171492
TITLE: Preparation of phenoxyacetic acid derivatives as allergy inhibitors
INVENTOR(S): Tatsugami, Shinichi; Tajima, Atsumi; Koyama, Shingo
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09012554	A2	19970114	JP 1995-166121	19950630

OTHER SOURCE(S): MARPAT 126:171492
GI



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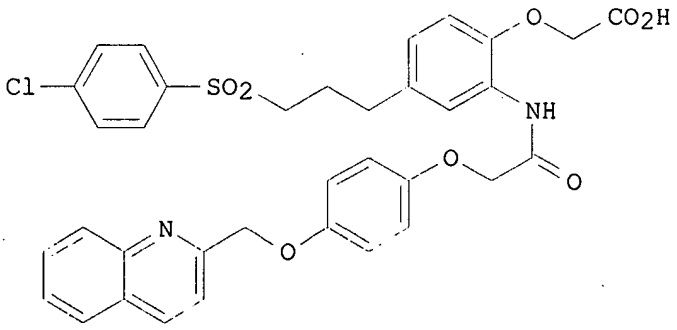


II

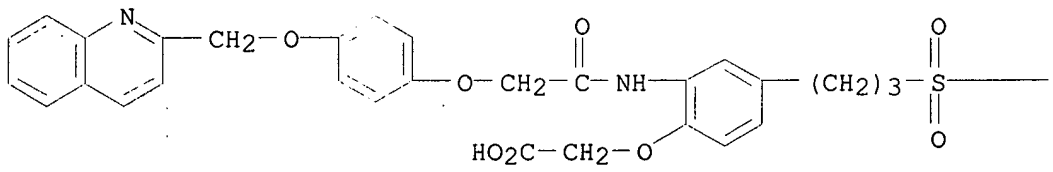
AB The title compds. [I; X = H, OH, halo, NO2, CF3, lower alkyl or alkoxy; R = H, lower alkyl; A = O(CH2)m, (CH2)m, (CH:CH)m, (CH2)mCONH(CH2)n, (CH2)mNHCO(CH2)n; m, n = 0-2] are prepd. I, possessing thromboxane A2 (TXA2) and leukotriene D4 (LTD4) antagonism, are useful as allergy inhibitors for prevention and treatment of allergic inflammation diseases such as myocardial infarction, bronchial asthma, and so on. Thus, N-[5-[3-(4-chlorobenzenesulfonyl)propyl]-2-(hydroxy)phenyl]-2-[4-(2-quinolylmethoxy)phenoxy]acetamide was reacted with BrCH2CO2Et in the presence of K2CO3 and then treated with aq. LiOH to give the title compd.

(II). II showed IC50 of 1.1 X 10-9 and 3.0 X 10-9 M against TXA2 and LTD4 resp. when tested on mouse in vitro.

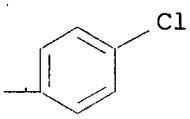
IT **186641-30-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenoxyacetic acid derivs. as allergy inhibitors)

RN 186641-30-5 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[4-(2-quinolinylmethoxy)phenoxy]acetyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

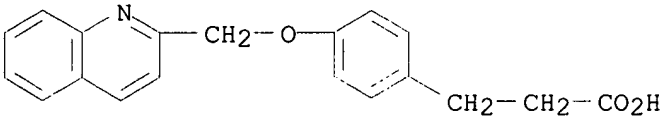


PAGE 1-B

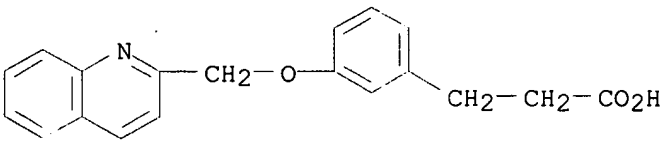


IT **119514-99-7 123723-94-4 186641-58-7 186641-59-8**
RL: RCT (Reactant)
(prepn. of phenoxyacetic acid derivs. as allergy inhibitors)

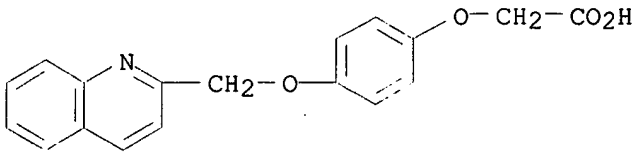
RN 119514-99-7 CAPLUS
CN Benzenepropanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 123723-94-4 CAPLUS
CN Benzenepropanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

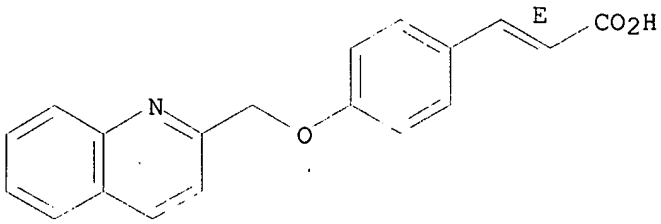


RN 186641-58-7 CAPLUS
CN Acetic acid, [4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 186641-59-8 CAPLUS
CN 2-Propenoic acid, 3-[4-(2-quinolinylmethoxy)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

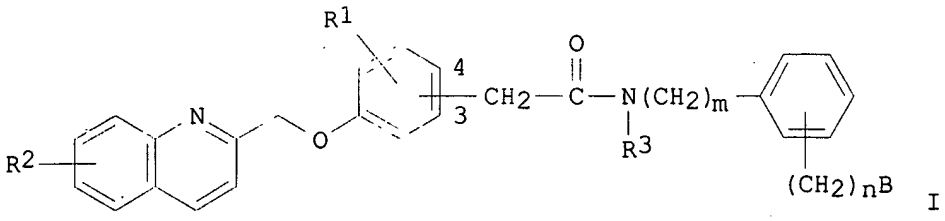
Double bond geometry as shown.



L19 ANSWER 21 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:356970 CAPLUS
DOCUMENT NUMBER: 125:33485
TITLE: Preparation of (quinolinylmethoxy)phenylacetamides having leukotriene-antagonistic action
INVENTOR(S): Mauleon Casellas, David; Carganico, Germano; Garcia Perez, Maria Luisa; Fos Torro, Ma. De Los Desamparados
PATENT ASSIGNEE(S): Laboratorios Menarini S.A., Spain
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604246	A1	19960215	WO 1995-EP2958	19950726
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ES 2103180	A1	19970816	ES 1994-1695	19940801
ES 2103180	B1	19980401		
AU 9532219	A1	19960304	AU 1995-32219	19950726

PRIORITY APPLN. INFO.: ES 1994-1695 19940801
WO 1995-EP2958 19950726
OTHER SOURCE(S): MARPAT 125:33485
GI

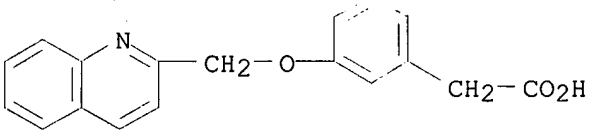


I

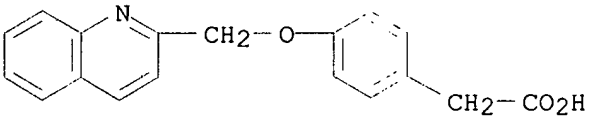
AB The title compds. [I; CONR3 is bound to the 3- or 4-position of the phenol system; R1 = hydrogen, fluorine, chlorine, OCH3; R2 = hydrogen, fluorine, chlorine, bromine; R3 = hydrogen, methyl; B = 5-tetrazolyl, COOR4; R4 = hydrogen, a C1-4 alkyl, phenylalkyl group of less than 10 carbon atoms; m, n = 0-6, such that m + n = .ltoreq.6], which have leukotriene-antagonist activity useful for the treatment of inflammation (no data), allergies (no data), or cardiovascular diseases (no data), are prepd. Thus, 4-[4-[4-(2-quinolinylmethoxy)phenylacetamido]phenyl]butanoic acid, which was prepd. from its Me ester, demonstrated a Ki of 7.3 .+-. 3.6 nM for the inhibition of [3H]-LTD4 receptor binding.

IT **104325-55-5P 121289-78-9P 177595-32-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (quinolinylmethoxy)phenylacetamides having leukotriene-antagonistic action)

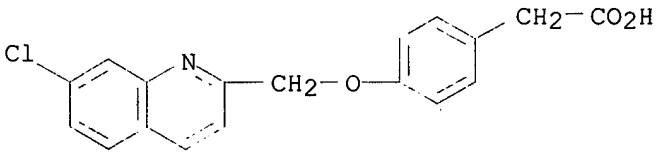
RN 104325-55-5 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 121289-78-9 CAPLUS
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



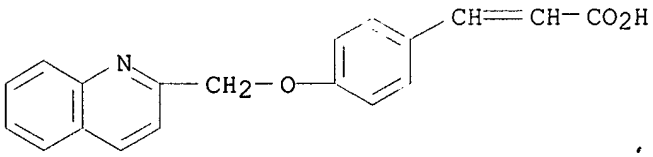
RN 177595-32-3 CAPLUS
CN Benzeneacetic acid, 4-[(7-chloro-2-quinolinyl)methoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 22 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:409679 CAPLUS
DOCUMENT NUMBER: 125:58119
TITLE: Preparation of hydroxamic acids and pharmaceutical preparations containing them
INVENTOR(S): Isozaki, Masashi; Kasukawa, Hiroaki
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08092191	A2	19960409	JP 1994-229874	19940926

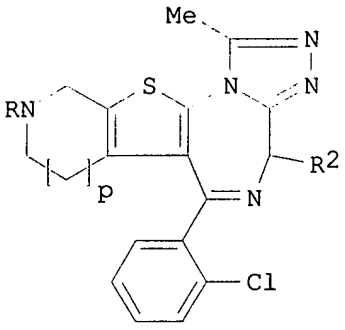
OTHER SOURCE(S): MARPAT 125:58119
AB P-R1C6H4CH:CHC(O)N(OM)CHR2Ph (I; R1 = Ph, aryl(C1-4 alkoxy); R2 = C1-4 alkyl; M = H, aroyl, C1-4 alkyl, aryl(C1-4 alkyl), C1-4 alkoxycarbonyl, cation) are prepd. as smooth muscle cell proliferation inhibitors. 4-Phenylcinnamic acid was chlorinated by SOCl2 and treated with O-benzyl-(1-phenylethyl)hydroxylamine and NEt3 in CH2Cl2 at room temp. to give 58.7% I (R1 = Ph, R2 = Me, M = CH2Ph), which was treated with BCl3 in CH2Cl2 at room temp. to give 40.9% I (R1 = Ph, R2 = Me, M = H) (II). II inhibited rat aorta smooth muscle cell proliferation with IC50 4.8 .times. 10-7 mol.
IT **178406-63-8**
RL: RCT (Reactant)
(prepn. of hydroxamic acids as smooth muscle cell proliferation inhibitors and pharmaceuticals contg. them)
RN 178406-63-8 CAPLUS
CN 2-Propenoic acid, 3-[4-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 23 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:299925 CAPLUS
DOCUMENT NUMBER: 122:81418
TITLE: Preparation of N-[(quinolylmethoxy)benzoyl]pyridothienotriazolodiazepines and analogs as PAF antagonists and/or 5-lipoxygenase inhibitors
INVENTOR(S): Carceller, Elena; Recasens, Nuria; Almansa, Carmen; Bartroli, Javier
PATENT ASSIGNEE(S): J. Uriach y Cia. S.A., Spain
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 624588	A1	19941117	EP 1994-107134	19940506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ES 2061406	A1	19941201	ES 1993-982	19930507
ES 2061406	B1	19950601		
CA 2123057	AA	19941108	CA 1994-2123057	19940506
JP 07002868	A2	19950106	JP 1994-119520	19940509

PRIORITY APPLN. INFO.: ES 1993-982 19930507
OTHER SOURCE(S): MARPAT 122:81418
GI

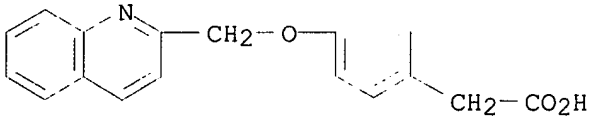


I

AB Title compds. [I; R = R1CH2OC6H4(CH2)nCO; R1 = (chloro- or fluoro-) 2-quinolyl; R2 = H, alkyl; n,p = 0 or 1] were prepd. Thus, 3-(R1CH2O)C6H4CO2H (R1 = 2-quinolyl)(prepn. given) was condensed with I (R = H, R1 unchanged) to give I [R = 3-(R1CH2O)C6H4CO] which gave 72% inhibition of Ca ionophore A23187-induced LTB4 prodn. by HL-60 cell in vitro.

IT **121289-78-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-[(quinolylmethoxy)benzoyl]pyridothienotriazolodiazepines and analogs as PAF antagonists and/or 5-lipoxygenase inhibitors)

RN 121289-78-9 CAPLUS
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 24 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:380148 CAPLUS
DOCUMENT NUMBER: 122:160682
TITLE: Cyanomethylpyridine derivatives as PAF antagonists and 5-lipoxygenase inhibitors
INVENTOR(S): Carceller, Elena; Jimenez, Pere J.; Almansa, Carmen; Bartoli, Javier
PATENT ASSIGNEE(S): J. Uriach y Cia. S.A., Spain
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English

Searched by Barb O'Bryen, STIC 308-4291

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 617032	A1	19940928	EP 1994-104612	19940323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ES 2062943	A1	19941216	ES 1993-591	19930323
ES 2062943	B1	19951116		
CA 2118831	AA	19940924	CA 1994-2118831	19940311
JP 07002841	A2	19950106	JP 1994-76436	19940323
US 5420131	A	19950530	US 1994-216583	19940323

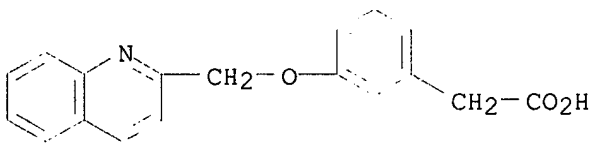
PRIORITY APPLN. INFO.: ES 1993-591 19930323
OTHER SOURCE(S): MARPAT 122:160682
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

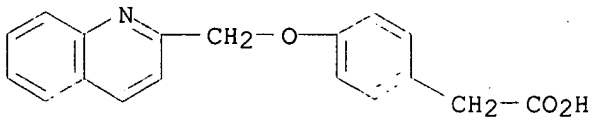
AB The invention relates to cyanomethylpyridine derivs. I [Y = N or CH; R1 = F, Cl; R2 = H or C1-4 alkyl; m = 0, 1 or 2; n = 0 or 1; p = 0 or 1; A = covalent bond, CONHCH(Ar), NHCH(Ar), SO2NHCH(Ar), NHCONHCH(Ar), or OCONHCH(Ar); and when p = 1, A can also = CH(Ar)NH; Ar = Ph or Ph substituted .gtoreq. 1 of halo, C1-4 alkyl, C1-4 alkoxy, or CF3]. The compds. are platelet activating factor (PAF) antagonists and/or 5-lipoxygenase inhibitors, and are useful for treating a variety of diseases. For example, coupling of p-(2-quinolylmethoxy)phenylacetic acid with 1-(3-amino-3-phenylpropionyl)-4-[(2-methyl-3-pyridyl)cyanomethyl]piperazine using DCC and 1-hydroxybenzotriazole in DMF gave 43% title compd. II, a preferred compd. The IC50 of II for inhibition of PAF-induced hypotension in normotensive rats was 0.036 mg/kg i.v. Twelve addnl. syntheses, addnl. biol. tests (inhibition of PAF-induced platelet aggregation, and inhibition of LTB4 prodn.), and 6 example formulations are given.

IT **104325-55-5P**, 3-(2-Quinolylmethoxy)phenylacetic acid
121289-78-9P, p-(2-Quinolylmethoxy)phenylacetic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of cyanomethylpyridine derivs. as PAF antagonists and 5-lipoxygenase inhibitors)

RN 104325-55-5 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



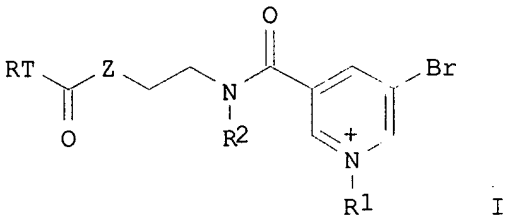
RN 121289-78-9 CAPLUS
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 25 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:630669 CAPLUS
DOCUMENT NUMBER: 121:230669
TITLE: 5-Bromopyridinium-derivative PAF antagonists.
INVENTOR(S): Bartroli, Javier; Turmo, Enric; Anguita, Manuel; Carceller, Elena; Almansa, Carmen
PATENT ASSIGNEE(S): J. Uriach y Cia. S.A., Spain
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

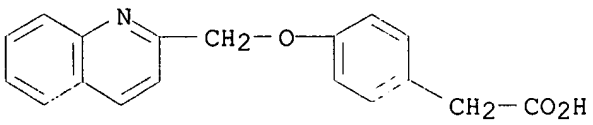
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 610943	A1	19940817	EP 1994-102138	19940211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ES 2068742	A1	19950416	ES 1993-269	19930211
ES 2068742	B1	19951116		
CA 2114183	AA	19940812	CA 1994-2114183	19940125
JP 06271543	A2	19940927	JP 1994-39180	19940214

PRIORITY APPLN. INFO.: ES 1993-269 19930211
OTHER SOURCE(S): MARPAT 121:230669
GI



I

AB The title compds. [I; R = (un)substituted alkyl, aryl, heteroaryl, etc.; R1 = alkyl, arylalkyl; R2 = aryl; T, Z = (un)substituted NH, O], useful as PAF antagonists, are prepd. and I-contg. formulations presented. Thus, 5-bromo-3-[N-(2-ethoxycarbonylamino)ethyl-N-phenyl]carbamoyl-1-propylpyridinium iodide was prepd. and demonstrated IC50 against hypotension induced by PAF in normotensive rats at 0.046 mg/kg (i.v.).
IT **121289-78-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; 5-bromopyridinium-deriv. PAF antagonists)
RN 121289-78-9 CAPLUS
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

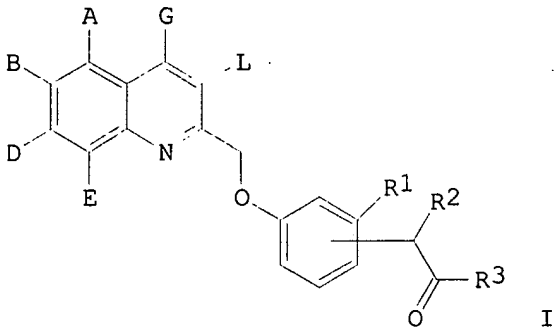


L19 ANSWER 26 OF 98 CAPLUS COPYRIGHT 2001 ACS

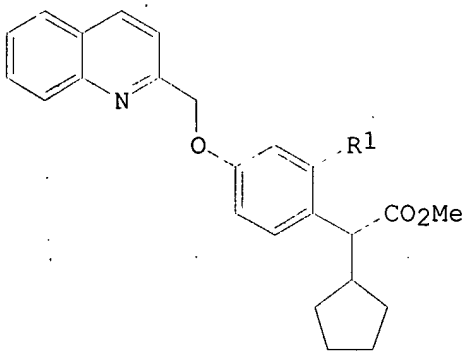
ACCESSION NUMBER: 1994:244710 CAPLUS
DOCUMENT NUMBER: 120:244710
TITLE: 2-Substituted (quinolylmethoxy)phenylacetic acid derivatives, process for their preparation, and their pharmaceutical use
INVENTOR(S): Matzke, Michael; Mohrs, Klaus Helmut; Raddatz, Siegfried; Fruchmann, Romanis; Mueller-Peddinghaus, Rainer; Hatzelmann, Armin
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 582908	A1	19940216	EP 1993-112154	19930729
EP 582908	B1	19980527		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4226519	A1	19940217	DE 1992-4226519	19920811
NO 9302709	A	19940214	NO 1993-2709	19930727
NO 179513	B	19960715		
NO 179513	C	19961023		
AU 9344253	A1	19940217	AU 1993-44253	19930728
AU 668574	B2	19960509		
AT 166645	E	19980615	AT 1993-112154	19930729
ES 2117070	T3	19980801	ES 1993-112154	19930729
US 5597833	A	19970128	US 1993-102453	19930804
CA 2103521	AA	19940212	CA 1993-2103521	19930806
JP 06157463	A2	19940603	JP 1993-213596	19930806
IL 106622	A1	19970218	IL 1993-106622	19930809
ZA 9305795	A	19940307	ZA 1993-5795	19930810
HU 70041	A2	19950928	HU 1993-2313	19930810
CN 1087337	A	19940601	CN 1993-108822	19930811

PRIORITY APPLN. INFO.: DE 1992-4226519 19920811
OTHER SOURCE(S): MARPAT 120:244710
GI



I



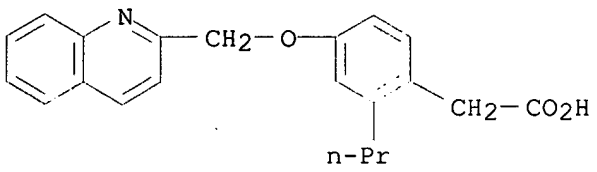
II

AB Title compds. I [A, B, D, E, G, L = H, OH, halo, cyano, CO2H, NO2, CF3, CF3O, alkyl, alkoxy, (un)substituted aryl; R1 = halo, cyano, NO2, N3, OH, CO2H, CF3, CF3O, CF3S, (cycloalkyl)alkyl, -alkenyl, -alkynyl, alkoxy, alkoxycarbonyl; R2 = H, (cyclo)alkyl; R3 = OH, alkoxy, Ph, NR4SO2R5, NR6R7; R4, R6, R7 = H, alkyl, Ph, PhCH2; R5 = CF3, (un)substituted Ph or alkyl] and salts are claimed. I are inhibitors of enzymes in the metab. of arachidonic acid, esp. 5-lipoxygenase (no data), and are useful for treating a wide variety of conditions. For example, etherification of 2-(chloromethyl)quinoline-HCl with 2-bromo-4-hydroxyphenylacetic acid Me ester (K2CO3, DMF, 100.degree., 63.9%) and .alpha.-alkylation of the resultant ester with cyclopentyl bromide (KOBu-tert, DMF, 80.6%) gave title compd. II (R1 = Br), which was converted to II (R1 = allyl, cyclopropyl, Pr, vinyl, Et, C.tplbond.CPh) as well as corresponding acids and sulfonylated amide derivs. Synthetic examples are given for 38 I and 10 precursors.

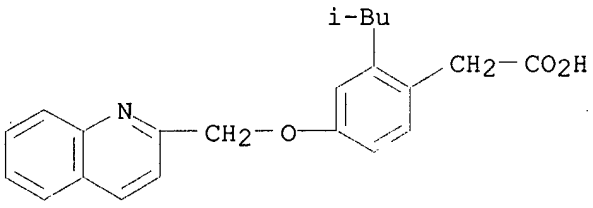
IT **154353-13-6P 154353-15-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as lipoxygenase inhibitor)

RN 154353-13-6 CAPLUS

CN Benzeneacetic acid, 2-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 154353-15-8 CAPLUS
CN Benzeneacetic acid, 2-(2-methylpropyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



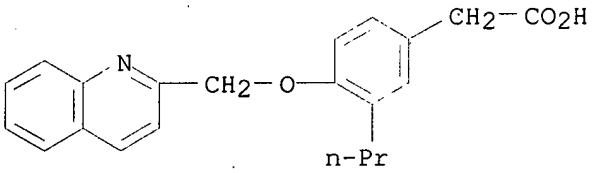
L19 ANSWER 27 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:499084 CAPLUS
DOCUMENT NUMBER: 121:99084
TITLE: Inversely-correlated inhibition of human 5-lipoxygenase activity by BAY X1005 and other quinoline derivatives in intact cells and a cell-free system-implications for the function of 5-lipoxygenase activating protein
AUTHOR(S): Hatzelmann, A.; Goossens, J.; Fruchtmann, R.; Mohrs, K.-H.; Raddatz, S.; Mueller-Peddinghaus R.
CORPORATE SOURCE: Pharma Research Center, Bayer AG, Wuppertal, Germany
SOURCE: Biochem. Pharmacol. (1994), 47(12), 2259-68
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of quinoline derivs. were analyzed for the influence of leukotriene synthesis as a parameter for 5-LOX (EC 1.13.11.34) activity in a cell-free system of the 10,000 g supernatant of human PMNL (polymorphonuclear leukocytes). The ratios of the IC50 values for leukotriene synthesis inhibition in this cell-free system and in A23187-stimulated intact PMNL ranged from 1-1100. Consequently, plotting of the two values resulted in a random distribution (4 = -0.281, N = 18) suggesting that no relationship between the inhibition of leukotriene synthesis in the cell-free system and in intact cell exists. At first sight this finding was not surprising since the authors have shown earlier that in intact cells this class of quinoline derivs. shares the same mode of action as MK-886, i.e. an indirect inhibition of 5-LOX activity by binding to FLAP. However, the authors found that the potency of these compds. in intact cells is strongly influenced by the K value (partition coeff.) which is a parameter for the ability of a substance to accumulate in a lipid (membrane) phase compared to the water phase. Therefore, the IC50 values for leukotriene synthesis inhibition in intact PMNL were cor. for the corresponding K value of the compds. and the resulting values again plotted against the IC50 values for inhibition of leukotriene synthesis in the cell-free system. As a result, a significant correlation (r= -0.878, N = 18) was obtained. In order to simplify this relationship the influence of the partition coeff. was eliminated by comparing compds. with about the same K value (K = 743 .+-. 1646, N = 7). As a result, the IC50 values for inhibition of leukotriene synthesis in the 10,000 g supernatant fraction (indicative for the affinity of the compds. to 5-LOX) and in intact cells (indicative for the affinity the compds. to FLAP) were highly, but inversely correlated (r = 0.992). That means that a compd. with a high affinity to 5-LOX will have a low affinity to FLAP and vice versa. The authors hypothesized that this pharmacol. obtained relationship could be indicative of physiol. occurring equiv. The authors

therefore propose a model in which FLAP binds arachidonic acid as its physiol. substrate with low affinity and allows 5-LOX to get access to its substrate (assuming a higher affinity of 5-LOX to arachidonic acid) after 5-LOX translocation from the cytosol to the membrane. In support of this model the authors provide evidence that arachidonic acid and other cis-unsatd. fatty acids, but neither a trans-unsatd. nor a satd. fatty acid, inhibit BAY X1005 binding to FLAP in intact human PMNL.

IT **145043-18-1**
RL: BIOL (Biological study)
(leukotriene prodn. inhibition by and lipoxygenase and FLAP binding of, in cell-free system vs. intact human polymorphonuclear leukocytes, structure in relation to)

RN 145043-18-1 CAPLUS
CN Benzeneacetic acid, 3-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 28 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:595533 CAPLUS
DOCUMENT NUMBER: 121:195533
TITLE: Induction of peroxisomal enzymes by a tetrazole-substituted 2-quinolinylmethoxy leukotriene D4 antagonist
AUTHOR(S): Kelley, Michael; Groth-Watson, Andrea; Knoble, Deborah; Kornbrust, Douglas
CORPORATE SOURCE: Drug Safety Div., Rhone-Poulenc Rorer Central Res., Collegeville, PA, 19426, USA
SOURCE: Fundam. Appl. Toxicol. (1994), 23(2), 298-303
CODEN: FAATDF; ISSN: 0272-0590
DOCUMENT TYPE: Journal
LANGUAGE: English

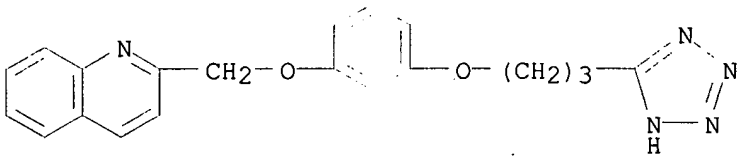
AB The induction of hepatic peroxisomal .beta.-oxidn. and the peroxisomal bifunctional enzyme (PBE) by the tetrazole-substituted leukotriene D4 receptor antagonist RG 7152 was evaluated in vivo following subchronic treatment in the mouse, rat, guinea pig, dog, and rhesus monkey. The ability fo RG 7152 was evaluated in vivo following subchronic treatment in the mouse, rat, guinea pig, dog, and rhesus monkey. The ability of RG 7152 to induce this enzyme system in rat extrahepatic tissues reported to respond to peroxisome proliferators and in vitro in primary rat hepatocytes was also investigated. Western blot anal. for PBE and .beta.-oxidn. assays revealed significant induction by RG7152 in liver homogenates from rats and mice with a lesser effect in guinea pigs and monkeys and not effect in dogs. The degree of induction in rat liver was less than that obsd. in a pos. control group treated with clofibrate (CF). There was slight induction of PBE in rat kidney and small intestine by CF, whereas RG7152 elicited a minimal response in the kidney and no effect in the small intestine. In vitro, RG7152 produced a response that was greater than that produced by diethylhexyl phthalate, approx. equiv. to that produced by clofibric acid, but less than that produced by bezafibrate. Dose-response comparison of RG 7152 with the tetrazole-substituted leukotriene D4 antagonist LY171883 to be slightly more potent than RG 7152. Thus, RG7152 represents a second chem. class of

tetrazole-substituted leukotriene D4 antagonist that causes peroxisomal enzyme induction in rodents.

IT **107813-63-8**, RG 7152
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (peroxisomal enzyme induction by a tetrazole-substituted 2-quinolinylmethoxy leukotriene D4 antagonist)

RN 107813-63-8 CAPLUS

CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 29 OF 98 CAPLUS COPYRIGHT 2001 ACS

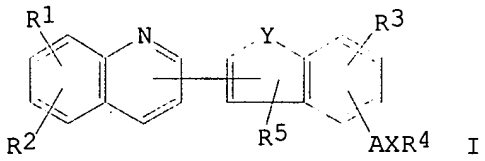
ACCESSION NUMBER: 1994:134483 CAPLUS
DOCUMENT NUMBER: 120:134483
TITLE: (Quinolyl)benzofuran as leukotriene D4 receptor antagonists
INVENTOR(S): Matsuo, Masaaki; Okumura, Kazuo; Shigenaga, Shinji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9317013	A1	19930902	WO 1993-JP198	19930218
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 626956	A1	19941207	EP 1993-904318	19930218
EP 626956	B1	19970507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07504411	T2	19950518	JP 1993-514690	19930218
AT 152719	E	19970515	AT 1993-904318	19930218
US 5661159	A	19970826	US 1994-256735	19940802

PRIORITY APPLN. INFO.: GB 1992-3798 19920221
WO 1993-JP198 19930218

OTHER SOURCE(S): MARPAT 120:134483

GI



I

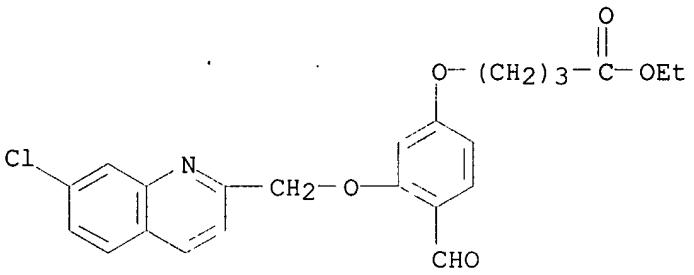
AB The title compds. I [A = direct bond, lower alkylene, lower alkenylene; R1

= H, halogen, (un)substituted lower alkyl, lower alkylamino, lower alkyl(acyl)amino, lower cycloalkyl, tricycloalkyl, (un)substituted aryl, heterocyclyl; R2 = H, halogen; R3 = H, halogen, HO, lower alkyl, lower alkoxy; R4 = H, acyl, CN, NO2, (un)substituted aryl, etc.; R5 = H, HO, lower alkyl, lower alkoxy, X = direct bond, O, NH, S, SO, SO2; Y = O, S; when R3 = R4 = R5 = H then A = X = direct bond and R1 = halogen, etc.], useful for the treatment and/or prevention of allergy or inflammation (no data), which are leukotriene D4 receptor antagonists, are prepd. Thus, 2-[2-[2-(7-chloroquinolyl)]benzofuran-5-yloxy]methylbenzyl cyanide was cyclized with NaN3 at 110.degree. for 2 days in DMF, producing 5-[2-[2-[2-(7-chloroquinolyl)]benzofuran-5-yloxy]methyl]benzyl-1H-tetrazole (II). II demonstrated 97.5% inhibition of tritiated leukotriene D4 binding to leukotriene D4 receptors (isolated from crude lung membrane prepns. prepd. from guinea pigs) of 1 .mu.g/mL.

IT **152942-07-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of leukotriene D4 receptor antagonists)

RN 152942-07-9 CAPLUS

CN Butanoic acid, 4-[3-[(7-chloro-2-quinolinyl)methoxy]-4-formylphenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 30 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:163998 CAPLUS

DOCUMENT NUMBER: 120:163998

TITLE: Preparation of quinolinyl group-containing phenoxyacetic acid derivatives as TXA2 and leukotriene antagonists

INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko

PATENT ASSIGNEE(S): Terumo Corp, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

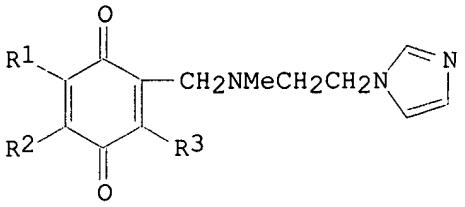
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05279336	A2	19931026	JP 1992-64772	19920323

OTHER SOURCE(S): MARPAT 120:163998

GI

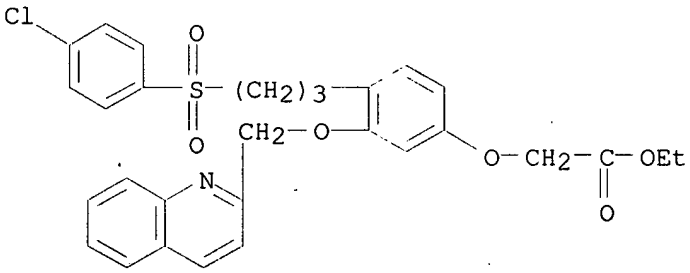


I

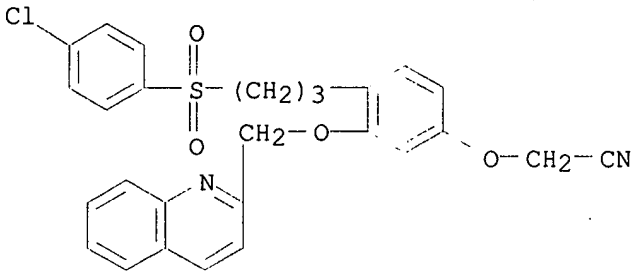
AB The title derivs. I [X = H, halo, lower alkyl, CF3, alkoxy, OH, cyano; R1 = CO2R2, tetrazolyl; R2 = H, lower alkyl; R3 = quinolin-2-ylmethyl, (2-quinolinylmethyloxy)benzyl; n = 0-2] or their physiol. acceptable salts, also useful as antiallergy agents, are prepd. Tosylation of 3-(4-methoxymethoxy-2-benzyloxy)phenyl-1-propanol and subsequent reaction with p-chlorothiophenol gave 74% 4-[3-(4-chlorophenylthio)propyl]-3-benzyloxy-1-methoxymethoxybenzene, which was oxidized by m-chloroperbenzoic acid to give 93% sulfonyl deriv. Then, deprotection of the sulfonyl deriv. by HCl gave 93% 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-benzyloxyphenol, which was treated with BrCH2CO2Et in Me2CO to give 97% benzyloxyphenoxyacetate deriv., debenzylatoin of which gave 73% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-hydroxyphenoxyacetate (II). II was stirred with NaH in DMF and treated with 2-chloromethylquinoline-HCl at room temp. to give 41% I (X = 4-Cl, R1 = CO2Et, R3 = 2-quinolinemethyl, n = 1), hydrolysis of which by aq. NaOH in THF gave 67% I (R1 = CO2H). The latter compd. inhibited LTD4-induced contraction of guinea pig ileum at IC50 of 8.3 .times. 10-6 M.

IT **153475-32-2P 153475-35-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as leukotriene and thromboxane antagonist)

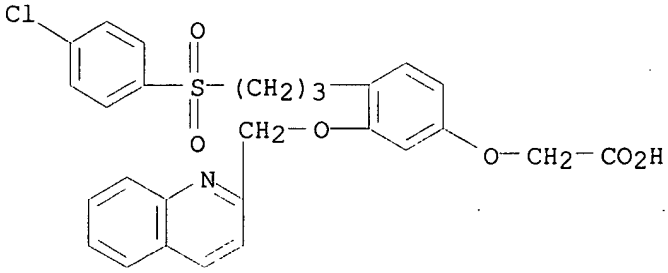
RN 153475-32-2 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 153475-35-5 CAPLUS
CN Acetonitrile, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

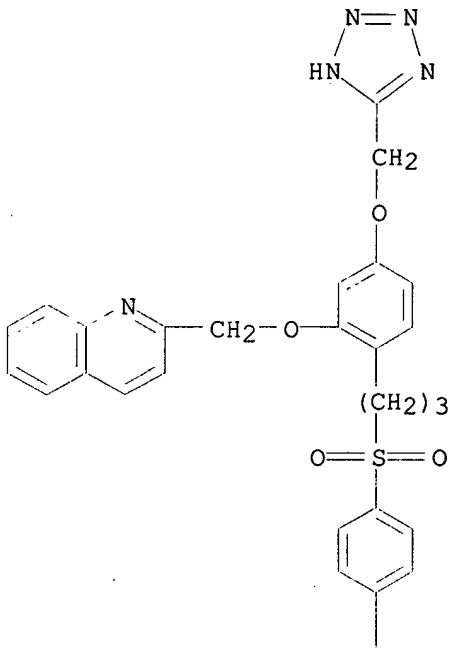


IT **153475-23-1P 153475-24-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as leukotriene and thromboxane antagonists)
RN 153475-23-1 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 153475-24-2 CAPLUS
CN Quinoline, 2-[[2-[3-[(4-chlorophenyl)sulfonyl]propyl]-5-(1H-tetrazol-5-ylmethoxy)phenoxy]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

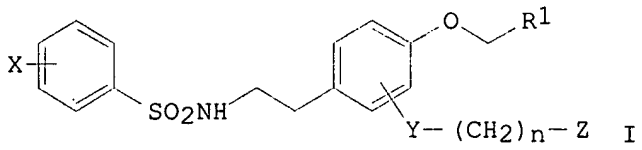


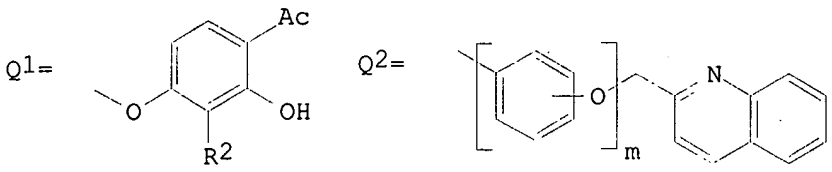
PAGE 2-A

L19 ANSWER 31 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:106546 CAPLUS
DOCUMENT NUMBER: 120:106546
TITLE: Phenoxyacetic acid compounds and medical preparations containing them as combination thromboxane and leukotriene antagonists
INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko; Sugizaki, Katuyoshi; Shizawa, Takashi; Tajima, Atsumi; Abe, Kenichi; Ozawa, Shinji
PATENT ASSIGNEE(S): Terumo K.K., Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 562796	A1	19930929	EP 1993-302146	19930322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 05262736	A2	19931012	JP 1992-64773	19920323
JP 06041051	A2	19940215	JP 1992-198541	19920724
CA 2092152	AA	19930924	CA 1993-2092152	19930322

US 5360909 A 19941101 US 1993-35178 19930322
PRIORITY APPLN. INFO.: JP 1992-64773 19920323
JP 1992-198541 19920724
OTHER SOURCE(S): MARPAT 120:106546
GI



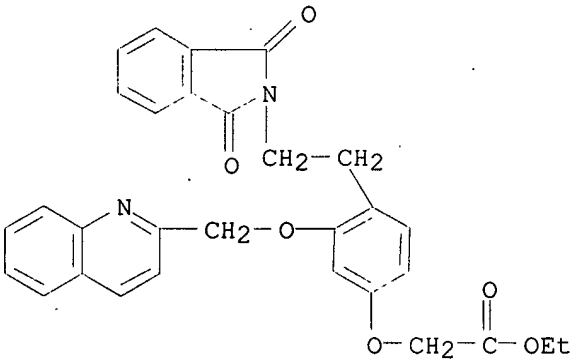


AB Title compds. I [X = H, alkyl, halo; R1 = CO2H, alkoxycarbonyl; Y = O, NHC(:S)NH, NHCO; n = 0-5; Z = acetylhydroxyphenol group Q1, quinoline-contg. group Q2; R2 = H, alkyl; m = 0 or 1] (8 examples) were prepd. as combination TXA2/leukotriene antagonists, for treatment of ischemic or allergic conditions. Thus, tert-Bu 4-(2-aminoethyl)-2-nitrophenoxyacetate (prepd. in 3 steps) was subjected to a sequence of N-sulfonylation with p-ClC6H4SO2Cl (87%), hydrogenation of the nitro group (66%), amidation of the resultant amine with 3-(2-quinolinemethoxy)benzoyl chloride (83%), and deprotection of the ester with CF3CO2H (61%) to give I [X = 4-Cl, R1 = CO2H, Y = 2-NHCO, n = 0, Z = Q2, m = 1 (O in 3-position)] (II). In a test for inhibition of TXA2- or LTD4-induced contraction of isolated guinea pig tracheal strips, II had IC50 values of 4.2 .times. 10-9 M and 6.5 .times. 10-8 M, resp.

IT **152499-02-0**
RL: RCT (Reactant)
(hydrazinolysis of, in prepn. of TXA2-leukotriene antagonists)

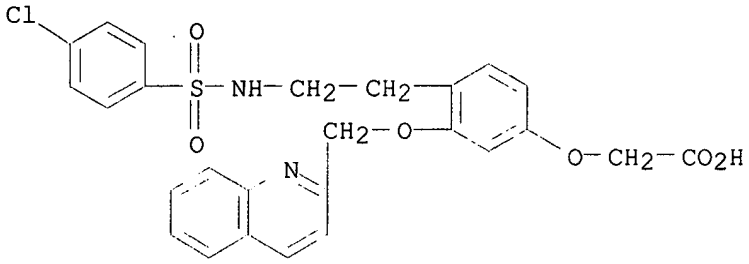
RN 152499-02-0 CAPLUS

CN Acetic acid, [4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

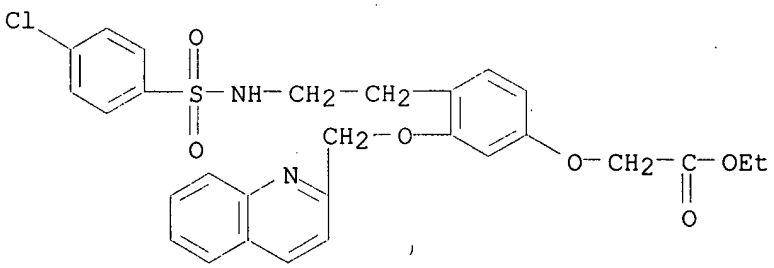


IT **152498-85-6**
RL: RCT (Reactant)
(prepn. as combination TXA2-leukotriene antagonist)

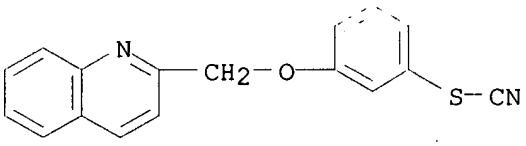
RN 152498-85-6 CAPLUS
CN Acetic acid, [4-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



IT **152498-97-0 152498-99-2**
RL: RCT (Reactant)
(prepn. as intermediate in prepn. of TXA2-leukotriene antagonists)
RN 152498-97-0 CAPLUS
CN Acetic acid, [4-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 152498-99-2 CAPLUS
CN Thiocyanic acid, 3-(2-quinolinylmethoxy)phenyl ester (9CI) (CA INDEX NAME)



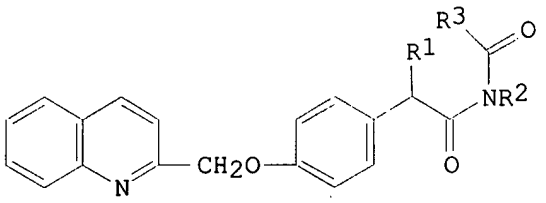
L19 ANSWER 32 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:560141 CAPLUS
DOCUMENT NUMBER: 119:160141
TITLE: N-acyl-.alpha.-cycloalkyl[(quinolinylmethoxy)phenyl]acetamides
INVENTOR(S): Raddatz, Siegfried; Mohrs, Klaus Helmut; Matzke, Michael; Fruchtmann, Romanis; Hatzelmann, Armin; Mueller-Peddinghaus, Reiner
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German

Searched by Barb O'Bryen, STIC 308-4291

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545171	A1	19930609	EP 1992-119777	19921120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4139750	A1	19930609	DE 1991-4139750	19911203
NO 9204466	A	19930604	NO 1992-4466	19921119
US 5288733	A	19940222	US 1992-979756	19921123
CA 2084161	AA	19930604	CA 1992-2084161	19921130
AU 9229800	A1	19930610	AU 1992-29800	19921201
AU 654067	B2	19941020		
JP 05246993	A2	19930924	JP 1992-343590	19921201
ZA 9209332	A	19930604	ZA 1992-9332	19921202
HU 67015	A2	19950130	HU 1992-3813	19921202

PRIORITY APPLN. INFO.: DE 1991-4139750 19911203
OTHER SOURCE(S): MARPAT 119:160141
GI

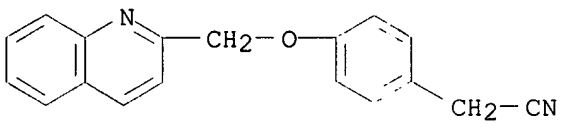


I

AB The title compds. N-acyl4-[(2-quinolinyl)methoxy]benzeneacetamides (R1 = cycloalkyl; R2, R3 = H, alkyl, etc.;) and their uses as leukotriene inhibitors are claimed. I are leukotriene B4 antagonists and hence 5-lipoxygenase inhibitors and are thus potential inflammation inhibitors, antiasthmatics and antiallergics (no data). Thus, (.+-.)-N-acetyl-.alpha.-cycloheptyl-4-[(2-quinolinyl)methoxy]benzeneacetamide I (R1 = cycloheptyl; R2 = H, R3 = Me) was prepd. in several steps.

IT **114497-66-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for N-acyl-.alpha.-cycloalkyl[(quinolinyl)methoxy]benzeneacetamide (leukotriene antagonist))

RN 114497-66-4 CAPLUS
CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



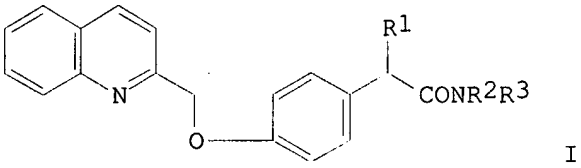
L19 ANSWER 33 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:560142 CAPLUS
DOCUMENT NUMBER: 119:160142
TITLE: [(quinolinylmethoxy)phenyl]acetamides
INVENTOR(S): Raddatz, Siegfried; Mohrs, Klaus Helmut; Matzke, Michael; Fruchtmann, Romanis; Hatzelmann, Armin; Mueller-Peddinghaus, Reinerep

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545170	A1	19930609	EP 1992-119776	19921120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4139749	A1	19930609	DE 1991-4139749	19911203
NO 9204465	A	19930604	NO 1992-4465	19921119
US 5310744	A	19940510	US 1992-979745	19921123
CA 2084162	AA	19930604	CA 1992-2084162	19921130
AU 9229799	A1	19930610	AU 1992-29799	19921201
JP 05246994	A2	19930924	JP 1992-343591	19921201
ZA 9209331	A	19930607	ZA 1992-9331	19921202

PRIORITY APPLN. INFO.: DE 1991-4139749 19911203
OTHER SOURCE(S): MARPAT 119:160142
GI

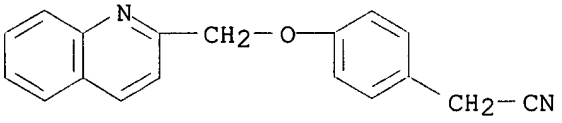


I

AB The title compds. 4-[(2-quinolinyl)methoxy]benzeneacetamides (R1 = cycloalkyl; R2, R3 = H, alkyl, etc.) and their uses as leukotriene inhibitors are claimed. I are leukotriene B4 antagonists and hence 5-lipoxygenase inhibitors and are thus potential inflammation inhibitors, antiasthmatics and antiallergics (no data). Thus, (+)-.alpha.-cyclopentyl-4-[(2-quinolinyl)methoxy]benzeneacetamide (+)-I (R1 = cyclopentyl; R2, R3 = H) was prepd. in several steps.

IT **114497-66-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for .alpha.-cycloalkyl[(quinolinyl)methoxy] benzeneacetamide (leukotriene antagonist))

RN 114497-66-4 CAPLUS
CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



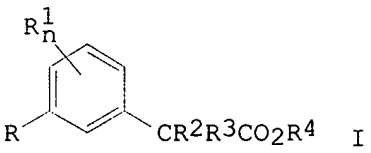
L19 ANSWER 34 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:538907 CAPLUS
DOCUMENT NUMBER: 119:138907
TITLE: Preparation of 2-[3-(arylmethoxy)phenyl]alkanoates as 5-lipoxygenase inhibitors
INVENTOR(S): Waterson, David

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI Pharma
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 540165	A1	19930505	EP 1992-308617	19920922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5298511	A	19940329	US 1992-948594	19920923
JP 05221926	A2	19930831	JP 1992-264444	19921002

PRIORITY APPLN. INFO.: EP 1991-402638 19911003
OTHER SOURCE(S): MARPAT 119:138907
GI

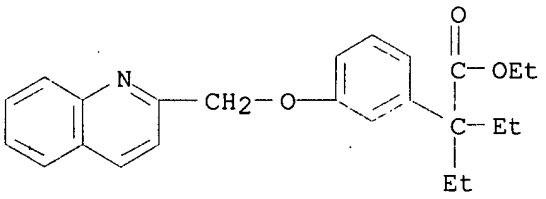


I

AB Title compds. [I; R = R5AX; A = C1-3 alkylene; R1 = halo, (fluoro)alkyl, alkoxy; R2, R3 = alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = Ph, naphthyl, 10-membered bicyclic heterocyclyl, etc.; X = O, SO0-2; n = 0-2] were prepd. Thus, 3-(MeO)C6H4CH2CO2H was converted in 4 steps to 3-(HO)C6H4CEt2CO2Et which was condensed with 2-bromomethylnaphthalene to give I (2-naphthylmethoxy, R1 = H, R2 = R3 = R4 = Et). I had ED50 of 0.1-50 mg/kg orally against zymosan-induced LTB4 release in rat air pouch.

IT **149490-68-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as lipoxygenase inhibitor)

RN 149490-68-6 CAPLUS
CN Benzeneacetic acid, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 35 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:139443 CAPLUS
DOCUMENT NUMBER: 118:139443
TITLE: Mode of action of the new selective leukotriene synthesis inhibitor BAY X 1005 {(R)-2-[4-(quinolin-2-yl-methoxy)phenyl]-2-cyclopentyl acetic acid} and structurally related compounds

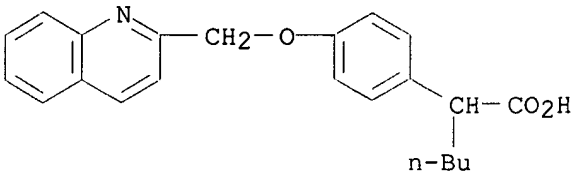
Searched by Barb O'Bryen, STIC 308-4291

AUTHOR(S): Hatzelmann, A.; Fruchtmann, R.; Mohrs, K. H.; Raddatz, S.; Mueller-Peddinghaus, R.
CORPORATE SOURCE: Inst. Cardiovasc. Arterioscler. Res., Bayer AG, Wuppertal, Germany
SOURCE: Biochem. Pharmacol. (1993), 45(1), 101-11
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English

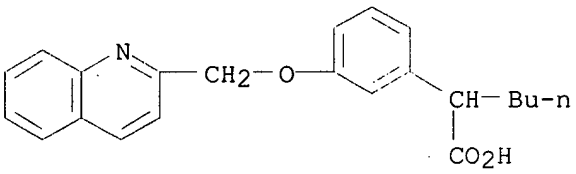
AB BAY X 1005 {(R)-2-[4-(quinolin-2-yl-methoxy)phenyl]-2-cyclopentyl acetic acid} has been demonstrated to be a potent inhibitor of leukotriene B4 (LTB4) and 5-hydroxyeicosatetraenoic acid (5-HETE) synthesis in various in vitro systems. Using mainly human polymorphonuclear leukocytes (PMNL) this study elucidates the mechanism of inhibition of 5-lipoxygenase (5-LOX, EC 1.13.11.34)-derived arachidonic acid metabolites by BAY X 1005. At concns. of BAY X 1005 which almost totally inhibited the formation of 5-LOX-derived metabolites, both arachidonic acid release and platelet-activating factor synthesis were only modestly affected. This suggests that the inhibitory effect of BAY X 1005 is not due to a limitation of substrate availability for 5-LOX. Compared to the inhibition of leukotriene synthesis in intact human PMNL about 800-fold higher concns. of BAY X 1005 were required to inhibit leukotriene formation in a cell-free system suggesting that the inhibitory effect of BAY X 1005 cannot be explained by a direct effect on 5-LOX. In an attempt to identify possible target proteins of BAY X 1005, [14C]BAY X 1005 was used in binding studies under equil. conditions. The quant. anal. of specific binding in intact human PMNL revealed two binding sites for BAY X 1005. Upon subcellular fractionation of these cells the BAY X 1005 high affinity binding site was localized in the microsomal fraction whereas the low affinity binding site was localized in the granule fraction. The Kd for BAY X 1005 binding to the high affinity binding site (0.165 .mu.mol/L) was almost identical to the IC50 value for inhibition of LTB4 synthesis (0.22 .mu.mol/L). Furthermore, the IC50 values for competition of BAY X 1005 binding at the high affinity binding site were almost identical to the IC50 values for inhibition of LTB4 synthesis in the case of BAY X 1005, 12 other structurally related quinoline derivs. and the ref. compds. REV-5901, WY-50,295 and MK-886, but not in the case of the direct 5-LOX inhibitors A-64077 and AA-861. The anal. of BAY X 1005 binding in rat PMNL also revealed two binding sites. Whereas the low affinity binding site in rat PMNL exhibited a Kd similar to the human, the rat high affinity binding site showed a 5.5-fold higher affinity for BAY X 1005 compared to the human. This correlates well with the 8.5-fold higher sensitivity of rat vs. human PMNL concerning inhibition of LTB4 synthesis. Competition expts. verified that this relationship holds true also for 12 other quinoline derivs. as well as for REV-5901, WY-50,295 and MK-886. Taken together, these results indicate a causal relationship between the binding of BAY X 1005 to the high affinity binding site and the inhibition of leukotriene synthesis in human and rat PMNL. In addn., the localization of this binding site in the microsomal fraction and the competition of BAY X 1005 binding by MK-886 suggest that the target protein of BAY X 1005 mediating leukotriene synthesis inhibition is identical to 5-LOX-activating protein.

IT **126960-82-5 146234-61-9**
RL: BIOL (Biological study)
(leukotriene formation by human polymorphonuclear leukocytes inhibition by, mechanism of)

RN 126960-82-5 CAPLUS
CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



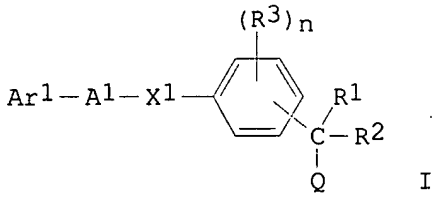
RN 146234-61-9 CAPLUS
CN Benzeneacetic acid, .alpha.-butyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



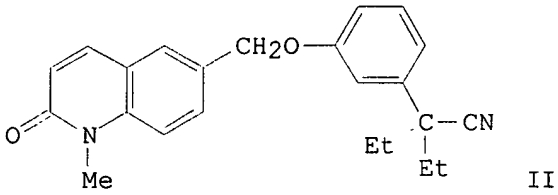
L19 ANSWER 36 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:22157 CAPLUS
DOCUMENT NUMBER: 118:22157
TITLE: .alpha.,.alpha.-Dialkylbenzyl derivatives containing aromatic and heteroaromatic nuclei and their preparation and use as 5-lipoxygenase inhibitors
INVENTOR(S): Edwards, Philip Neil; Waterson, David
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI Pharma
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 505122	A1	19920923	EP 1992-302236	19920316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
JP 05112484	A2	19930507	JP 1992-61974	19920318
US 5288742	A	19940222	US 1992-853277	19920318
US 5519022	A	19960521	US 1993-149803	19931110

PRIORITY APPLN. INFO.: EP 1991-400772 19910321
US 1992-853277 19920318
OTHER SOURCE(S): MARPAT 118:22157
GI



I



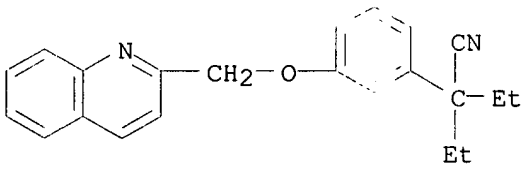
II

AB Thirteen title compds. I [Ar1 = (un)substituted Ph, naphthyl, 10-membered bicyclic heterocyclyl contg. 1-2 N and an addnl. N, O, or S atom; A1 = bond, C1-3 alkylene; X1 = O, S, S(O), S(O)2; R1, R2 = C1-4 alkyl or fluoroalkyl, C2-4 alkenyl or alkynyl, (un)substituted Ph, phenylalkyl; R1 = R2 .noteq. Me or fluoromethyl; R3 = halo, OH, amino, NO2, cyano, carbamoyl, ureido, C1-4 alkyl, alkoxy, or fluoroalkyl; n = 0-2; Q = cyano, amino, NO2, CHO, C1-4 alkoxy, thiazolyl, C2-4 alkanoyl] were prepd. as selective inhibitors of 5-lipoxygenase (5-LO). Thus, 3-MeOC6H4CH2CN was ethylated twice using LiN(Pr-iso)2 and EtI (78% and 65%) and demethylated with BBr3 (72%) to give 3-HOC6H4CEt2CN, which was etherified with 6-(bromomethyl)-1-methyl-1,2-dihydroquinolin-2-one using K2CO3 in DMF to give 78% title compd. II. In an in vitro human blood LTB4 assay for inhibition of 5-LO, II had IC50 of 0.5 .mu.M.

IT **144828-64-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as lipoxygenase inhibitor)

RN 144828-64-8 CAPLUS

CN Benzeneacetonitrile, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



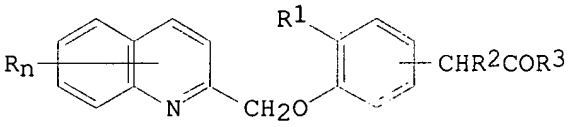
L19 ANSWER 37 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:38772 CAPLUS
DOCUMENT NUMBER: 118:38772
TITLE: Preparation of 2-cycloalkyl-2-[(quinolylmethoxy)phenyl] acetates as lipoxygenase inhibitors
INVENTOR(S): Raddatz, Siegfried; Mohrs, Klaus Helmut; Matzke, Michael; Fruchtmann, Romanis; Hatzelmann, Armin; Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner; Theisen-Popp, Pia

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 499926	A1	19920826	EP 1992-102156	19920210
EP 499926	B1	19960911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
DE 4105551	A1	19920827	DE 1991-4105551	19910222
AU 9210542	A1	19920827	AU 1992-10542	19920129
AU 641585	B2	19930923		
AT 142623	E	19960915	AT 1992-102156	19920210
ES 2091958	T3	19961116	ES 1992-102156	19920210
JP 05092957	A2	19930416	JP 1992-69073	19920218
IL 101009	A1	19960804	IL 1992-101009	19920219
PL 170726	B1	19970131	PL 1992-293534	19920219
PL 171026	B1	19970228	PL 1992-314698	19920219
FI 9200732	A	19920823	FI 1992-732	19920220
ZA 9201268	A	19921125	ZA 1992-1268	19920221
RU 2077532	C1	19970420	RU 1992-5010907	19920221
CZ 282723	B6	19970917	CZ 1992-514	19920221

PRIORITY APPLN. INFO.: DE 1991-4105551 A 19910222
OTHER SOURCE(S): MARPAT 118:38772
GI



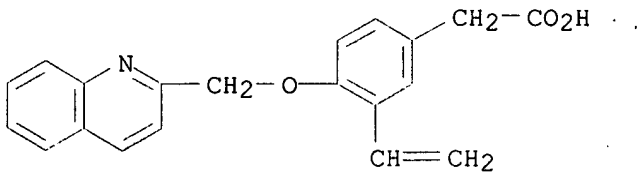
I

AB Title compds. (I; R = H, OH, halo, alkyl, aryl, etc.; R1 = halo, OH, alkyl, aryl, etc.; R2 = cycloalkyl, -alkenyl; R3 = OH, alkoxy, OPh, arylsulfonylamino, etc.; n = 1-6) were prepd. Thus, 3,4-F(HO)C6H3CH2CO2H was esterified and the product condensed with 2-chloromethylquinoline to give, after alkylation with cyclopentyl bromide, 3,4-R1(R4O)C6H3CHR2CO2Me (R2 = cyclopentyl, R4 = 2-quinolylmethyl)(II; R1 = F). II (R1 = CH:CH2) had IC50 of 0.56 .mu.M for inhibition of 5-lipoxygenase in vitro.

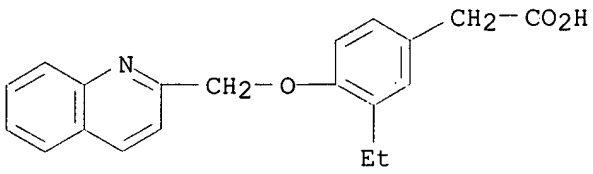
IT **145043-23-8 145043-25-0**
RL: RCT (Reactant)
(3prepn. and reaction of, in prepn. of lipoxygenase inhibitors)

RN 145043-23-8 CAPLUS

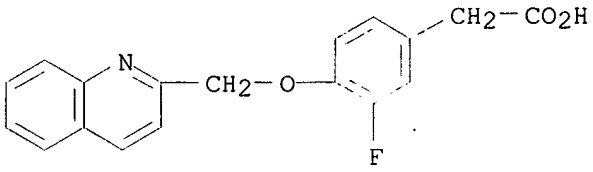
CN Benzeneacetic acid, 3-ethenyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



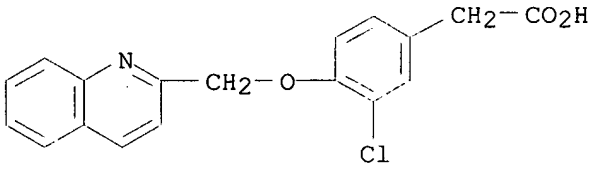
RN 145043-25-0 CAPLUS
CN Benzeneacetic acid, 3-ethyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



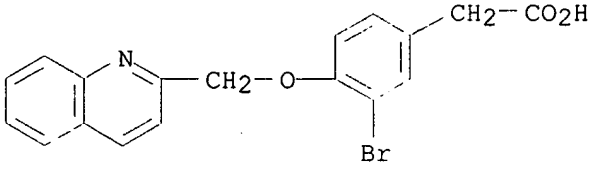
IT **145042-98-4P 145043-02-3P 145043-04-5P**
145043-07-8P 145043-09-0P 145043-14-7P
145043-16-9P 145043-18-1P 145043-21-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of lipoxygenase inhibitors)
RN 145042-98-4 CAPLUS
CN Benzeneacetic acid, 3-fluoro-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



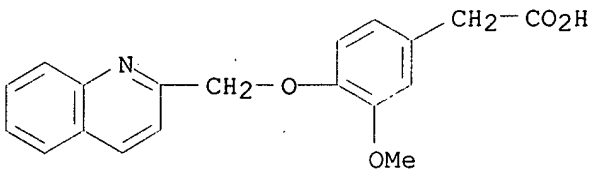
RN 145043-02-3 CAPLUS
CN Benzeneacetic acid, 3-chloro-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



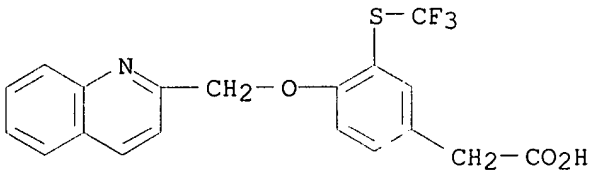
RN 145043-04-5 CAPLUS
CN Benzeneacetic acid, 3-bromo-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



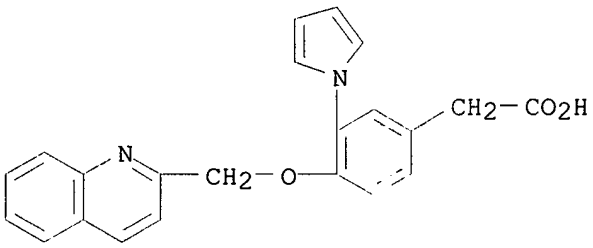
RN 145043-07-8 CAPLUS
CN Benzeneacetic acid, 3-methoxy-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



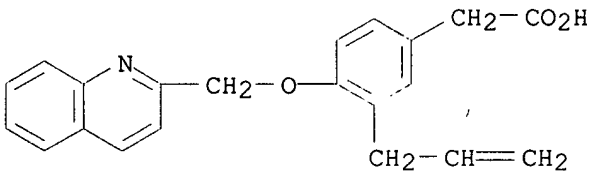
RN 145043-09-0 CAPLUS
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)-3-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



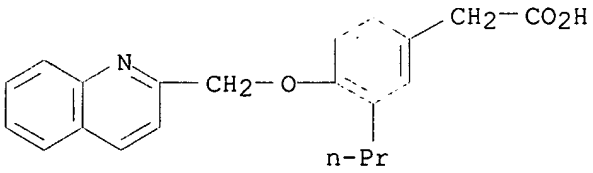
RN 145043-14-7 CAPLUS
CN Benzeneacetic acid, 3-(1H-pyrrol-1-yl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



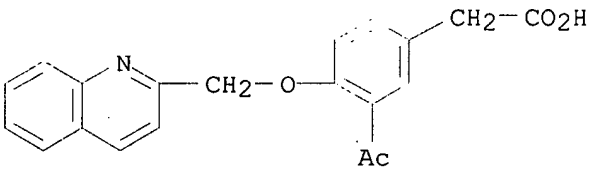
RN 145043-16-9 CAPLUS
CN Benzeneacetic acid, 3-(2-propenyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



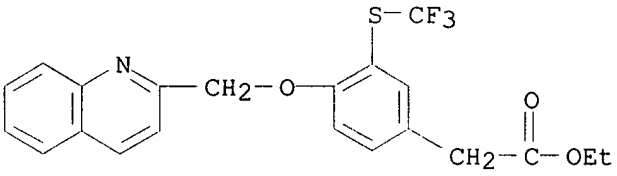
RN 145043-18-1 CAPLUS
CN Benzeneacetic acid, 3-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



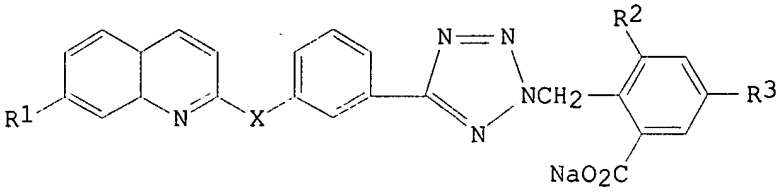
RN 145043-21-6 CAPLUS
CN Benzeneacetic acid, 3-acetyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



IT **145043-08-9**
RL: RCT (Reactant)
(reaction of, in prepn. of lipoxygenase inhibitors)
RN 145043-08-9 CAPLUS
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)-3-[(trifluoromethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 38 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1992:174064 CAPLUS
DOCUMENT NUMBER: 116:174064
TITLE: Optimization of the quinoline and substituted benzyl moieties of a series of phenyltetrazole leukotriene D4 receptor antagonists.
AUTHOR(S): Sawyer, J. Scott; Baldwin, Ronald F.; Rinkema, Lynn E.; Roman, Carlos R.; Fleisch, Jerome H.
CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA
SOURCE: J. Med. Chem. (1992), 35(7), 1200-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



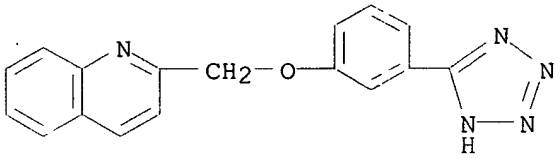
I

AB A series of highly potent quinoline-based leukotriene D4 (LTD4) receptor antagonists e.g, I (R1= H, Cl, R2 = H, OMe, R3 = H, F, X = CH2O, CH:CH) and related compds. contg. an N-benzyl-substituted phenyltetrazole moiety were prepd. They were designed to provide both the correct positioning of the acidic function and secondary lipophilic domain required for strong receptor binding. Members of this series possess high activity in blocking LTD4-induced contractions of isolated guinea pig ileum. I (R1 = Cl, R2 = R2 = H, R3 = F, X = CH:CH), blocked contraction with a pKB value of 9.1 .+-. 0.3. Qual. structure-activity studies have demonstrated specific requirements for the best activity.

IT **107813-59-2**
RL: RCT (Reactant)
(alkylation of, by (bromomethyl)benzoates)

RN 107813-59-2 CAPLUS

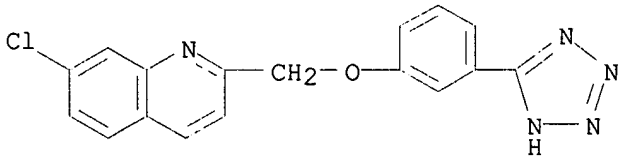
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



IT **138786-14-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and alkylation of, with (bromomethyl)benzoates)

RN 138786-14-8 CAPLUS

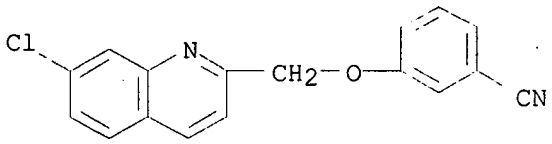
CN Quinoline, 7-chloro-2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



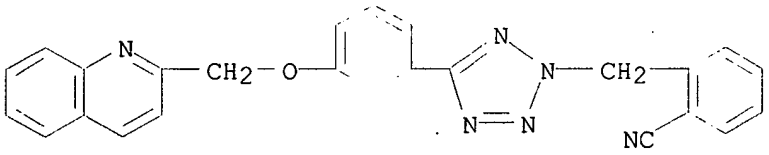
IT **138786-15-9P 138786-32-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion to tetrazole deriv.)

RN 138786-15-9 CAPLUS

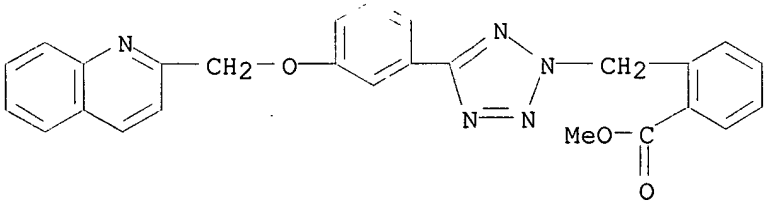
CN Benzonitrile, 3-[(7-chloro-2-quinolinyl)methoxy]- (9CI) (CA INDEX NAME)



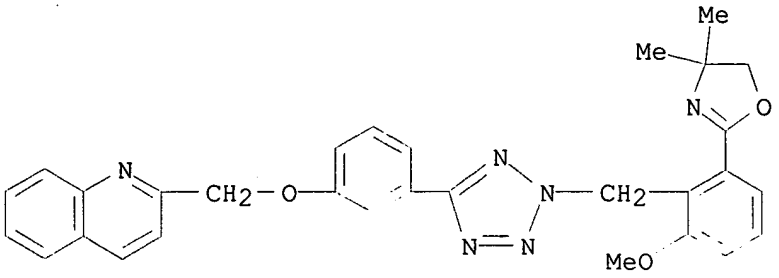
RN 138786-32-0 CAPLUS
CN Benzonitrile, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



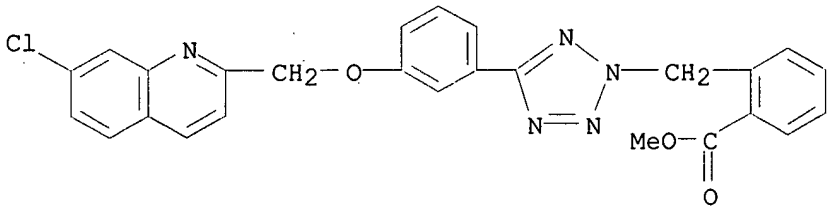
IT **138786-19-3P 138786-29-5P 138786-54-6P 138813-29-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
RN 138786-19-3 CAPLUS
CN Benzoic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



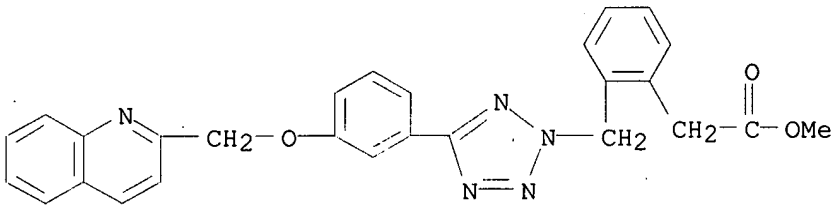
RN 138786-29-5 CAPLUS
CN Quinoline, 2-[[3-[2-[[2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-6-methoxyphenyl]methyl]-2H-tetrazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



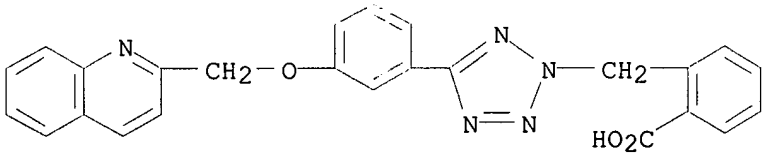
RN 138786-54-6 CAPLUS
CN Benzoic acid, 2-[[5-[3-[(7-chloro-2-quinolinyl)methoxy]phenyl]-2H-tetrazol-2-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



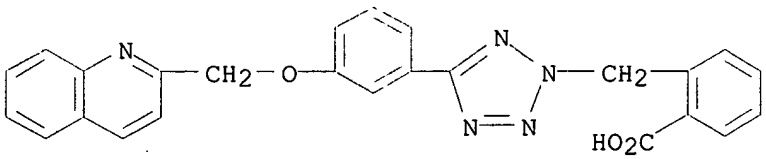
RN 138813-29-3 CAPLUS
CN Benzeneacetic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT **138786-18-2P 138786-20-6P 138786-27-3P**
138786-28-4P 138786-30-8P 138786-31-9P
138786-42-2P 138786-43-3P 138786-52-4P
138786-53-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and leukotriene receptor antagonist activity of)
RN 138786-18-2 CAPLUS
CN Benzoic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

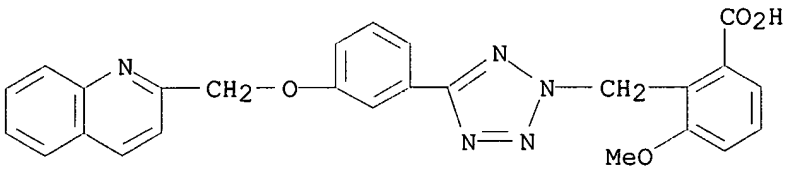


RN 138786-20-6 CAPLUS
CN Benzoic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

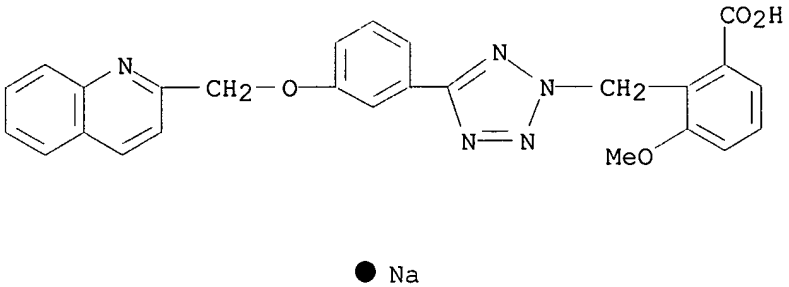


● Na

RN 138786-27-3 CAPLUS
CN Benzoic acid, 3-methoxy-2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

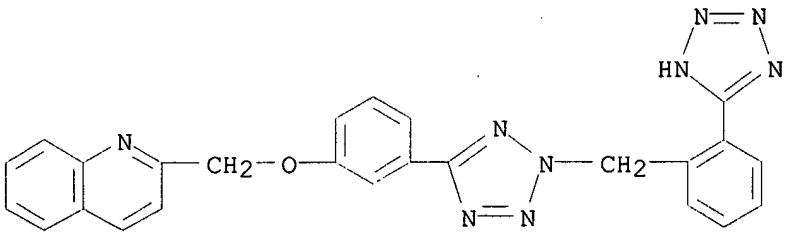


RN 138786-28-4 CAPLUS
CN Benzoic acid, 3-methoxy-2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

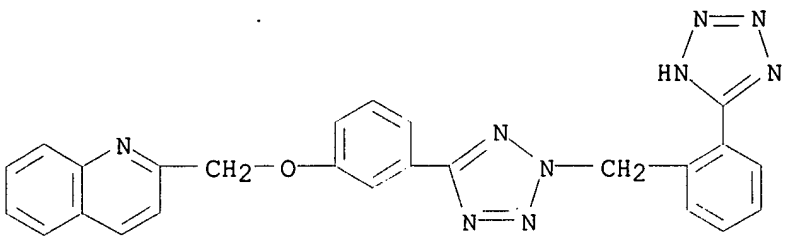


● Na

RN 138786-30-8 CAPLUS
CN Quinoline, 2-[[3-[2-[[2-(1H-tetrazol-5-yl)phenyl]methyl]-2H-tetrazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

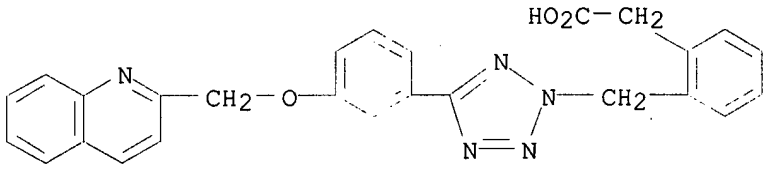


RN 138786-31-9 CAPLUS
CN Quinoline, 2-[[3-[2-[[2-(1H-tetrazol-5-yl)phenyl]methyl]-2H-tetrazol-5-yl]phenoxy]methyl]-, sodium salt (9CI) (CA INDEX NAME)

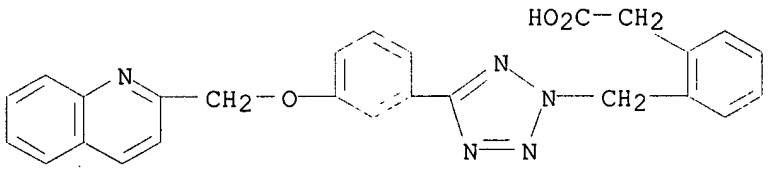


● Na

RN 138786-42-2 CAPLUS
CN Benzeneacetic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

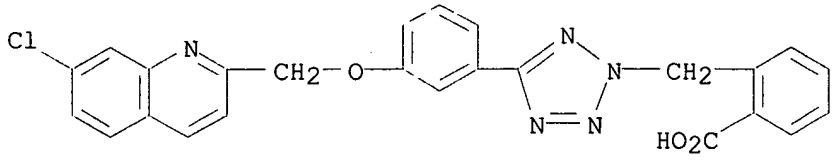


RN 138786-43-3 CAPLUS
CN Benzeneacetic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, sodium salt (9CI) (CA INDEX NAME)



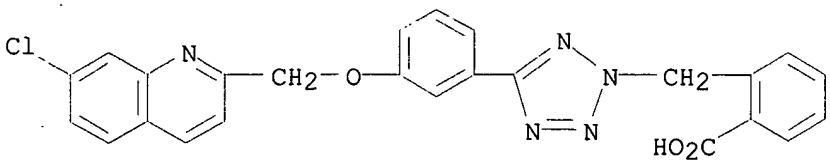
● Na

RN 138786-52-4 CAPLUS
CN Benzoic acid, 2-[[5-[3-[(7-chloro-2-quinolinyl)methoxy]phenyl]-2H-tetrazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 138786-53-5 CAPLUS
CN Benzoic acid, 2-[[5-[3-[(7-chloro-2-quinolinyl)methoxy]phenyl]-2H-tetrazol-

2-yl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

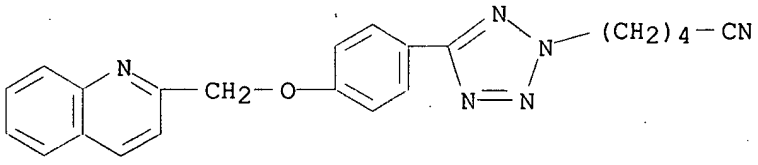


● Na

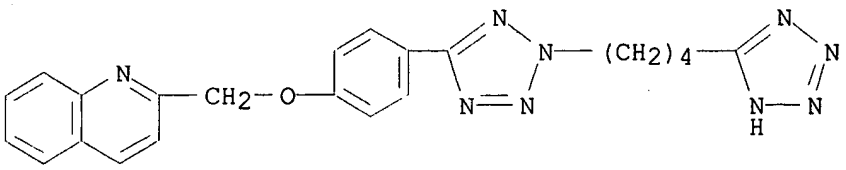
L19 ANSWER 39 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1992:174066 CAPLUS
DOCUMENT NUMBER: 116:174066
TITLE: Development of a series of phenyltetrazole leukotriene D4(LTD4) receptor antagonists
AUTHOR(S): Harper, Richard W.; Herron, David K.; Bollinger, Nancy G.; Sawyer, J. Scott; Baldwin, Ronald F.; Roman, Carlos R.; Rinkema, Lynn E.; Fleisch, Jerome H.
CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA
SOURCE: J. Med. Chem. (1992), 35(7), 1191-200
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:174066

AB A hypothetical model for receptor binding of leukotriene D4 (LTD4) was deduced from conformational anal. of LTD4 and from the structure-activity relationships (SAR) of known LTD4 receptor antagonists. A new structural series of LTD4 receptor antagonists exemplified by 5-[4-(4-phenylbutoxy)phenyl]-2-[4-(tetrazol-5-yl)butyl]-2H-tetrazole was designed in which a phenyltetrazole moiety was incorporated as a receptor binding equiv. of the triene unit of LTD4. A no. of these phenyltetrazoles were prepd. and found to possess LTD4 receptor antagonist activity.

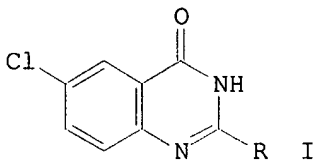
IT **138631-02-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation reaction of, with tributyltin azide)
RN 138631-02-4 CAPLUS
CN 2H-Tetrazole-2-pentanenitrile, 5-[4-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



IT **138631-01-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and leukotriene D4 antagonist activity of)
RN 138631-01-3 CAPLUS
CN Quinoline, 2-[[4-[2-[4-(1H-tetrazol-5-yl)butyl]-2H-tetrazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 40 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:233987 CAPLUS
DOCUMENT NUMBER: 118:233987
TITLE: Synthesis of certain 6-chloro-2-substituted-quinazolin-4-(3H)-ones, 6-chloro-2,4-disubstituted-quinazolines and related fused analogs as counterparts of folic acid analogs
AUTHOR(S): Abdelal, Ali M.
CORPORATE SOURCE: Coll. Pharm., Univ. Mansoura, Mansoura, 355 16, Egypt
SOURCE: Orient. J. Chem. (1992), 8(4), 286-93
CODEN: OJCHEG; ISSN: 0970-020X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:233987
GI

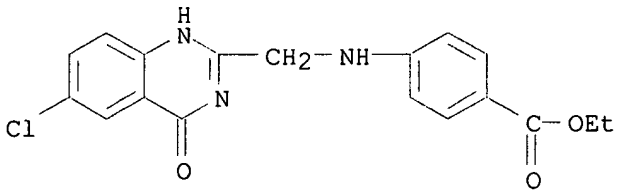


AB The synthesis of 15 new 6-chloro-2-substituted-quinazolin-4(3H)-ones including variation in the 9-10 bridge region is described. Thus, bromination of 6-chloro-3-methylquinazolin-4(3H)-one (I, R = Me) with N-bromosuccinimide afforded the 2-bromomethyl deriv. I (R = BrCH2).

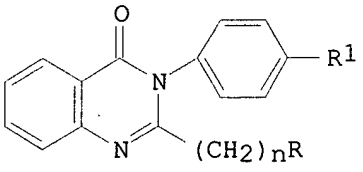
IT **147423-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

RN 147423-75-4 CAPLUS

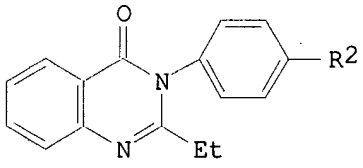
CN Benzoic acid, 4-[[(6-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 41 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:534062 CAPLUS
DOCUMENT NUMBER: 121:134062
TITLE: 4-(3H)-Quinazolones: 2-N-aryl/alkylaminomethyl/ethyl-3-p-hydroxyphenyl/p-anisyl/p-arylaminoacyloxyphenyl/p-N-arylcarbamoylmethoxyphenyl-4-(3H)-quinazolones
AUTHOR(S): Parasharya, P. M.; Soni, V. C.; Parikh, A. R.
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: J. Inst. Chem. (India) (1992), 64(6), 238-41
CODEN: JOICA7; ISSN: 0020-3254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

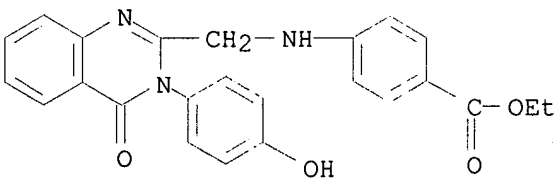


II

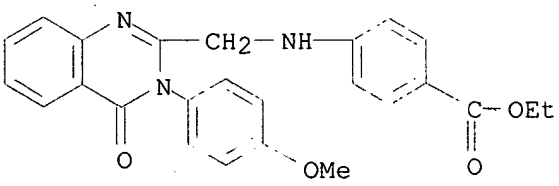
AB Some new 4(3H)-quinazolone derivs., I and II, having N-arylaminomethyl/N-alkylaminomethyl/N-arylaminoethyl group at C(2) and p-hydroxyphenyl/p-anisyl/p-arylaminoacyloxyphenyl/p-(N-arylcarbamoylmethoxy)phenyl group at C(3) were prepd. I and II showed moderate antimicrobial activity (no data). Structures of I and II were confirmed by IR spectra and elemental anal.

IT **156672-45-6P 156672-71-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antimicrobial activity of)

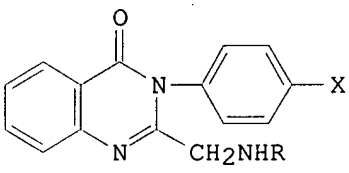
RN 156672-45-6 CAPLUS
CN Benzoic acid, 4-[[[3,4-dihydro-3-(4-hydroxyphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 156672-71-8 CAPLUS
CN Benzoic acid, 4-[[[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 42 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:508675 CAPLUS
DOCUMENT NUMBER: 121:108675
TITLE: 4(3H)-Quinazolones. Part I: 2-Alkyl/arylaminomethyl-3-p-hydroxy/methoxyphenyl-4(3H)-quinazolones
AUTHOR(S): Parasharya, P. M.; Parikh, A. R.
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: J. Inst. Chem. (India) (1992), 64(5), 184-5
CODEN: JOICA7; ISSN: 0020-3254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

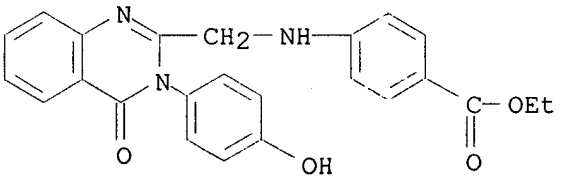


I

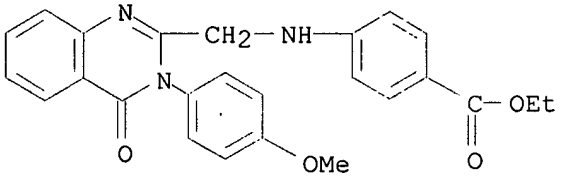
AB The title compds. I (X = OH, OMe; R = alkyl, aryl) were prepd. by amination of the 2-(bromomethyl) derivs. and tested for antibacterial activity. Some I showed remarkable antibacterial activity.

IT **156672-45-6P 156672-71-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)

RN 156672-45-6 CAPLUS
CN Benzoic acid, 4-[[[3,4-dihydro-3-(4-hydroxyphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



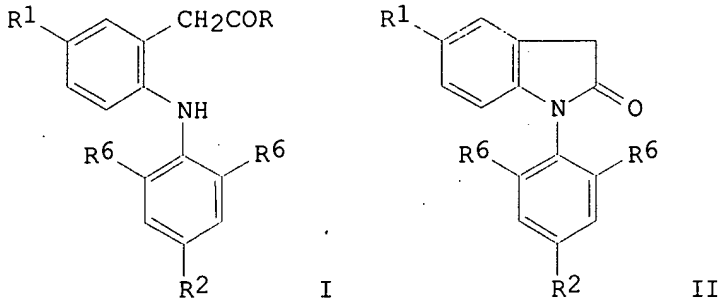
RN 156672-71-8 CAPLUS
CN Benzoic acid, 4-[[[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 43 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1991:535938 CAPLUS
DOCUMENT NUMBER: 115:135938
TITLE: Preparation of (quinolinylmethoxy)-2-anilinophenylacetates as inflammation and allergy inhibitors
INVENTOR(S): Failli, Amedeo Arturo; Kreft, Anthony Frank, III; Musser, John Henry
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

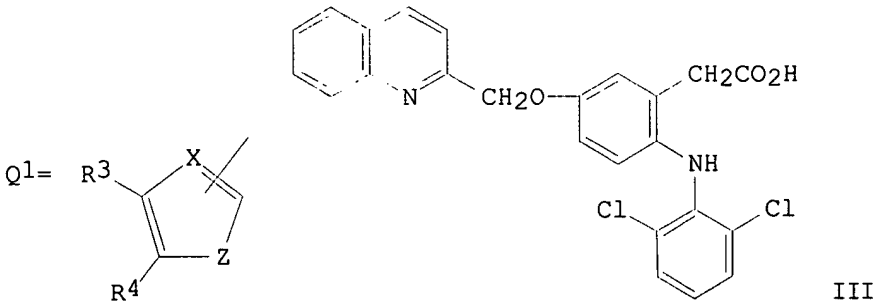
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9106539	A1	19910516	WO 1990-US6253	19901027
W: AU, BR, CA, FI, HU, JP, KR, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5021576	A	19910604	US 1989-428092	19891027
CA 2067135	AA	19910428	CA 1990-2067135	19901027
AU 9067247	A1	19910531	AU 1990-67247	19901027
AU 640429	B2	19930826		
BR 9007789	A	19920915	BR 1990-7789	19901027
EP 523046	A1	19930120	EP 1990-916932	19901027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05502022	T2	19930415	JP 1990-515688	19901027
HU 64751	A2	19940228	HU 1992-1406	19901027
US 5159085	A	19921027	US 1991-667732	19910404
FI 9201863	A	19920424	FI 1992-1863	19920424

PRIORITY APPLN. INFO.: US 1989-428092 19891027
WO 1990-US6253 19901027
OTHER SOURCE(S): MARPAT 115:135938
GI



I

II



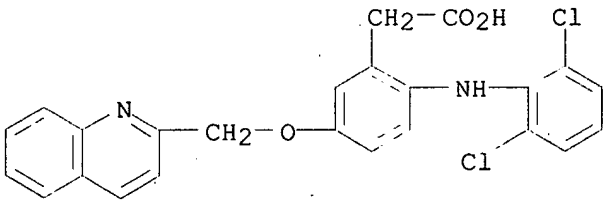
III

AB Title compds. I and their cyclized derivs. I [R = OH, alkoxy, alkoxyamino, etc.; one of R1 and R2 is A(CH2)nO, the other is H; n = 1 or 2; A = phenoxyethyl, phenoxyphenyl, Q1; X = N, CR5; Z = CR5:CR5, CR5:N, NR5, S, O; R3 = H, alkyl, Ph; R4, R5 = H, alkyl; R3R4 = (halo)benzene ring; R6 = H, halo, alkyl] were prepd. I are inhibitors of lipoxygenase and phospholipase A2 and have leukotriene antagonist activities. Reaction of I (R1 = OH; R2 = H; R = OMe; R6 = Cl) (prepn. given) with 2-chloromethylquinoline in acetonitrile contg. potassium carbonate and 18-crown-6, followed by sapon. and workup, gave quinoline deriv. III, which exhibited IC50 of 6.3 .mu.M against phospholipase A2.

IT **136099-33-7P 136099-34-8P 136099-35-9P 136099-37-1P 136099-49-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as lipoxygenase inhibitor)

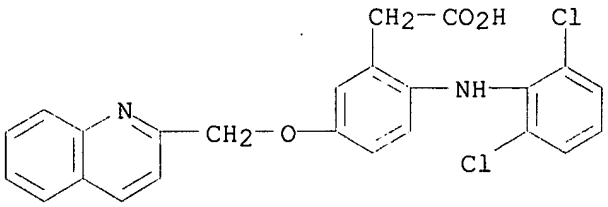
RN 136099-33-7 CAPLUS

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 136099-34-8 CAPLUS

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)

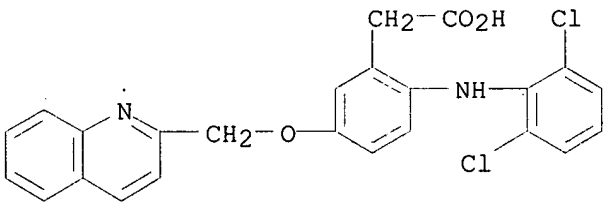


● Na

RN 136099-35-9 CAPLUS
CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

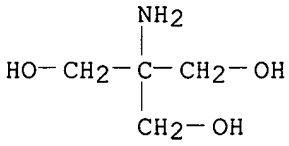
CM 1

CRN 136099-33-7
CMF C24 H18 Cl2 N2 O3

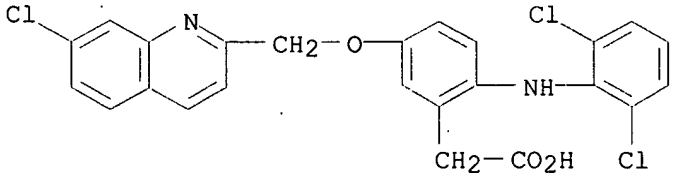


CM 2

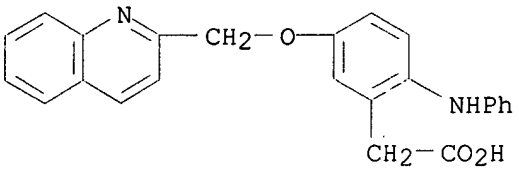
CRN 77-86-1
CMF C4 H11 N O3



RN 136099-37-1 CAPLUS
CN Benzeneacetic acid, 5-[(7-chloro-2-quinolinyl)methoxy]-2-[(2,6-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)



RN 136099-49-5 CAPLUS
CN Benzeneacetic acid, 2-(phenylamino)-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)

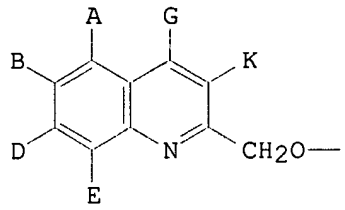


● Na

L19 ANSWER 44 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1991:429144 CAPLUS
DOCUMENT NUMBER: 115:29144
TITLE: Preparation of N-[2-quinolinylmethoxy)benzyl-N'-sulfonylureas as lipoxygenase inhibitors
INVENTOR(S): Mohrs, Klaus; Raddatz, Siegfried; Fruchtmann, Romanis; Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner; Theisen, Pia
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3927369	A1	19910221	DE 1989-3927369	19890819
US 5179106	A	19930112	US 1990-558730	19900727
EP 414019	A2	19910227	EP 1990-115022	19900804
EP 414019	A3	19920513		
EP 414019	B1	19960529		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 138651	E	19960615	AT 1990-115022	19900804
JP 03090062	A2	19910416	JP 1990-214446	19900815
IL 95391	A1	19940530	IL 1990-95391	19900816
CA 2023517	AA	19910220	CA 1990-2023517	19900817
HU 54988	A2	19910429	HU 1990-5055	19900817
HU 208813	B	19940128		
ZA 9006531	A	19910626	ZA 1990-6531	19900817
DD 298089	A5	19920206	DD 1990-343516	19900817
CN 1049656	A	19910306	CN 1990-107154	19900818
AU 9061140	A1	19910221	AU 1990-61140	19900820
AU 632846	B2	19930114		

PRIORITY APPLN. INFO.: DE 1989-3927369 19890819
OTHER SOURCE(S): MARPAT 115:29144
GI

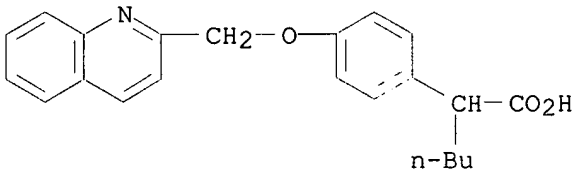
Q= 

AB RMC6H3CHR1NR2CONR3SO2R4 [R = 2-quinolinylmethoxy group Q; A, B, D, E, G, K, M = H, OH, halo, CF3, (halo)alkyl, alkoxy, etc.; R1 = (un)substituted (cyclo)alkyl; R2, R3 = H, (un)substituted alkyl; R4 = (un)substituted alkyl heterocyclyl] were prepd. as lipoxygenase inhibitors (no data). Thus, 4-RC6H4CHBrR5 (R = 2-quinolinylmethoxy) (I; R5 = CO2H) was heated 1 h at 70 .degree. with (PhO)2P(O)N3 in DMF contg. Et3N after which aq. HCl was added and the whole heated at 70.degree. to give I (R5 = NH2) which was condensed with 4-MeC6H4SO2NCO to give I (R 5 = NHCONHSO2C6H4Me-4).

IT **126960-82-5**
RL: RCT (Reactant)
(reaction of, in prepn. of lipoxygenase inhibitor)

RN 126960-82-5 CAPLUS

CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 45 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:419908 CAPLUS

DOCUMENT NUMBER: 117:19908

TITLE: Conversion of a cyclooxygenase (CO) inhibitor into a 5-lipoxygenase (LO) inhibitor: a general route to novel orally active anti-inflammatory and antiallergy drugs

AUTHOR(S): Kreft, A. F.; Failli, A. A.; Musser, J. H.; Kubrak, D. M.; Banker, A. L.; Steffan, R.; Demerson, C. A.; Nelson, J. A.; Shah, U. S.; et al.

CORPORATE SOURCE: Wyeth-Ayerst Res., Princeton, NJ, 08543-8000, USA

SOURCE: Drugs Exp. Clin. Res. (1991), 17(8), 381-7
CODEN: DECRDP; ISSN: 0378-6501

DOCUMENT TYPE: Journal

LANGUAGE: English

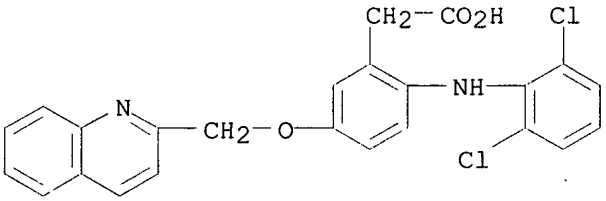
AB Previously, the conversion of a CO inhibitor, naproxen, into an orally active 5-LO inhibitor, Wy-50,295, by covalent attachment of a quinoline group was reported. The authors now report the extension of this transformation to other CO inhibitors. Replacement of an existing substituent or a hydrogen in sulindac, etodolac, carprofen, diclofenac, oxaprozin, des-.alpha.-methyl-ketoprofen, or des-.alpha.-methylflurbiprofen by a methoxyquinoline group afforded new hybrid structures which were orally active 5-LO inhibitors in the rat RPAR (reverse passive Arthus reaction) assay. In contrast to Wy-50,295 which is a selective 5-LO inhibitor, some of these new hybrids were dual inhibitors of 5-LO and CO. For example, the quinoline-etodolac hybrid

WAY-120,739, (1,8-diethyl-1,3,4,9-tetrahydro-6-(2-quinolinylmethoxy)pyrano [3,4-b]indole-1-acetic acid) was a dual inhibitor of 5-LO and CO (91% and 47% inhibition, resp. at 10 .mu.M, rat PMN). In contrast, the quinoline-flurbiprofen hybrid WAY-121,006, (3-fluoro-4'-(2-quinolinylmethoxy)-[1,1'biphenyl]-4-acetic acid), the quinoline-oxaprozin hybrid, WAY-120,460, (5-phenyl-4-[4-(2-quinolinylmethoxy)phenyl]-2-oxazolepropanoic acid) and the quinoline-carprofen hybrid WAY-120,429 (.alpha.-methyl-6-(2-quinolinylmethoxy)-9-(2-quinolinylmethoxy)-9H-carbazole-2-acetic acid) were purely 5-LO inhibitors (100%, 96% and 92% inhibition of 5-LO at 10 .mu.M, rat PMN, resp.). It is tempting to speculate that the mechanism of inhibition of 5-LO by these compds. may involve inhibition of the translocation of the enzyme, as has been reported for other members of the quinoline-contg. 5-LO inhibitor family (Wy-50,295 and L-674,573), in addn. to competitive substrate inhibition resulting from structural mimicry of the substrate. The recent demonstration of the superiority of the quinoline hybrid 5-LO inhibitor Wy-50,295 over the CO inhibitor indomethacin in the collagen-induced arthritis model, opens up the use of these quinoline-based 5-LO inhibitors for chronic inflammatory disease treatment. In summary, replacement of an existing substituent or hydrogen of a CO inhibitor by a methoxyquinoline group is a general route to novel orally active antiinflammatory and antiallergy drugs.

IT **136099-33-7**, WAY 120908
RL: BIOL (Biological study)
(cyclooxygenase and lipoxygenase-inhibiting activity of, structure in relation to)

RN 136099-33-7 CAPLUS

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 46 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:449324 CAPLUS

DOCUMENT NUMBER: 119:49324

TITLE: 4-(3H)-quinazolones. Part III: Alkyl or arylaminomethyl/substituted cinnamyl-3-p sulfonamidophenyl-4-(3H)-quinazolones

AUTHOR(S): Gaur, V. B.; Shah, V. H.; Parikh, A. R.

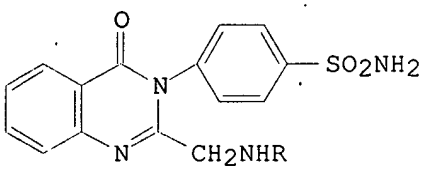
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India

SOURCE: J. Inst. Chem. (India) (1991), 63(6), 219-20
CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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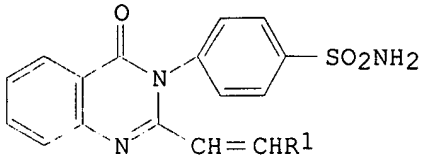

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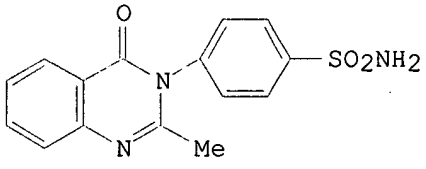


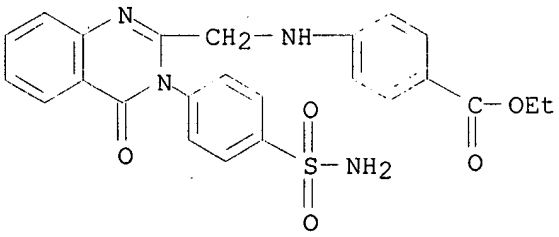
III

AB Title compds, including aminomethyl derivs. I (R = Ph, 2-MeC6H4, 3-MeC6H4, 4-MeC6H4, 2-MeOC6H4, 3-MeOC6H4, 4-MeOC6H4, 2-O2NC6H4, 3-O2NC6H4, 4-O2NC6H4, 2-ClC6H4, 3-ClC6H4, 4-ClC6H4, 4-BrC6H4, PhCH2, 1-naphthyl, Me, Bu, octadecyl) and styryl derivs. II (R1 = 2-O2NC6H4, 3-O2NC6H4, 4-O2NC6H4, 2-ClC6H4, 3-ClC6H4, 4-ClC6H4), were prepd. from aminosulfonylphenylmethylquinazolone III. Several aminomethyl derivs., e.g., I (2-O2NC6H4, 3-O2NC6H4, 4-O2NC6H4, 2-ClC6H4, 3-ClC6H4, 4-ClC6H4, Bu, octadecyl) showed good bactericidal and fungicidal activity.

IT **147766-78-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 147766-78-7 CAPLUS

CN Benzoic acid, 4-[[[3-[4-(aminosulfonyl)phenyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 47 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1991:656106 CAPLUS

DOCUMENT NUMBER: 115:256106

TITLE: 4-(3H)-quinazolones. Part II: 2-alkyl- or arylaminomethyl-substituted cinnamyl-3-p-(N-phenylthioureidosulfophenyl)-4-(3H)-quinazolones

AUTHOR(S): Gaur, V. B.; Shah, V. H.; Parikh, A. R.

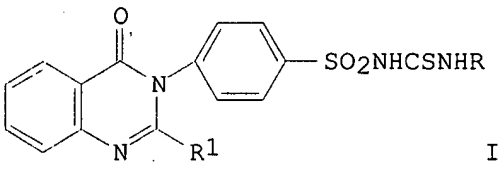
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India

SOURCE: J. Inst. Chem. (India) (1991), 63(2), 66-8
CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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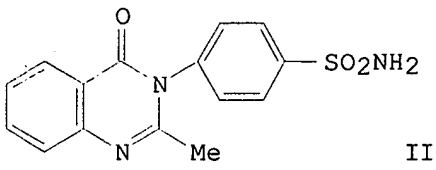


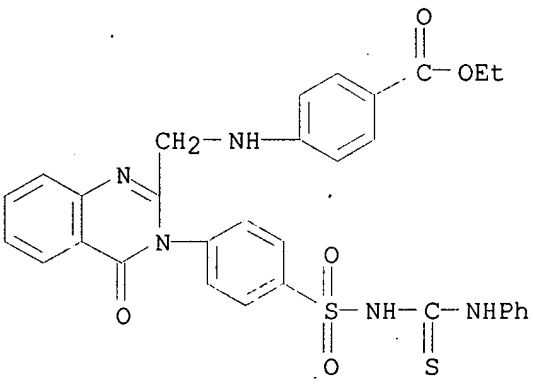
II

AB Title compds. I (R = Ph, substituted Ph, furyl, naphthyl, octadecyl; R1 = CH2NHR, CHBrCHBrPh, CH:CHPh) were prepd. from the sulfonamide II. I have bactericidal and fungicidal activity.

IT **137205-55-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 137205-55-1 CAPLUS

CN Benzoic acid, 4-[[[3,4-dihydro-4-oxo-3-[4-[[[(phenylamino)thioxomethyl]amino]sulfonyl]phenyl]-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 48 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1991:164036 CAPLUS

DOCUMENT NUMBER: 114:164036

TITLE: Preparation of (quinolinylmethoxy)phenylacylsulfonamides and -cyanamides as lipoxygenase inhibitors

INVENTOR(S): Raddatz, Siegfried; Mohrs, Klaus Helmut; Fruchtmann, Romanis; Kohlsdorder, Christian; Theisen-Popp, Pia; Mueller-Peddinghaus

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 399291	A2	19901128	EP 1990-108775	19900510
EP 399291	A3	19910306		
EP 399291	B1	19940720		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3916663	A1	19901129	DE 1989-3916663	19890523
US 5091392	A	19920225	US 1990-517108	19900501

ES 2056294	T3	19941001	ES 1990-108775	19900510
CA 2017135	AA	19901123	CA 1990-2017135	19900518
DD 297961	A5	19920130	DD 1990-340878	19900521
ZA 9003939	A	19910327	ZA 1990-3939	19900522
HU 54655	A2	19910328	HU 1990-3132	19900522
IL 94465	A1	19940731	IL 1990-94465	19900522
AU 9055867	A1	19901129	AU 1990-55867	19900523
AU 627579	B2	19920827		
JP 03005459	A2	19910111	JP 1990-131439	19900523
JP 3004678	B2	20000131		
US 5391747	A	19950221	US 1991-764435	19910923

PRIORITY APPLN. INFO.: DE 1989-3916663 A 19890523
US 1990-517108 A3 19900501

OTHER SOURCE(S): MARPAT 114:164036

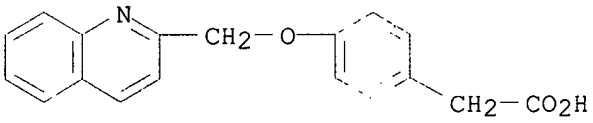
GI For diagram(s), see printed CA Issue.

AB Title compds. I [A, B, D, E, L, G = H, OH, halo, CO2H, NO2, CF3, OCF3, (substituted) amino, aryl, alkyl, alkoxy or alkoxycarbonyl; R1 = (alkyl)C3-14 cycloalkyl; R2 = H, (substituted) C1-10 alkyl, (substituted) C3-8 cycloalkyl, alkali metal; X = substituted sulfonyl, cyano] were prepd. Thus, a mixt. of 1-[4-(quinolin-2-ylmethoxy)phenyl](cyclopentyl)acetic acid, dimethylaminopyridine, methanesulfonamide, and EtN:C:N(CH2)3NMe2.HCl in CH2Cl2 was stirred 60 h at room temp. to give title compd. I (A, B, D, E, L, G = H, R1 = cyclopentyl, R2 = H, X = SO2Me) (II) in 68.4% yield. The IC50 of II against lipoxygenase in the mouse ear inflammation test was 2.7 .times. 10-8 mmol/L.

IT **121289-78-9**
RL: RCT (Reactant)
(alkylation of, in prepn. of lipoxygenase inhibitors)

RN 121289-78-9 CAPLUS

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 49 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1991:228757 CAPLUS

DOCUMENT NUMBER: 114:228757

TITLE: Preparation of (heterocyclylmethoxyphenyl)tetrahydropyrans and related compounds as lipoxygenase inhibitors

INVENTOR(S): Crawley, Graham Charles; Edwards, Philip Neil; Girodeau, Jean Marc Marie Maurice

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.

SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 385662	A2	19900905	EP 1990-301934	19900222
EP 385662	A3	19911121		
EP 385662	B1	19951213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9000997	A	19901031	ZA 1990-997	19900209

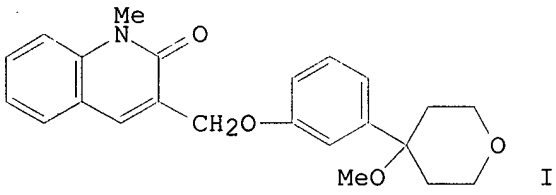
IL 93342	A1	19940530	IL 1990-93342	19900211
CA 2009902	AA	19900831	CA 1990-2009902	19900213
AU 9049766	A1	19900906	AU 1990-49766	19900214
AU 627275	B2	19920820		
HU 58083	A2	19920128	HU 1990-826	19900216
HU 210165	B	19950228		
AT 131477	E	19951215	AT 1990-301934	19900222
ES 2081925	T3	19960316	ES 1990-301934	19900222
DD 297409	A5	19920109	DD 1990-338111	19900223
NO 9000916	A	19900829	NO 1990-916	19900227
NO 175591	B	19940725		
NO 175591	C	19941102		
RU 2058306	C1	19960420	RU 1990-4743295	19900227
JP 02268157	A2	19901101	JP 1990-46011	19900228
JP 2545629	B2	19961023		
CN 1046903	A	19901114	CN 1990-101081	19900228
CN 1031266	B	19960313		
FI 96512	B	19960329	FI 1990-1008	19900228
FI 96512	C	19960710		
US 5134148	A	19920728	US 1991-758491	19910905
US 5236919	A	19930817	US 1992-881133	19920511
US 5401751	A	19950328	US 1993-64979	19930524
LT 3396	B	19950925	LT 1993-587	19930531

PRIORITY APPLN. INFO.:

EP 1989-400560	19890228
EP 1989-401493	19890531
US 1990-485875	19900227
US 1991-758491	19910905
US 1992-881133	19920511

OTHER SOURCE(S): MARPAT 114:228757

GI



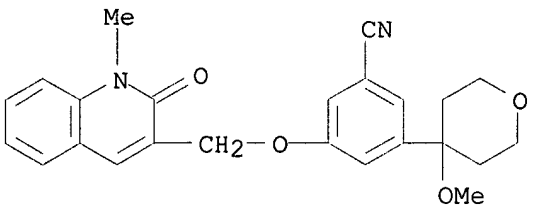
I

AB Title compds. QAXArC(OR1)R2R3 [Q = 6-membered monocyclyl or 10-membered bicyclyl contg. 1 or 2 N which may bear 2-3 substituents; A = C1-6 alkenylene, C3-5 alkylene, C3-6 alkynylene, cyclo-C3-6-alkylene; X = O, S, SO, SO2, NH; Ar = (substituted) phenylene, 6-membered heterocyclyl contg. .ltoreq.3 N which may be substituted; R1 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, etc.; R2R3 = (substituted) 4-7-membered ring contg. X] 5-lipoxygenase inhibitors useful in treating leukotriene mediated disease, are prepd. 3-(Bromomethyl)-1,2-dihydro-1-methylquinolin-2-one (prepn. given), 4-(3-hydroxyphenyl)-4-methoxytetrahydropyran (prepn. given), K2CO3 and DMF were stirred at ambient temp. for 15 h to give pyran I. Title compds. inhibited 5-LO with IC50's of 0.01-30 micromolar. Pharmaceutical formulations comprising the title compds. are given.

IT **133739-06-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as 5-lipoxygenase inhibitor)

RN 133739-06-7 CAPLUS

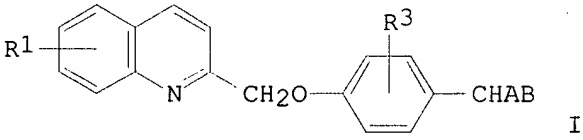
CN Benzonitrile, 3-[(1,2-dihydro-1-methyl-2-oxo-3-quinolinyl)methoxy]-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 50 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:406181 CAPLUS
DOCUMENT NUMBER: 113:6181
TITLE: Preparation and formulation of quinolinylmethoxyphenyl(thio)alkanoic acids as inhibitors of leukotriene biosynthesis
INVENTOR(S): Zamboni, Robert; Prasit, Petpiboon; Young, Robert N.
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 349062	A1	19900103	EP 1989-201641	19890622
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
CA 1333714	A1	19941227	CA 1989-603708	19890623
DK 8903147	A	19891228	DK 1989-3147	19890626
JP 02076855	A2	19900316	JP 1989-165160	19890627

PRIORITY APPLN. INFO.: US 1988-211642 19880627
OTHER SOURCE(S): MARPAT 113:6181
GI

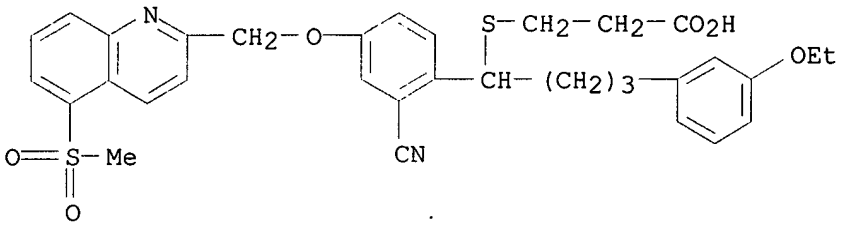


I

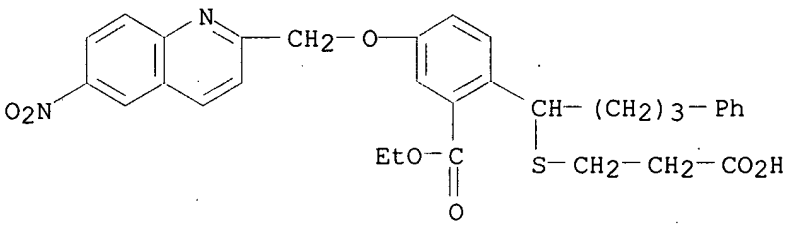
AB Title compds. [I; R1 = H, F, F3C, Me, MeO, Me2CH, H2C:CH, MeCH(OH), etc.; R3 = H, iodo, F3C, MeO, NC, N3, MeS, etc.; A = (un)substituted phenylalkyl; B = HO2CCH2S, H2NCO(CH2)2S, HO2CCHMeS, HO2CCH2CH2O, Me2NCOCH2CH2S, etc.] inhibitors of leukotriene biosynthesis (no data) are prepd. I are useful in preventing synthesis or release of SRS-A. Details of assays to det. leukotriene biosynthesis inhibiting activity and pharmaceutical formulations are given. I (R1 = R3 = H; A = Ph(CH2)3; B = MeO2CCH2S) [prepn. starting from 2-chloromethylquinoline and 4-hydroxybenzaldehyde via 4-phenyl-1-(4-(2-quinolinylmethoxy)phenyl)butanol given] in THF/MeOH was treated with NaOH to give I (R1 = R3 = H; A = Ph(CH2)3; B = HO2CCH2S).

IT **127481-82-7P 127481-87-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

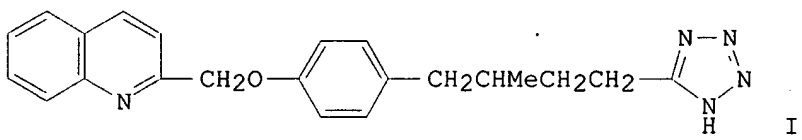
(prepn. of, as leukotriene inhibitor)
RN 127481-82-7 CAPLUS
CN Propanoic acid, 3-[[1-[2-cyano-4-[[5-(methylsulfonyl)-2-quinolinyl]methoxy]phenyl]-4-(3-ethoxyphenyl)butyl]thio]- (9CI) (CA INDEX NAME)



RN 127481-87-2 CAPLUS
CN Benzoic acid, 2-[1-[(2-carboxyethyl)thio]-4-phenylbutyl]-5-[(6-nitro-2-quinolinyl)methoxy]-, 1-ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 51 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:591121 CAPLUS
DOCUMENT NUMBER: 113:191121
TITLE: The development of a novel series of (quinolin-2-ylmethoxy)phenyl-containing compounds as high-affinity leukotriene receptor antagonists. 3. Structural variation of the acidic side chain to give antagonists of enhanced potency
AUTHOR(S): Galemmo, Robert A., Jr.; Johnson, William H., Jr.; Learn, Keith S.; Lee, Thomas D. Y.; Huang, Fu Chih; Campbell, Henry F.; Youssefyeh, Raymond; O'Rourke, Susan V.; Schuessler, Glenn; et al.
CORPORATE SOURCE: Rorer Cent. Res., Horsham, PA, 19044, USA
SOURCE: J. Med. Chem. (1990), 33(10), 2828-41
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:191121
GI

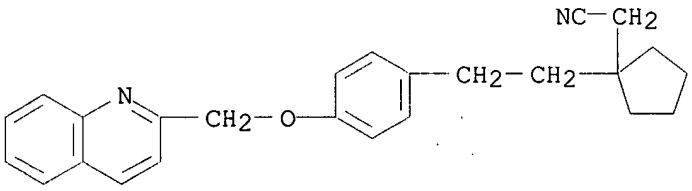


I

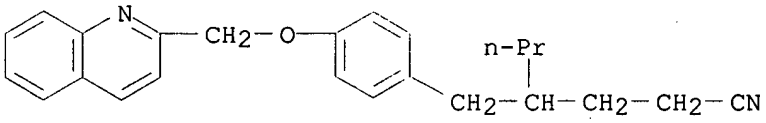
AB The development of orally active leukotriene antagonists contg. a (quinolin-2-ylmethoxy)phenyl moiety is described. Systematic variation of the Ph side chain substituents leads to dramatic and reproducible changes in the oral activity of these compds., presumably due to alterations in their pharmacokinetic properties. The most potent compd. identified, 5-[4-[4-(quinolin-2-ylmethoxy)phenyl]-3-methylbutyl]tetrazole (I) represents a convergence of good in vitro antagonist activity and a 3-10-fold improvement in oral potency over the current clin. candidate. Oxygen substitution in the acid side chain is not necessary for antagonist activity. In vitro and in vivo activity is enhanced by alkyl or Ph substitution on the .gamma.-C of the acid side chain of para-substituted (quinolin-2-ylmethxoy)phenyl derivs., and free rotation about the side chain C atom adjacent to the (quinolin-2-ylmethoxy)phenyl ring G is required for activity. I is a competitive inhibitor of [3H]leukotriene D4 (LTD4)4 binding to receptor membrane purified from guinea pig lung and of the spasmogenic activity of LTC4, LTD4, and LTE4 in guinea pig lung strip. Dosed orally in guinea pigs, I blocks LTD4-induced bronchoconstriction (ED50 = 0.8 mg/kg) and antigen-induced systemic anaphylaxis (ED50 1.2 mg/kg).

IT **129650-11-9P 129650-32-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cycloaddn. reaction of, with azide)

RN 129650-11-9 CAPLUS
CN Cyclopentaneacetonitrile, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

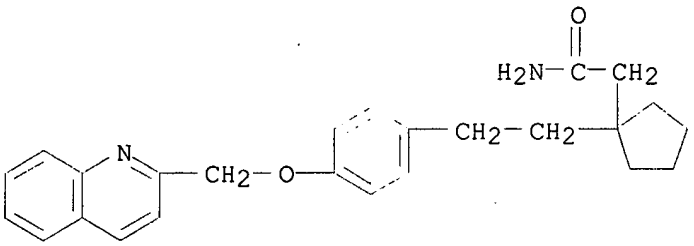


RN 129650-32-4 CAPLUS
CN Benzenepentanenitrile, .gamma.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



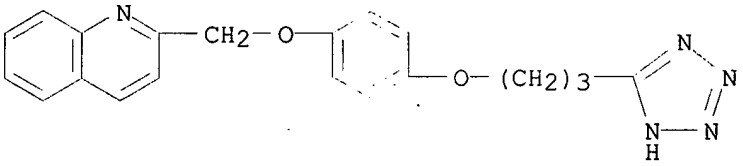
IT **129650-10-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and dehydration reaction of)

RN 129650-10-8 CAPLUS
CN Cyclopentaneacetamide, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

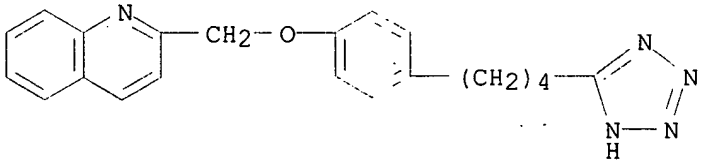


IT **107813-78-5P 114497-46-0P 114497-47-1P**
125439-17-0P 125439-19-2P 129649-21-4P
129649-22-5P 129649-23-6P 129649-24-7P
129649-25-8P 129649-26-9P 129649-27-0P
129649-28-1P 129649-29-2P 129649-30-5P
129649-31-6P 129649-32-7P 129649-33-8P
129649-34-9P 129649-35-0P 129649-36-1P
129649-37-2P 129649-38-3P 129649-39-4P
129649-40-7P 129649-41-8P 129649-45-2P
129649-48-5P 129649-49-6P 129650-27-7P
129650-28-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and leukotriene receptor antagonist activity of)

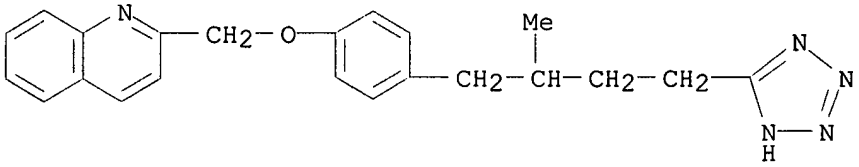
RN 107813-78-5 CAPLUS
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



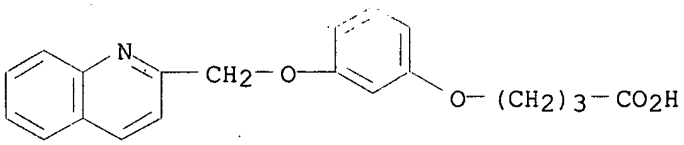
RN 114497-46-0 CAPLUS
CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



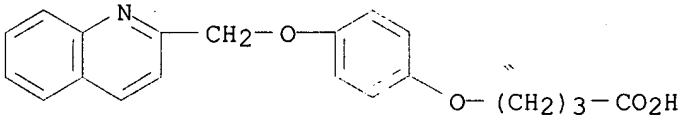
RN 114497-47-1 CAPLUS
CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



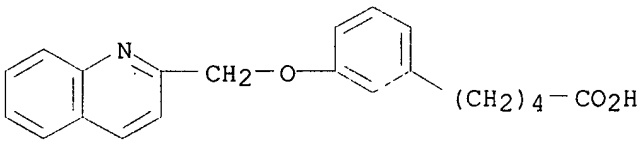
RN 125439-17-0 CAPLUS
CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



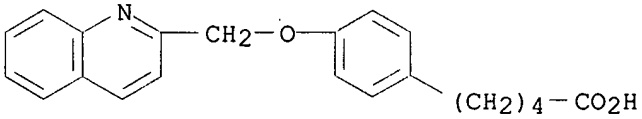
RN 125439-19-2 CAPLUS
CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



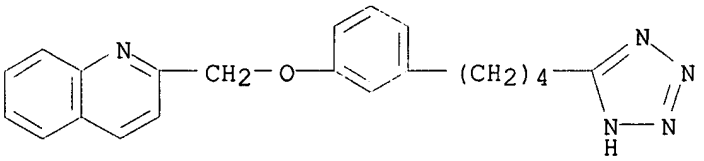
RN 129649-21-4 CAPLUS
CN Benzenepentanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-22-5 CAPLUS
CN Benzenepentanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



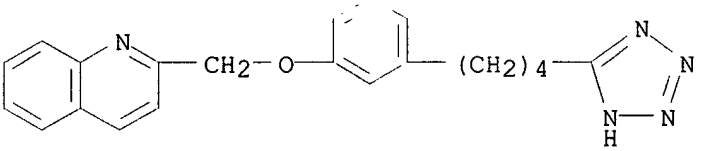
RN 129649-23-6 CAPLUS
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 129649-24-7 CAPLUS
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

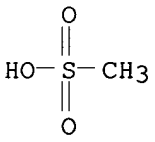
CM 1

CRN 129649-23-6
CMF C21 H21 N5 O

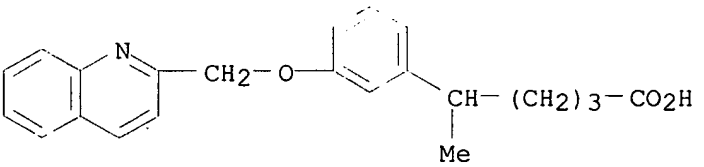


CM 2

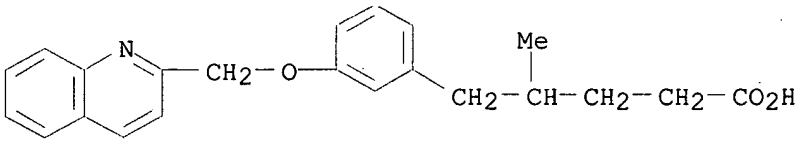
CRN 75-75-2
CMF C H4 O3 S



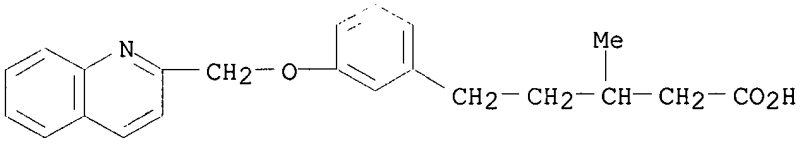
RN 129649-25-8 CAPLUS
CN Benzenepentanoic acid, .delta.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



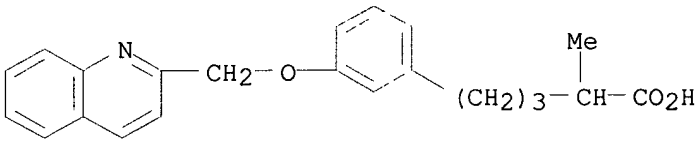
RN 129649-26-9 CAPLUS
CN Benzenepentanoic acid, .gamma.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



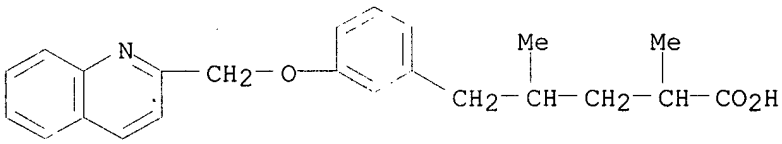
RN 129649-27-0 CAPLUS
CN Benzenepentanoic acid, .beta.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



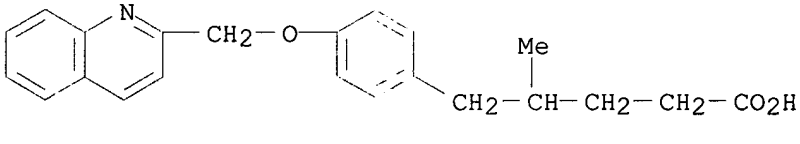
RN 129649-28-1 CAPLUS
CN Benzenepentanoic acid, .alpha.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



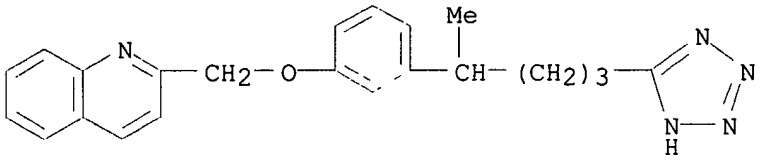
RN 129649-29-2 CAPLUS
CN Benzenepentanoic acid, .alpha.,.gamma.-dimethyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



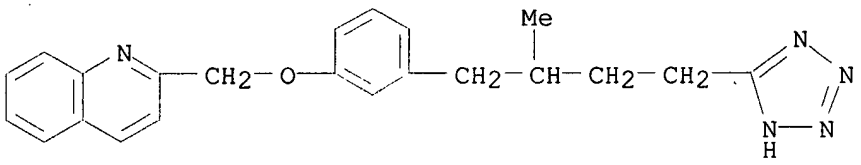
RN 129649-30-5 CAPLUS
CN Benzenepentanoic acid, .gamma.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



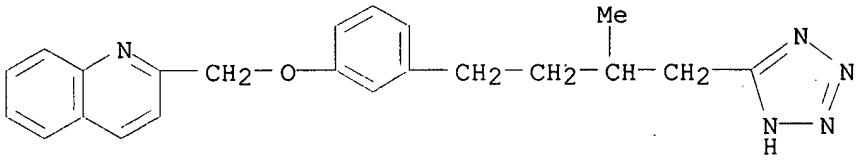
RN 129649-31-6 CAPLUS
CN Quinoline, 2-[[3-[1-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



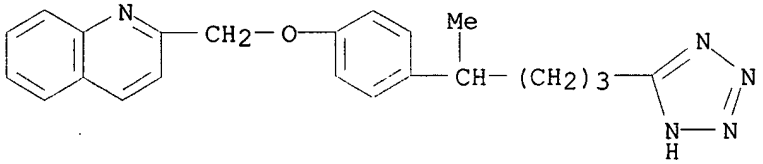
RN 129649-32-7 CAPLUS
CN Quinoline, 2-[[3-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
(9CI) (CA INDEX NAME)



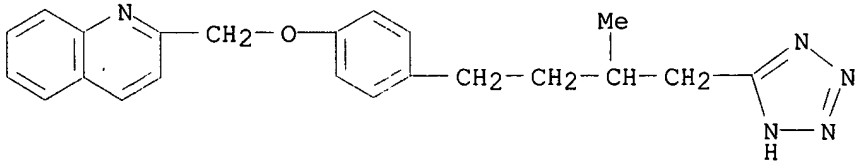
RN 129649-33-8 CAPLUS
CN Quinoline, 2-[[3-[3-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
(9CI) (CA INDEX NAME)



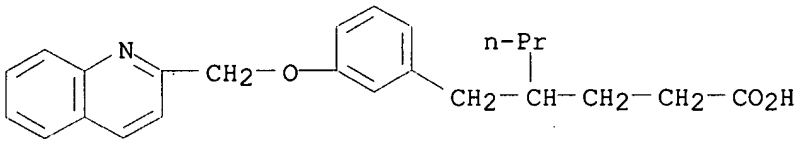
RN 129649-34-9 CAPLUS
CN Quinoline, 2-[[4-[1-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
(9CI) (CA INDEX NAME)



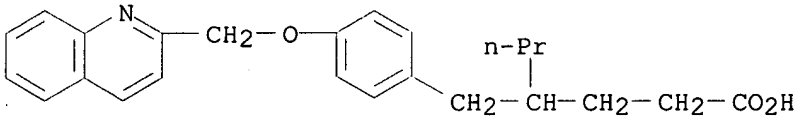
RN 129649-35-0 CAPLUS
CN Quinoline, 2-[[4-[3-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
(9CI) (CA INDEX NAME)



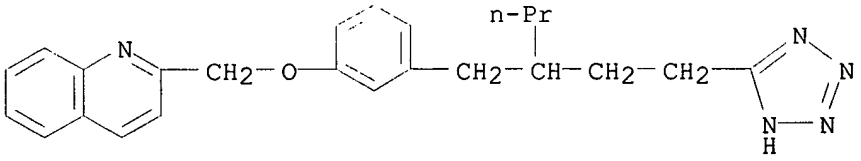
RN 129649-36-1 CAPLUS
CN Benzenepentanoic acid, .gamma.-propyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



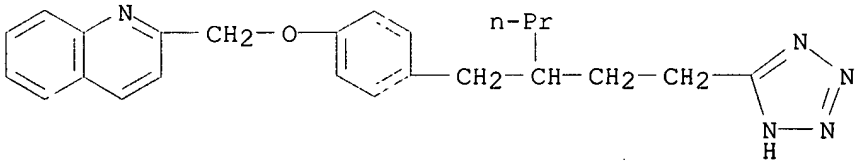
RN 129649-37-2 CAPLUS
CN Benzenepentanoic acid, .gamma.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



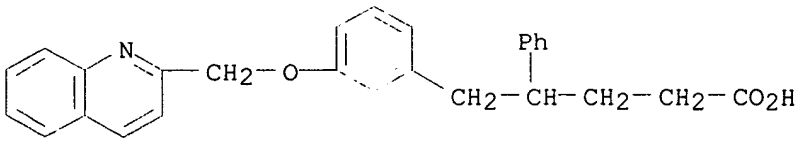
RN 129649-38-3 CAPLUS
CN Quinoline, 2-[[3-[2-[2-(1H-tetrazol-5-yl)ethyl]pentyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



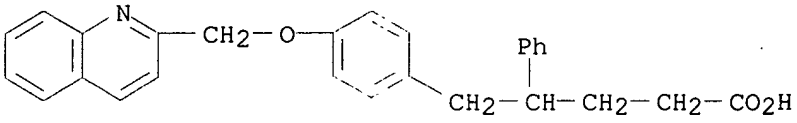
RN 129649-39-4 CAPLUS
CN Quinoline, 2-[[4-[2-[2-(1H-tetrazol-5-yl)ethyl]pentyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



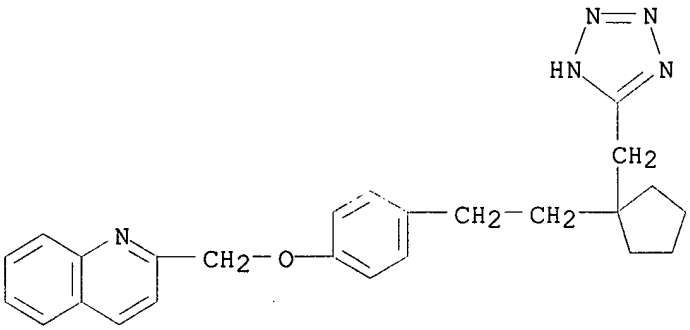
RN 129649-40-7 CAPLUS
CN Benzenepentanoic acid, .gamma.-phenyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-41-8 CAPLUS
CN Benzenepentanoic acid, .gamma.-phenyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

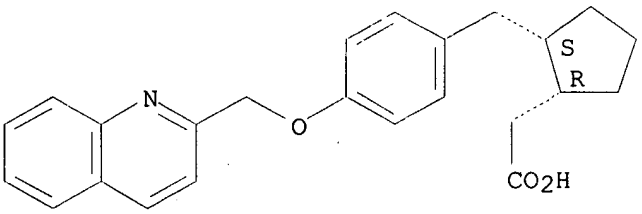


RN 129649-45-2 CAPLUS
CN Quinoline, 2-[[4-[2-[1-(1H-tetrazol-5-ylmethyl)cyclopentyl]ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



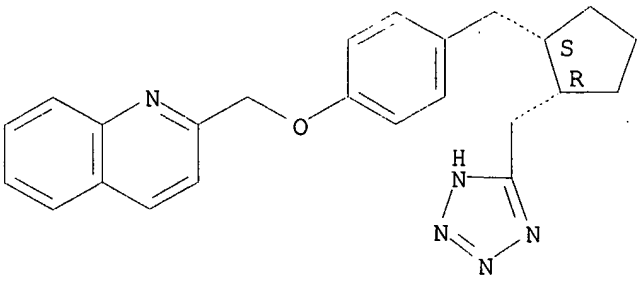
RN 129649-48-5 CAPLUS
CN Cyclopentaneacetic acid, 2-[[4-(2-quinolinylmethoxy)phenyl]methyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



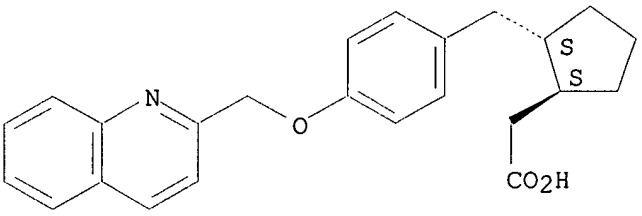
RN 129649-49-6 CAPLUS
CN Quinoline, 2-[[4-[[2-(1H-tetrazol-5-ylmethyl)cyclopentyl]methyl]phenoxy]methyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



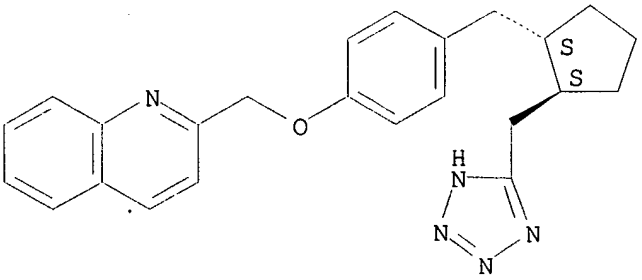
RN 129650-27-7 CAPLUS
CN Cyclopentaneacetic acid, 2-[[4-(2-quinolinylmethoxy)phenyl]methyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

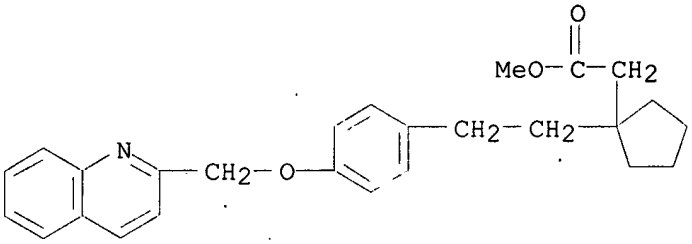


RN 129650-28-8 CAPLUS
CN Quinoline, 2-[[4-[[2-(1H-tetrazol-5-ylmethyl)cyclopentyl]methyl]phenoxy]methyl]-, trans- (9CI) (CA INDEX NAME)

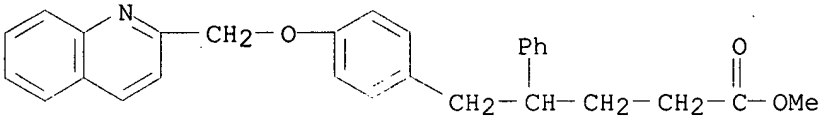
Relative stereochemistry.



IT **129650-09-5P 129650-31-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)
RN 129650-09-5 CAPLUS
CN Cyclopentaneacetic acid, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

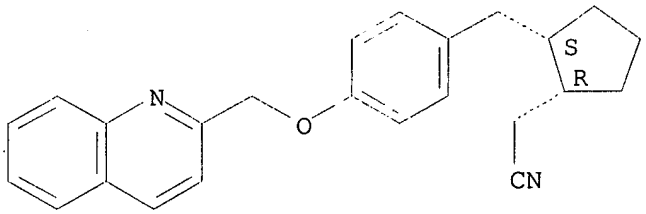


RN 129650-31-3 CAPLUS
CN Benzenepentanoic acid, .gamma.-phenyl-4-(2-quinolinylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



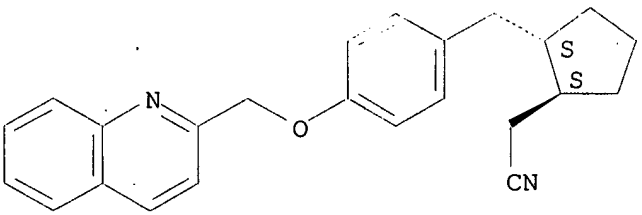
IT **129650-19-7P 129650-24-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., hydrolysis, and cycloaddn. reaction of, with azide)
RN 129650-19-7 CAPLUS
CN Cyclopentaneacetonitrile, 2-[[4-(2-quinolinylmethoxy)phenyl]methyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



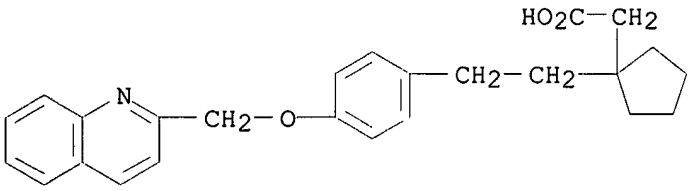
RN 129650-24-4 CAPLUS
CN Cyclopentaneacetonitrile, 2-[[4-(2-quinolinylmethoxy)phenyl]methyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

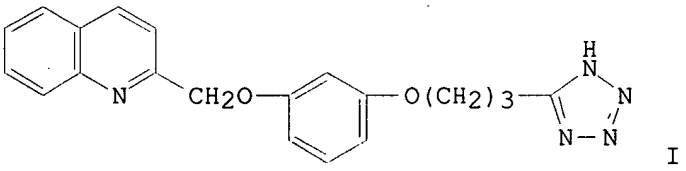


IT **129649-44-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., leukotriene receptor antagonist activity, and amidation of)

RN 129649-44-1 CAPLUS
CN Cyclopentaneacetic acid, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

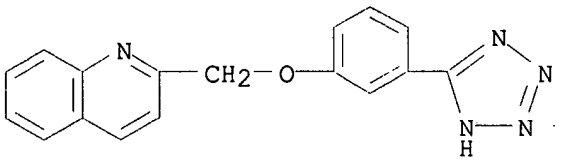


L19 ANSWER 52 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:131890 CAPLUS
DOCUMENT NUMBER: 112:131890
TITLE: Development of a novel series of (2-quinolinylmethoxy)phenyl-containing compounds as high-affinity leukotriene receptor antagonists. 1. Initial structure-activity relationships
AUTHOR(S): Youssefyeh, Raymond D.; Magnien, Ernest; Lee, Thomas D. Y.; Chan, Wan Kit; Lin, Clara J.; Galemmo, Robert A., Jr.; Johnson, William H., Jr.; Tan, Jenny; Campbell, Henry F.; et al.
CORPORATE SOURCE: Rorer Cent. Res., Horsham, PA, 19044, USA
SOURCE: J. Med. Chem. (1990), 33(4), 1186-94
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

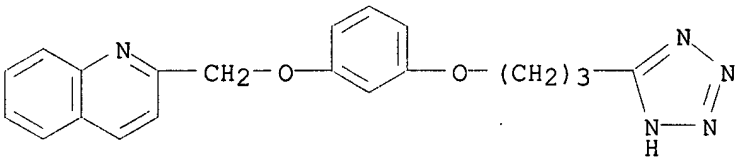


I

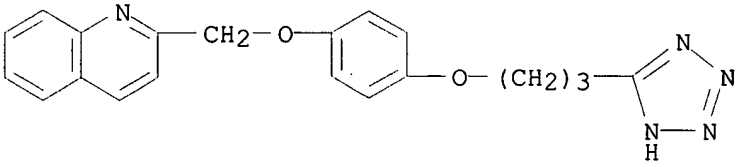
AB A series of title compds. was prepd. and tested for leukotriene antagonist, antiallergic (wheat test), antianaphylaxis, and bronchodilatory activities. RG 5901 (I) showed potent leukotriene antagonist activity. Structure-activity relationships are discussed.
IT **107813-59-2P 107813-63-8P 107813-78-5P**
107813-81-0P 107813-83-2P 114497-40-4P
114497-41-5P 114497-44-8P 114497-45-9P
114497-46-0P 114497-48-2P 114516-61-9P
125439-16-9P 125439-17-0P 125439-18-1P
125439-20-5P 125439-21-6P 125439-23-8P
125439-24-9P 125439-25-0P 125439-40-9P
125451-72-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and leukotriene antagonist activity of, antiallergy and antiasthmatic activities in relation to)
RN 107813-59-2 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



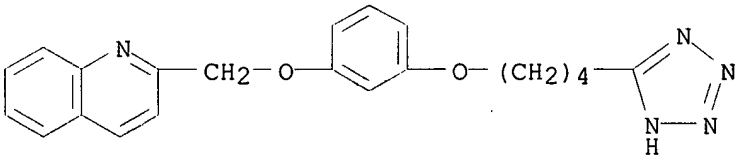
RN 107813-63-8 CAPLUS
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



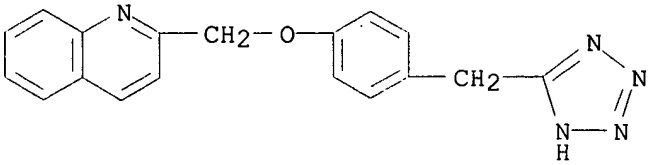
RN 107813-78-5 CAPLUS
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



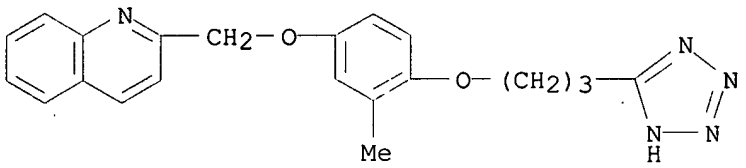
RN 107813-81-0 CAPLUS
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



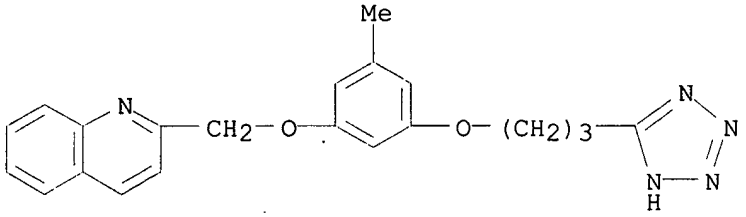
RN 107813-83-2 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



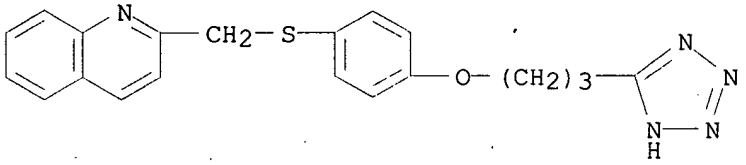
RN 114497-40-4 CAPLUS
CN Quinoline, 2-[[3-methyl-4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



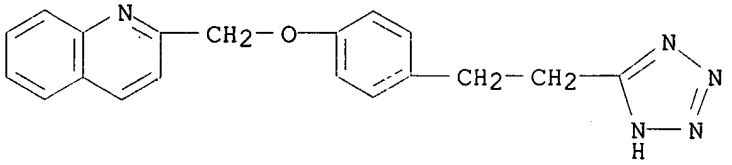
RN 114497-41-5 CAPLUS
CN Quinoline, 2-[[3-methyl-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



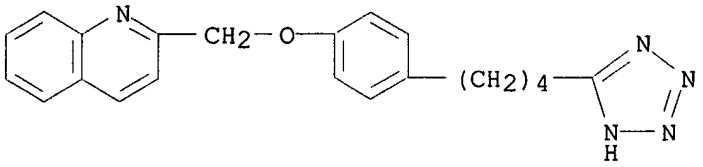
RN 114497-44-8 CAPLUS
CN Quinoline, 2-[[[4-[3-(1H-tetrazol-5-yl)propoxy]phenyl]thio]methyl]- (9CI) (CA INDEX NAME)



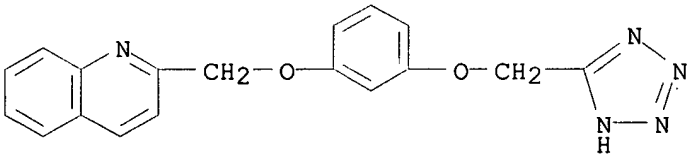
RN 114497-45-9 CAPLUS
CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



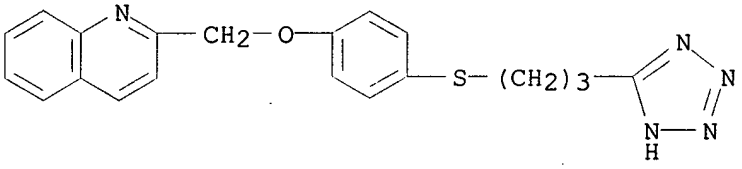
RN 114497-46-0 CAPLUS
CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



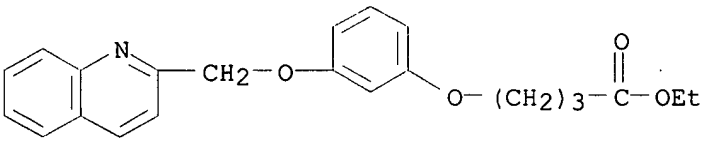
RN 114497-48-2 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-ylmethoxy)phenoxy]methyl]- (9CI) (CA INDEX NAME)



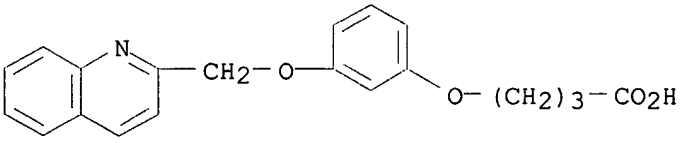
RN 114516-61-9 CAPLUS
CN Quinoline, 2-[[4-[[3-(1H-tetrazol-5-yl)propyl]thio]phenoxy]methyl]- (9CI) (CA INDEX NAME)



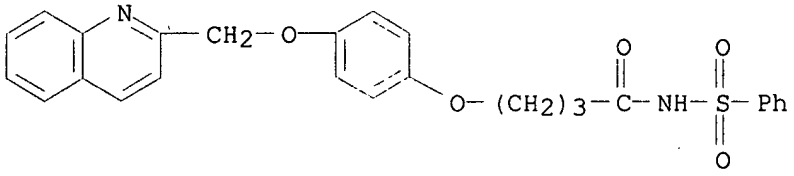
RN 125439-16-9 CAPLUS
CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



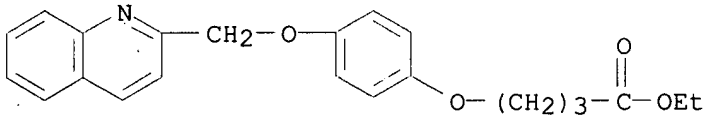
RN 125439-17-0 CAPLUS
CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



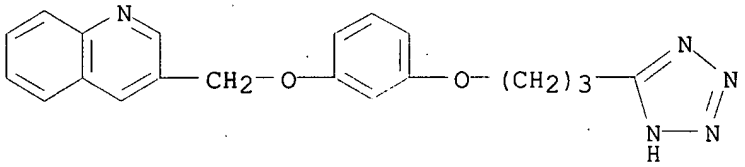
RN 125439-18-1 CAPLUS
CN Butanamide, N-(phenylsulfonyl)-4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



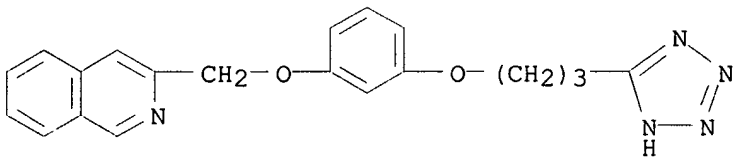
RN 125439-20-5 CAPLUS
CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



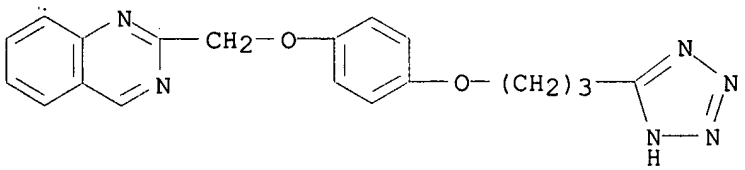
RN 125439-21-6 CAPLUS
CN Quinoline, 3-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 125439-23-8 CAPLUS
CN Isoquinoline, 3-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

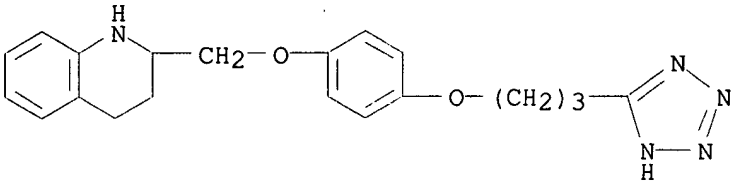


RN 125439-24-9 CAPLUS
CN Quinazoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

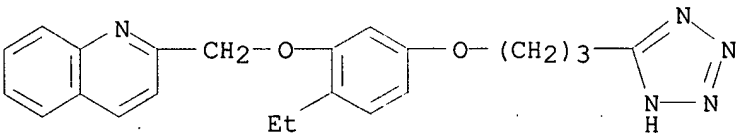


RN 125439-25-0 CAPLUS

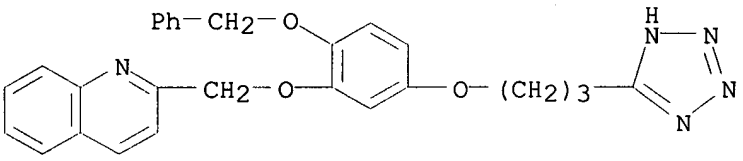
CN Quinoline, 1,2,3,4-tetrahydro-2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



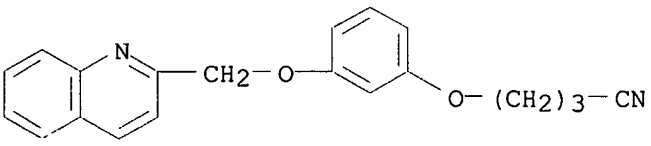
RN 125439-40-9 CAPLUS
CN Quinoline, 2-[[2-ethyl-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



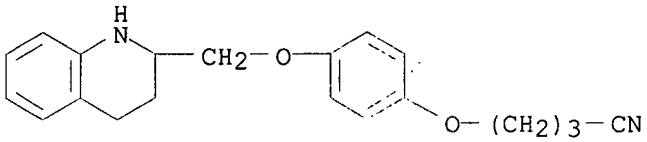
RN 125451-72-1 CAPLUS
CN Quinoline, 2-[[2-(phenylmethoxy)-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



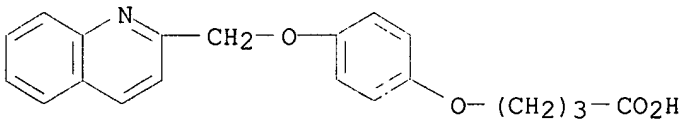
IT **107813-64-9P 125439-51-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with sodium azide)
RN 107813-64-9 CAPLUS
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



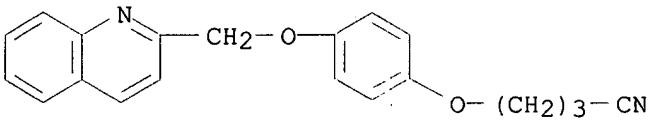
RN 125439-51-2 CAPLUS
CN Butanenitrile, 4-[4-[(1,2,3,4-tetrahydro-2-quinolinyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



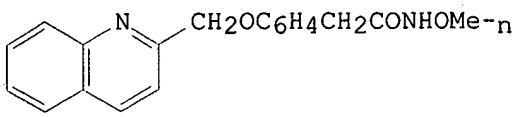
IT **125439-19-2**
RL: RCT (Reactant)
(reaction of, with benzenesulfonamide)
RN 125439-19-2 CAPLUS
CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



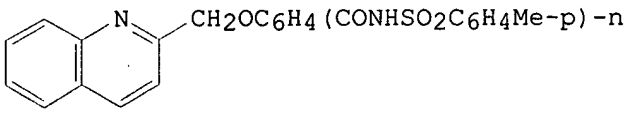
IT **114497-65-3**
RL: RCT (Reactant)
(redn. of)
RN 114497-65-3 CAPLUS
CN Butanenitrile, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



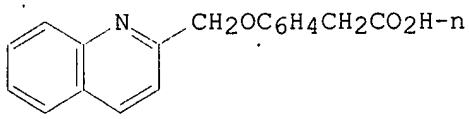
L19 ANSWER 53 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:35651 CAPLUS
DOCUMENT NUMBER: 112:35651
TITLE: N-[(Arylmethoxy)phenyl] carboxylic acids, hydroxamic acids, tetrazoles, and sulfonyl carboxamides. Potent orally active leukotriene D4 antagonists of novel structure
AUTHOR(S): Musser, John H.; Kreft, Anthony F.; Bender, Reinhold H. W.; Kubrak, Dennis M.; Grimes, David; Carlson, Richard P.; Hand, James M.; Chang, Joseph
CORPORATE SOURCE: Wyeth-Ayerst Res., Princeton, NJ, 08543-8000, USA
SOURCE: J. Med. Chem. (1990), 33(1), 240-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:35651
GI



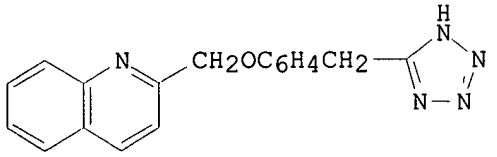
II



III



IV



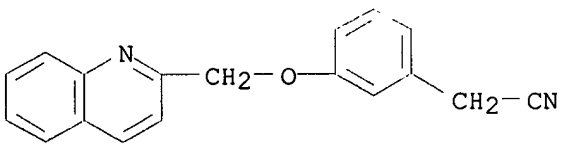
V

AB Four types of N-[(arylmethoxy)phenyl] title compds. were prepd. as leukotriene D4 (I) antagonists. In the hydroxamic acid series, 3-(2-quinolinylmethoxy)benzeneacetohydroxamate II was the most potent inhibitor of I-induced bronchoconstriction with an oral ED50 of 7.9 mg/kg. II also orally inhibited ovalbumin-induced bronchoconstriction in the guinea pig with an ED50 of 3.6 mg/kg. In vitro against I-induced contraction of isolated guinea pig trachea pretreated with indomethacin and L-cysteine, II produced a pKB value of 6.08. In the sulfonyl carboxamide series, N-[(4-methylphenyl)sulfonyl]-3-(2-quinolinylmethoxy)benzamide (III) was the most potent antagonist. III orally inhibited both I- and ovalbumin-induced bronchoconstriction with ED50s of 0.4 and 20.2 mg/kg, resp. In vitro, against I-induced contraction of isolated guinea pig trachea, III produced a pKB value of 7.78. In the carboxylic acid series, which served as intermediates for the above two series, 3-(2-quinolinyl)methoxybenzeneacetic acid IV was the most potent inhibitor of I-induced bronchoconstriction (99%, at 25 mg/kg, intraduodenally); however, the pKB for IV was disappointing (5.79). In the tetrazole series the most potent inhibitor was 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]quinoline (V). The resp. inhibitory ED50s were 3.0 mg/kg vs. I and 17.5 mg/kg vs. ovalbumin. In the isolated guinea pig trachea, V produced a pKB value of 6.70.

IT **123724-18-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of, with sodium azide and ammonium chloride, tetrazole from)

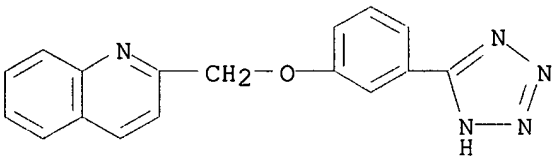
RN 123724-18-5 CAPLUS

CN Benzeneacetonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

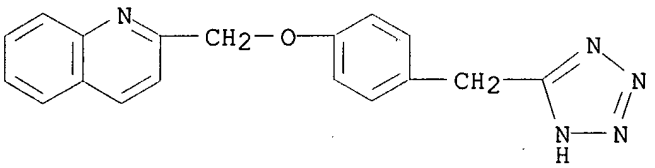


IT **107813-59-2P 107813-83-2P 120028-56-0P**
123724-11-8P 123724-12-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and inhibition with, of leukotriene-induced bronchoconstriction)

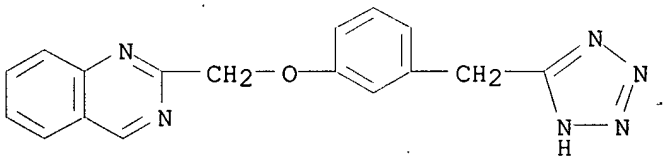
RN 107813-59-2 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



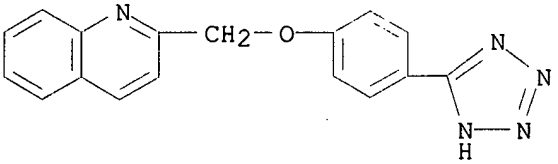
RN 107813-83-2 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



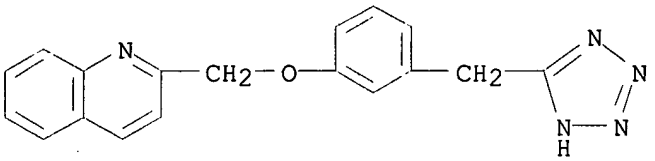
RN 120028-56-0 CAPLUS
CN Quinazoline, 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



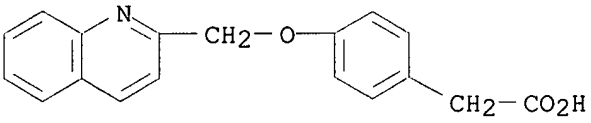
RN 123724-11-8 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



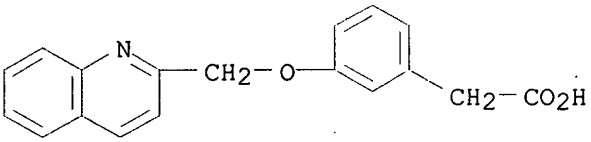
RN 123724-12-9 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



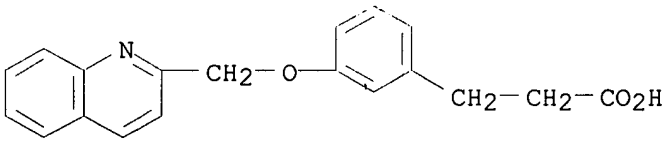
IT **121289-78-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., antiasthmatic activity, and conversion of, to hydroxamate ester)
RN 121289-78-9 CAPLUS
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



IT **104325-55-5P 123723-94-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., antiasthmatic activity, and reactions of)
RN 104325-55-5 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 123723-94-4 CAPLUS
CN Benzenepropanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 54 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:497460 CAPLUS
DOCUMENT NUMBER: 113:97460
TITLE: Preparation of quinoline derivatives useful as lipoxygenase inhibitors and/or leukotriene antagonists
INVENTOR(S): Huang, Fu Chi; Galemmo, Robert Anthony, Jr.; Campbell, Henry Flud
PATENT ASSIGNEE(S): Rorer International (Overseas), Inc., USA
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English

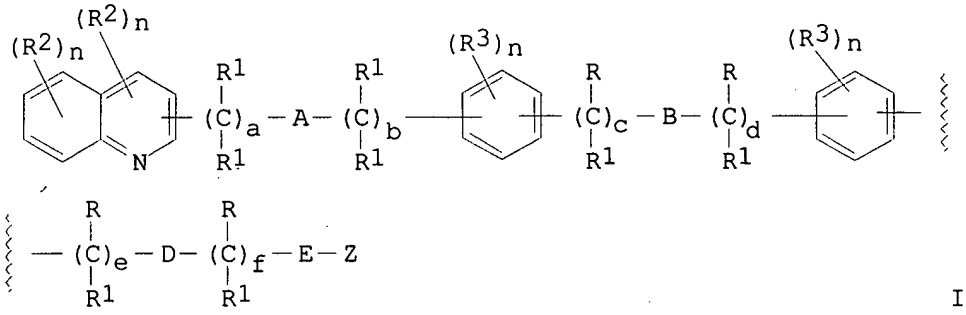
Searched by Barb O'Bryen, STIC 308-4291

FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

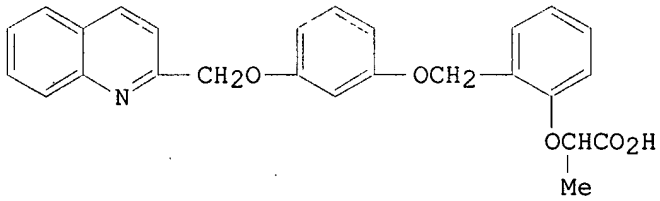
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 348155	A1	19891227	EP 1989-306232	19890620
EP 348155	B1	19990512		
R: DE, ES, FR, GB, IT				
US 4920131	A	19900424	US 1988-209428	19880621
EP 784052	A1	19970716	EP 1997-200638	19890620
R: DE, ES, FR, GB, IT				
US 5059610	A	19911022	US 1990-477896	19900420

PRIORITY APPLN. INFO.:
US 1988-209428 19880621
US 1987-116420 19871103
US 1987-116428 19871103
US 1987-116597 19871103
WO 1988-US3897 19881101
EP 1989-306232 19890620

OTHER SOURCE(S): MARPAT 113:97460
GI



I

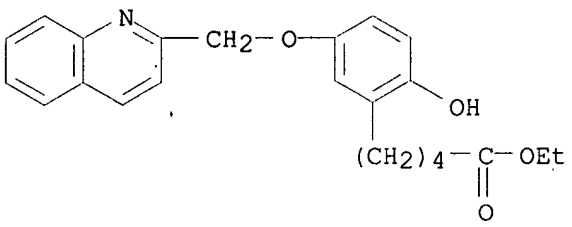


II

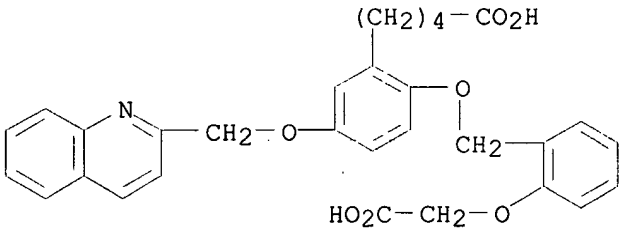
AB Title compds. I [A = O, S; B = bond, O, S, SO, SO2, NR1, CO, NR1CO, CONR1, CR1:CR1; D = O, S, NR1, CR1:CR1, bond; E = bond, CR1:CR1; a = 0-2; b = 0-1; c = 0-4; d = 0-5, e = 0-4; f = 0-5; n = 0-2; R2 = H, alkyl, OH, alkoxy, CO2H, carbalkoxy, halo NO2, haloalkyl, cyano, acyl; R3 = H, OH, alkoxy, halo, etc.; R1 = H, alkyl, aralkyl; R = (CH2)xX, O(CH2)xX, S(CH2)xX, NR1(CH2)xX; x = 0-3; X = H, alkyl, alkenyl, aryl, alkoxy, amino, cyano, tetrazolyl, CO2R, etc.; (R)2 = (CH2)y with y = 1-4; RR1 = (CH2)z with z = 2-5; (R1)2, RR1 = CHR1; Z = CO2R1, cyano, CONHSO2R4 with R4 = H, alkyl, Ph, etc.; CON(R1)2, OR1, (un)substituted tetrazolyl] were prepd. as antiinflammatory and antiallergic agents (no data). Thus, condensation of o-cresol with MeCHBr Co2Et and bromination of the product with NBS gave 2-(BrCH2)C6H4 OCHMeCO2Et, which underwent condensation with 3-(2-quinolinylmethoxy)phenol and basic hydrolysis to give quinoline deriv. II. Several addnl. prepns. and numerous I are given.

IT **128760-85-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiallergic and antiinflammatory agents)
RN 128760-85-0 CAPLUS
CN Benzenepentanoic acid, 2-hydroxy-5-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



IT **128760-48-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic and antiinflammatory agent)
RN 128760-48-5 CAPLUS
CN Benzenepentanoic acid, 2-[[2-(carboxymethoxy)phenyl]methoxy]-5-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 55 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:216719 CAPLUS
DOCUMENT NUMBER: 112:216719
TITLE: Preparation of 4-(2-quinolinylmethoxy)phenylacetates and analogs as lipoxygenase inhibitors
INVENTOR(S): Mohrs, Klaus; Raddatz, Siegfried; Fruchtmann, Romanis; Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

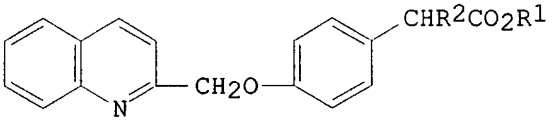
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 339416	A1	19891102	EP 1989-106816	19890417
EP 339416	B1	19930526		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DE 3814504	A1	19891109	DE 1988-3814504	19880429
US 4929626	A	19900529	US 1989-336974	19890412
NO 8901523	A	19891030	NO 1989-1523	19890413

NO 175149	B	19940530		
NO 175149	C	19940907		
AT 89819	E	19930615	AT 1989-106816	19890417
ES 2054919	T3	19940816	ES 1989-106816	19890417
IL 90052	A1	19930708	IL 1989-90052	19890424
JP 01313466	A2	19891218	JP 1989-103592	19890425
FI 8902016	A	19891030	FI 1989-2016	19890427
FI 91855	B	19940513		
FI 91855	C	19940825		
DD 283811	A5	19901024	DD 1989-328033	19890427
CA 1333803	A1	19950103	CA 1989-597990	19890427
DK 8902087	A	19891030	DK 1989-2087	19890428
DK 168009	B1	19940117		
AU 8933852	A1	19891102	AU 1989-33852	19890428
AU 614358	B2	19910829		
ZA 8903171	A	19891227	ZA 1989-3171	19890428
HU 50779	A2	19900328	HU 1989-2044	19890428
HU 205079	B	19920330		
CN 1045777	A	19901003	CN 1989-102886	19890429
CN 1027068	B	19941221		

PRIORITY APPLN. INFO.: DE 1988-3814504 19880429
EP 1989-106816 19890417

OTHER SOURCE(S): MARPAT 112:216719

GI



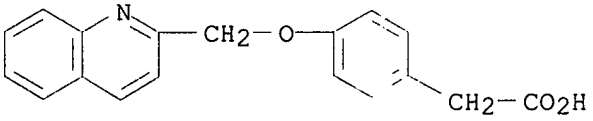
I

AB The title compds. (I; R1 = H, alkyl, aryl, aralkyl, CH2CO2R3; R2 = H, alkyl, alkenyl, alkynyl; R3 = H, alkyl, aryl, aralkyl) were prepd., e.g., by alkylation of I (R = H) and optional further esterification. Thus, 4-HOC6H4CHBuCO2Me (prepn. given) was condensed with 2-chloromethylquinoline and the sapond. product condensed with BrCH2CO2CH2Ph to give, after sapon., I (R1 = CH2CO2H, R2 = Bu) which gave 72% inhibition of inflammation in mice at 100 mg/kg p.o. and had IC50 of 0.055 .mu.M for inhibition of lipoxygenase in vitro.

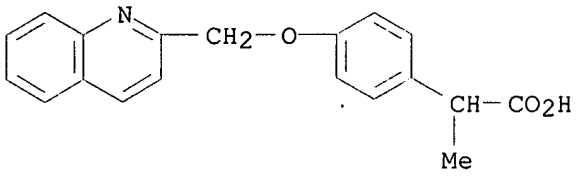
IT **121289-78-9P 126960-79-0P 126960-80-3P**
126960-81-4P 126960-82-5P 126960-83-6P
126960-84-7P 126960-85-8P 126960-86-9P
126960-87-0P 126960-88-1P 126960-95-0P
126960-96-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as lipoxygenase inhibitor)

RN 121289-78-9 CAPLUS

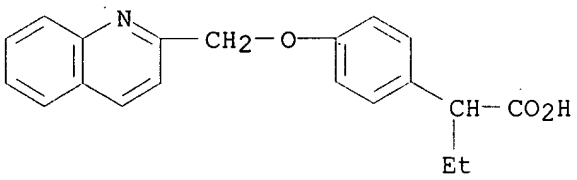
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



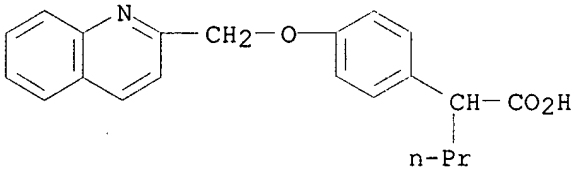
RN 126960-79-0 CAPLUS
CN Benzeneacetic acid, .alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



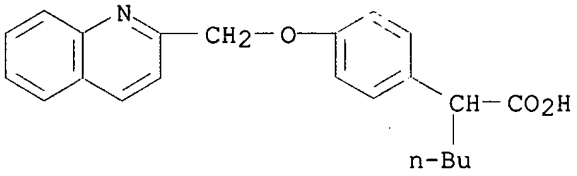
RN 126960-80-3 CAPLUS
CN Benzeneacetic acid, .alpha.-ethyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



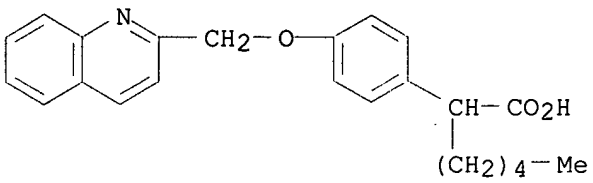
RN 126960-81-4 CAPLUS
CN Benzeneacetic acid, .alpha.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



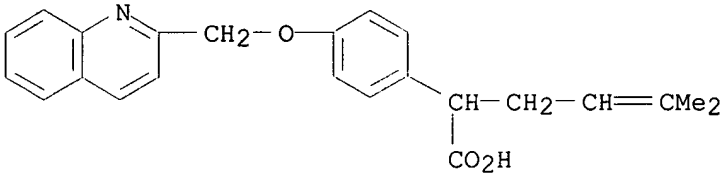
RN 126960-82-5 CAPLUS
CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 126960-83-6 CAPLUS
CN Benzeneacetic acid, .alpha.-pentyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

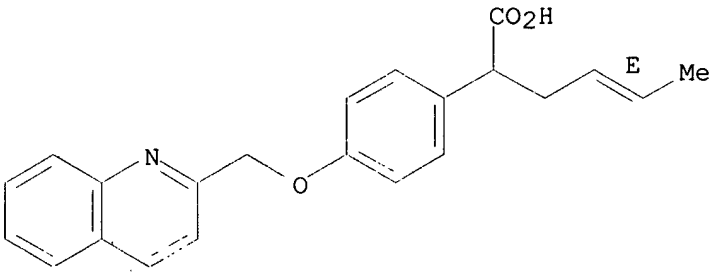


RN 126960-84-7 CAPLUS
CN Benzeneacetic acid, .alpha.-(3-methyl-2-butenyl)-4-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)

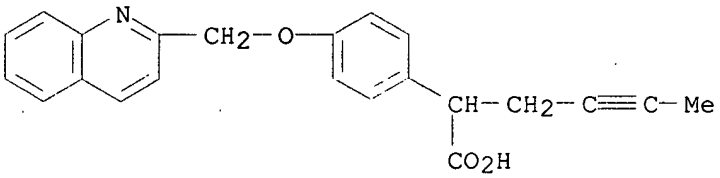


RN 126960-85-8 CAPLUS
CN Benzeneacetic acid, .alpha.-2-butenyl-4-(2-quinolinylmethoxy)-, (E)- (9CI) (CA INDEX NAME)

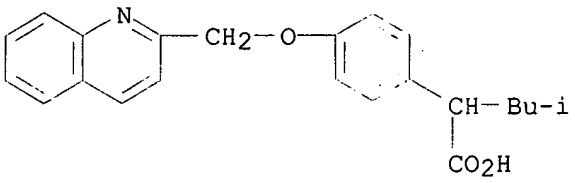
Double bond geometry as shown.



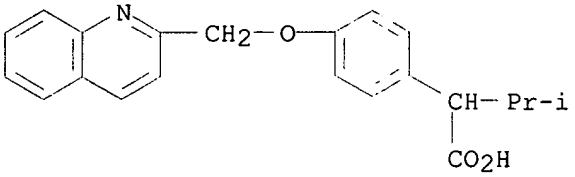
RN 126960-86-9 CAPLUS
CN Benzeneacetic acid, .alpha.-2-butynyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



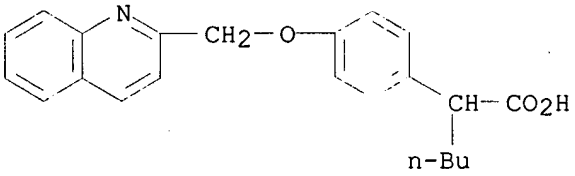
RN 126960-87-0 CAPLUS
CN Benzeneacetic acid, .alpha.-(2-methylpropyl)-4-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)



RN 126960-88-1 CAPLUS
CN Benzeneacetic acid, .alpha.-(1-methylethyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

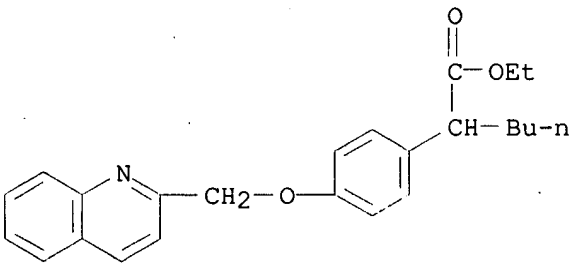


RN 126960-95-0 CAPLUS
CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 126960-96-1 CAPLUS
CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 56 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:478180 CAPLUS
DOCUMENT NUMBER: 113:78180
TITLE: Preparation of 4-(2-quinolinylmethoxy)phenylacetic

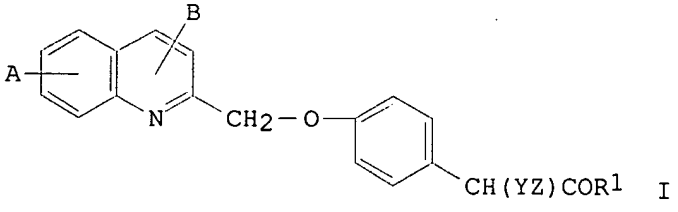
Searched by Barb O'Bryen, STIC 308-4291

acid derivatives as lipoxygenase inhibitors
INVENTOR(S): Mohrs, Klaus; Raddatz, Siegfried; Perzborn, Elisabeth; Fruchtmann, Romanis; Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner; Theisen, Pia
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3900261	A1	19891207	DE 1989-3900261	19890106
CN 1038641	A	19900110	CN 1989-103512	19890515
CN 1030251	B	19951115		
NO 8901962	A	19891201	NO 1989-1962	19890516
NO 174889	B	19940418		
NO 174889	C	19940727		
EP 344519	A1	19891206	EP 1989-108895	19890518
EP 344519	B1	19930414		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 88183	E	19930415	AT 1989-108895	19890518
ES 2053864	T3	19940801	ES 1989-108895	19890518
US 4970215	A	19901113	US 1989-354536	19890519
FI 8902603	A	19891201	FI 1989-2603	19890529
FI 91635	B	19940415		
FI 91635	C	19940725		
AU 8935270	A1	19891207	AU 1989-35270	19890529
AU 616269	B2	19911024		
JP 02019359	A2	19900123	JP 1989-132939	19890529
DD 283812	A5	19901024	DD 1989-329000	19890529
IL 90435	A1	19930221	IL 1989-90435	19890529
CA 1333802	A1	19950103	CA 1989-600939	19890529
DK 8902638	A	19891201	DK 1989-2638	19890530
DK 169544	B1	19941128		
HU 50780	A2	19900328	HU 1989-2733	19890530
HU 207719	B	19930528		
ZA 8904093	A	19910227	ZA 1989-4093	19890530
JP 10053577	A2	19980224	JP 1997-148420	19970523
JP 3076003	B2	20000814		

PRIORITY APPLN. INFO.:
DE 1988-3818443 A1 19880531
DE 1989-3900261 A 19890106
EP 1989-108895 A 19890518
JP 1989-132939 A3 19890529

OTHER SOURCE(S): MARPAT 113:78180
GI



I

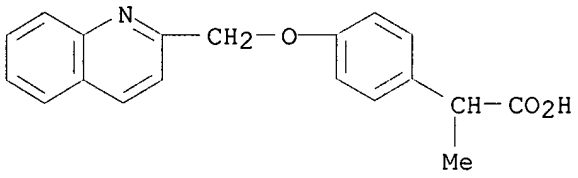
AB The title compds. [I; R1 = OR2, NR2R3; R2, R3 = H, alkyl, aryl, aralkyl,

CHR4CO2R5, CHR4CH2OR5, CHR4OR6, etc., R4 = H, (un)substituted aryl, alkyl, aralkyl, aryl; R5 = H, alkyl, aryl, aralkyl; R6 = COR5, CO2R5; Y = (CHR7)n; R7 = H, alkyl, aryl; n = 0-5; Z = a norbornyl or a 3-8 membered cycloalkyl ring; A, B = H, lower alkyl], and their salts, were prepd. as lipoxygenase inhibitors. Thus, the hydrolysis of 2-[4-(2-quinolinylmethoxy)phenyl]-3-cyclopropylpropionic acid Me ester in MeOH-NaOH gave 98% 2-[4-(2-quinolinylmethoxy)phenyl]-3-cyclopropylpropionic acid. The IC50 of the latter for inhibition of lipoxygenase was 0.14 mM.

IT **126960-79-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as lipoxygenase inhibitor)

RN 126960-79-0 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 57 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1989:457510 CAPLUS

DOCUMENT NUMBER: 111:57510

TITLE: Differential effects of a series of hydroxamic acid derivatives on 5-lipoxygenase and cyclooxygenase from neutrophils and 12-lipoxygenase from platelets and their in vivo effects on inflammation and anaphylaxis

AUTHOR(S): Huang, Fu Chih; Shoupe, T. Scott; Lin, Clara J.; Lee, Thomas D. Y.; Chan, Wan Kit; Tan, Jenny; Schnapper, Melvin; Suh, John T.; Gordon, Robert J.; et al.

CORPORATE SOURCE: Rorer Cent. Res., Horsham, PA, 19044, USA

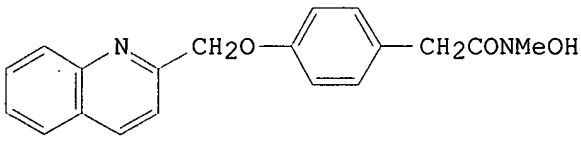
SOURCE: J. Med. Chem. (1989), 32(8), 1836-42
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:57510

GI

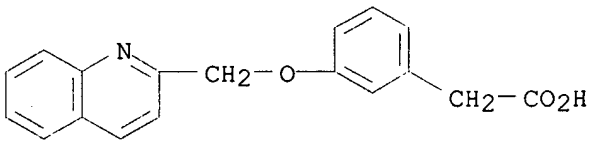


II

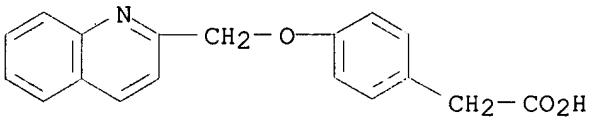
AB The synthesis of a series of 36 substituted hydroxamates is described along with their profile of inhibitory activity against 5-lipoxygenase, 12-lipoxygenase, and cyclooxygenase enzymes. The structure-activity relationship suggests that future mols. could be designed to specifically inhibit one or more of these enzymes since there were definite differences in structure-activity relationships for these different enzymes.

Rerepresentative no. of these compds. were tested in vivo and found to possess potent oral activity in a systemic anaphylaxis model mediated by leukotrienes and topical activity in an arachidonic acid induced inflammation model. One of these mols., N-hydroxy-N-methyl-4-[(quinolin-2-yl)methoxyphenylacetamide (II), demonstrated that a leukotriene antagonist pharmacophore can be modified such that it contains both antagonist activity and 5-lipoxygenase inhibitory activity.

IT **104325-55-5**
RL: RCT (Reactant)
(amidation of, with methylhydroxylamine)
RN 104325-55-5 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



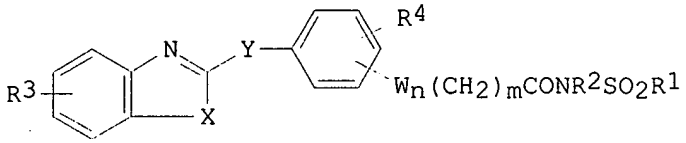
IT **121289-78-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation of, with methylhydroxylamine)
RN 121289-78-9 CAPLUS
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



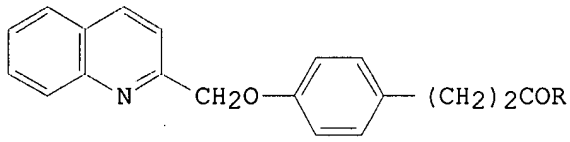
L19 ANSWER 58 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1989:135096 CAPLUS
DOCUMENT NUMBER: 110:135096
TITLE: Preparation of quinoline containing sulfonylcarboxamides as allergy and inflammation inhibitors
INVENTOR(S): Kreft, Anthony Frank, III; Musser, John Henry; Kubrak, Dennis Martin
PATENT ASSIGNEE(S): USA
SOURCE: Brit. UK Pat. Appl., 33 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2202223	A1	19880921	GB 1988-6373	19880330
GB 2202223	B2	19910529		
WO 8806886	A2	19880922	WO 1988-US767	19880316
WO 8806886	A3	19890112		
W: AU, JP, KR				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8815497	A1	19881010	AU 1988-15497	19880316
EP 309541	A1	19890405	EP 1988-903531	19880316

EP 309541 B1 19920102
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE
JP 01502755 T2 19890921 JP 1988-503171 19880316
AT 70976 E 19920115 AT 1988-903531 19880316
CA 1314048 A1 19930302 CA 1988-561795 19880317
PRIORITY APPLN. INFO.: US 1987-27452 19870318
EP 1988-903531 19880316
WO 1988-US767 19880316
OTHER SOURCE(S): MARPAT 110:135096
GI



I

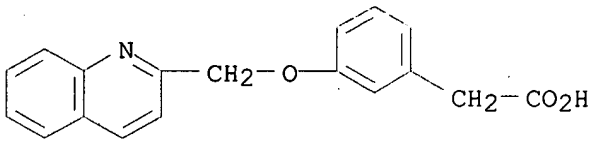


II

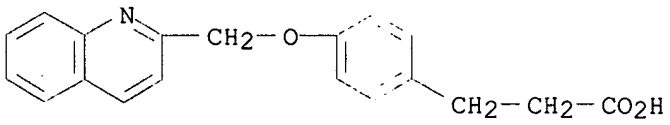
AB Title compds. I (W = O, S, NR2, CH2; X = O, S, NR2, CH:CH, CH:N, N:CH; Y = CH2O, CH2S, CH2NR2, O, S, NR2, CONR2, CHR2CHR2, CR2:CR2; R1 = alkyl, perfluoroalkyl, (R5-substituted Ph; R2 = H, alkyl; R3,R4,R5 = H, alkyl, NO2, CF3, Me, halo, alkoxy, alkoxycarbonyl, alkanoyloxy; n = 0, 1; m = 0-10) are prepd. A soln. of p-HOC6H(CH2)2CO2H in MeOH was successively treated with MeONa and with 2-chloromethylquinoline in DMF at room temp. to give 31% a propionate II (R = quinoline-2-methoxy) which in THF was refluxed with 1N NaOH to give II (R = OH), and the latter in THF was treated with p-MeC6H4SO2NH2 in the presence of 1,1-carbonylimidazole to afford 31% II (R = p-MeC6H4SO2NH)(III). III at 25 mg/kg intraduodenally showed 97% inhibition of leukotrienes-induced bronchospasm in guinea pigs.

IT **104325-55-5P 119514-99-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of allergy and inflammation inhibitors)

RN 104325-55-5 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 119514-99-7 CAPLUS
CN Benzenepropanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 60 OF 98 CAPLUS COPYRIGHT 2001 ACS on STN

ACCESSION NUMBER: 1988:422970 CAPLUS

DOCUMENT NUMBER: 109:22970

TITLE: Preparation of quinolyl aryltetrazole ethers as inflammation inhibitors and allergy inhibitors

INVENTOR(S): Youssefyeh, Raymond; Chakraborty, Utpal; Magnien, Ernest; Desai, Rohit; Lee, Thomas D. Y.

PATENT ASSIGNEE(S): Rorer International(Overseas), Inc., USA

SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

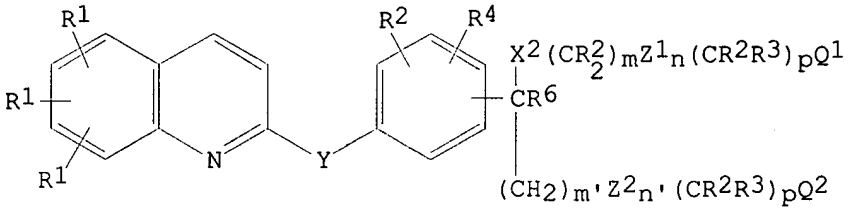
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8705510	A1	19870924	WO 1987-US560	19870311
W: AU, JP, US, US, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4631287	A	19861223	US 1985-723781	19850416
US 4839369	A	19890613	US 1986-839410	19860313

Searched by Barb O'Bryen, STIC 308-4291

L19 ANSWER 59 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1989:8058 CAPLUS
DOCUMENT NUMBER: 110:8058
TITLE: Preparation of quinolinyl-substituted dioate derivatives as leukotriene antagonists
INVENTOR(S): Young, Robert N.; Zamboni, Robert; Williams, Haydn W. R.; Belley, Michel L.
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 271287	A2	19880615	EP 1987-310645	19871203
EP 271287	A3	19900613		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
CA 1324143	A1	19931109	CA 1987-553921	19871209
DK 8706486	A	19880923	DK 1987-6486	19871210
JP 63208576	A2	19880830	JP 1987-312450	19871211
JP 06013473	B4	19940223		

PRIORITY APPLN. INFO.: US 1986-940709 19861211
OTHER SOURCE(S): MARPAT 110:8058
GI



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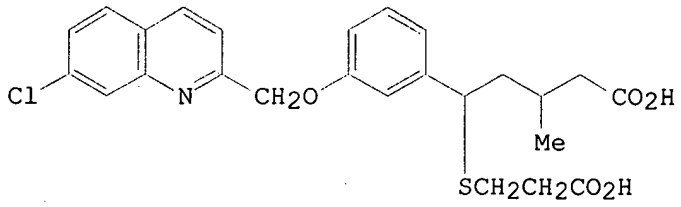


II

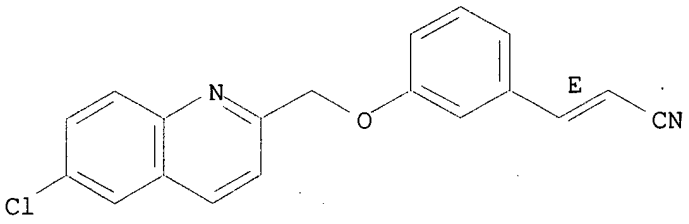
AB The title compds. [I; Q1,Q2 = CO2R2, cyano, CHO, CH2OH, tetrazolyl, etc.; R1 = H, halo, alkyl, alkenyl, etc.; R2 = H, alkyl, alkenyl, alkynyl, CF3, (un)substituted Ph, PhCH2, PhCH2CH2; R3 = halo, NO2, cyano, OR2, SR2, NR22, alkyl; R4 = R3, COR2; R6 = H, alkyl; X2 = CR22, O, S, SO, SO2; Y = CR2:CR2, C.tplbond.C, CO, O, S, cyclopropylene, etc.; Z1,Z2 = CONR2; m,m' = 0-8; n,n' = 0, 1; p,p' = 0-8] were prepd. as leukotriene antagonists (no data). 3-(RO)C6H4COH (R = Me3CSiMe2) and Ph3P:CH2Ac were heated at 70.degree. in THF 48 h and the product stirred 1 h with HSCH2CH2CO2Me in THF contg. DBN to give 3-(RO)C6H4CH(CH2Ac)SCH2CH2CO2Me which was stirred with Me3SiCH2CO2Et previously treated with (Me2CH)2NLi to give 3-(RO)C6H4CH(SCH2CH2CO2Me)CH2CMe:CHCO2Et (R as above). The latter was

hydrogenated and deprotected and the product (R = H) refluxed 3.5 h with 2-bromomethyl-7-chloroquinoline in Me2O contg. K2CO3 to give, after sapon., title compd. II.

IT **117843-00-2P 117843-43-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of leukotriene antagonists)

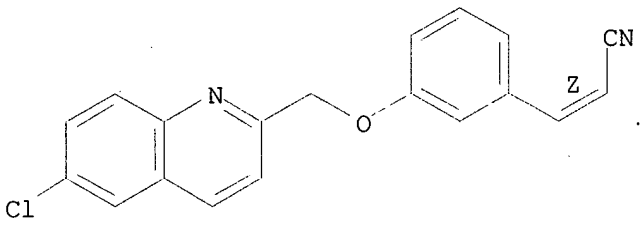
RN 117843-00-2 CAPLUS
CN 2-Propenenitrile, 3-[3-[(6-chloro-2-quinolinyl)methoxy]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 117843-43-3 CAPLUS
CN 2-Propenenitrile, 3-[3-[(6-chloro-2-quinolinyl)methoxy]phenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L19 ANSWER 60 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1988:422970 CAPLUS
DOCUMENT NUMBER: 109:22970
TITLE: Preparation of quinolyl aryltetrazole ethers as inflammation inhibitors and allergy inhibitors
INVENTOR(S): Youssefyeh, Raymond; Chakraborty, Utpal; Magnien, Ernest; Desai, Rohit; Lee, Thomas D. Y.
PATENT ASSIGNEE(S): Rorer International (Overseas), Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

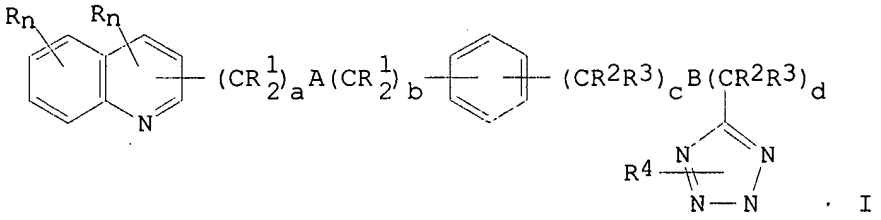
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8705510	A1	19870924	WO 1987-US560	19870311
W: AU, JP, US, US, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4631287	A	19861223	US 1985-723781	19850416
US 4839369	A	19890613	US 1986-839410	19860313

US 4725619	A	19880216	US 1986-877568	19860623
US 4728668	A	19880301	US 1986-877570	19860623
US 4868193	A	19890919	US 1986-911028	19860924
AU 8771623	A1	19871009	AU 1987-71623	19870311
AU 612569	B2	19910718		
EP 260305	A1	19880323	EP 1987-902015	19870311
EP 260305	B1	19921216		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63503139	T2	19881117	JP 1987-501942	19870311
AT 83376	E	19930115	AT 1987-902015	19870311
CA 1324142	A1	19931109	CA 1987-532055	19870313
US 4874769	A	19891017	US 1988-124800	19880105

PRIORITY APPLN. INFO.:

US 1985-723781	19850416
US 1986-839410	19860313
US 1986-911028	19860924
EP 1987-902015	19870311
WO 1987-US560	19870311

GI

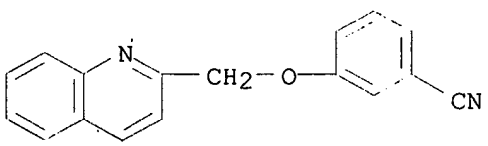


I

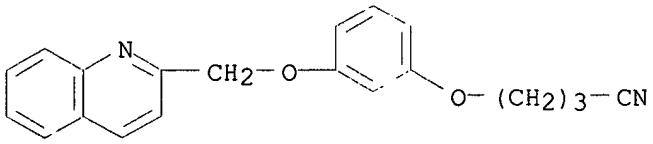
AB The title compds. [I; R = H, alkyl, OH, alkoxy, carbalkoxy, halo, NO2, haloalkyl, cyano; R1, R2 = H, alkyl, aralkyl; vicinal R2R2 = double bond; R3 = (CH2)xX; vicinal R3R3 = (CH2)y; R2R3 = (CH2)z; X = H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, amino, carbamoyl, carboxy, carboalkoxy; R4 = H, (substituted) alkyl; A = O, S; B = CR2R3, O, S; a, c, n = 0-2; b = 0-1; d = 0-5; x = 0-3; yr = 1-4; z = 2-5] were prepd. as antiinflammatories and allergy inhibitors (no data). 2-[(3-Hydroxyphenoxy)methyl]quinoline and 5-(3-chloropropyl)tetrazole were heated with KOH in EtOH/H2O to give 5-[3-(3-(2-quinolylmethoxy)phenoxy)propyl]tetrazole.

IT **107813-60-5 107813-64-9 107813-82-1**
114497-65-3 114497-66-4
RL: RCT (Reactant)
(cyclocondensation of, with sodium azide)

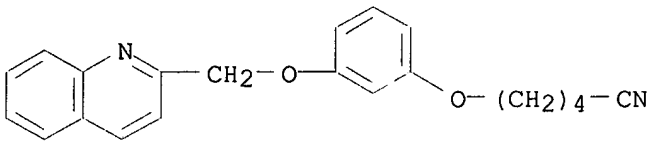
RN 107813-60-5 CAPLUS
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



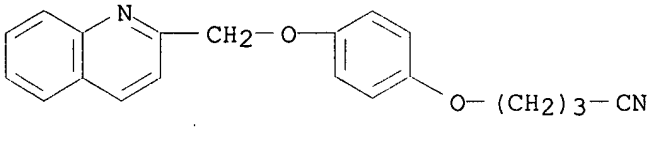
RN 107813-64-9 CAPLUS
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



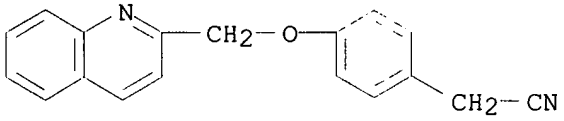
RN 107813-82-1 CAPLUS
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



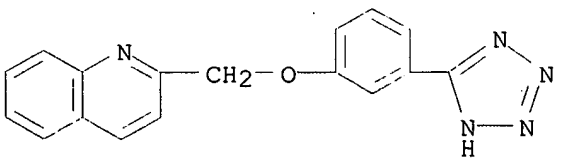
RN 114497-65-3 CAPLUS
CN Butanenitrile, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 114497-66-4 CAPLUS
CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

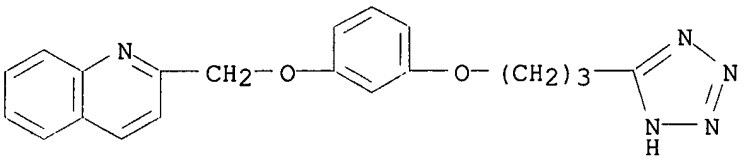


IT **107813-59-2P 107813-63-8P 107813-78-5P**
107813-81-0P 107813-83-2P 114497-39-1P
114497-40-4P 114497-41-5P 114497-42-6P
114497-43-7P 114497-44-8P 114497-45-9P
114497-46-0P 114497-47-1P 114497-48-2P
114497-49-3P 114497-53-9P 114497-54-0P
114516-61-9P 114516-62-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inflammation inhibitor and allergy inhibitor)
RN 107813-59-2 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

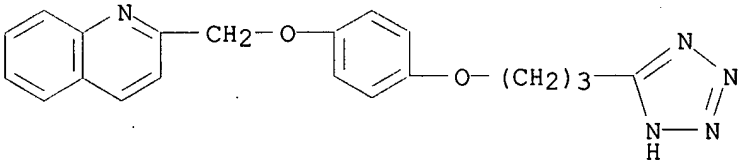


RN 107813-63-8 CAPLUS

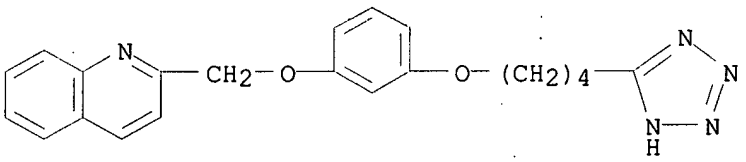
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



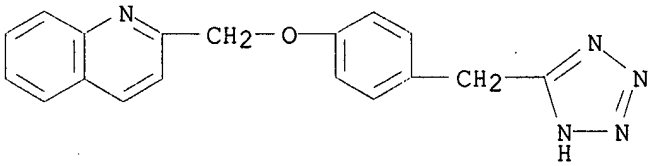
RN 107813-78-5 CAPLUS
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



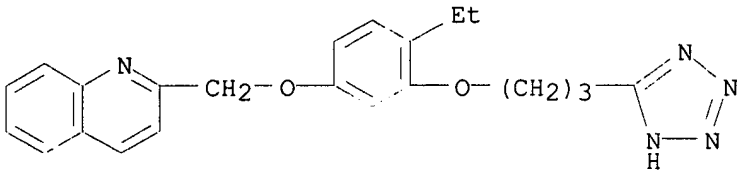
RN 107813-81-0 CAPLUS
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



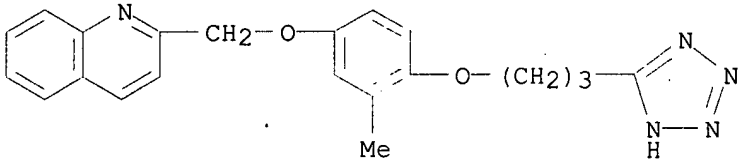
RN 107813-83-2 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



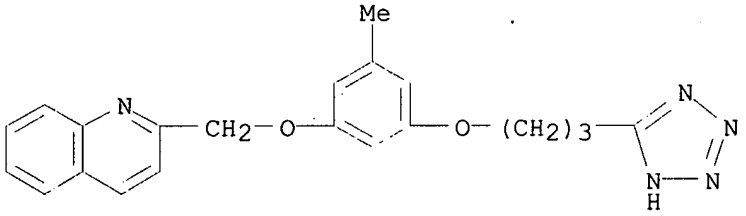
RN 114497-39-1 CAPLUS
CN Quinoline, 2-[[4-ethyl-3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



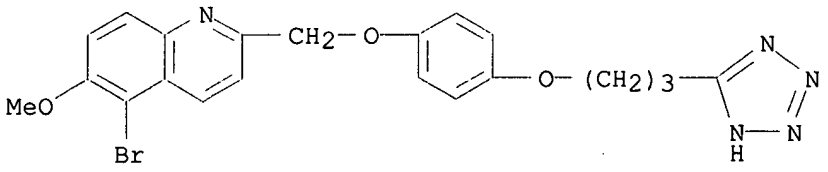
RN 114497-40-4 CAPLUS
CN Quinoline, 2-[[3-methyl-4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



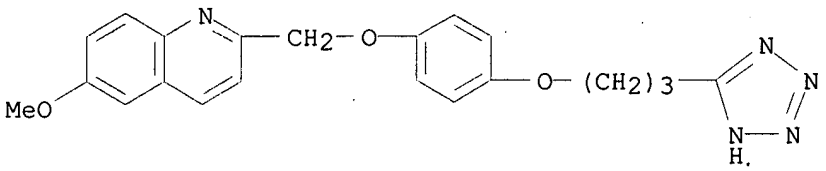
RN 114497-41-5 CAPLUS
CN Quinoline, 2-[[3-methyl-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



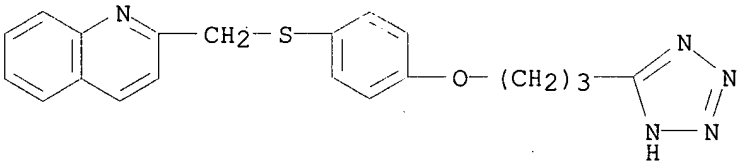
RN 114497-42-6 CAPLUS
CN Quinoline, 5-bromo-6-methoxy-2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



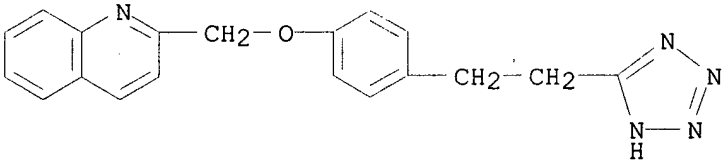
RN 114497-43-7 CAPLUS
CN Quinoline, 6-methoxy-2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



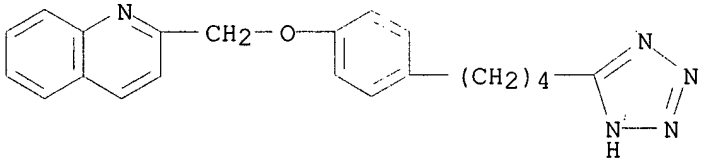
RN 114497-44-8 CAPLUS
CN Quinoline, 2-[[[4-[3-(1H-tetrazol-5-yl)propoxy]phenyl]thio]methyl]- (9CI) (CA INDEX NAME)



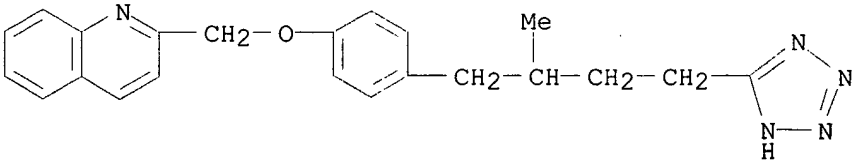
RN 114497-45-9 CAPLUS
CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



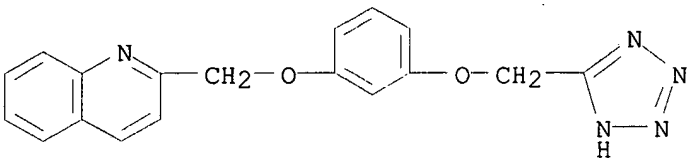
RN 114497-46-0 CAPLUS
CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



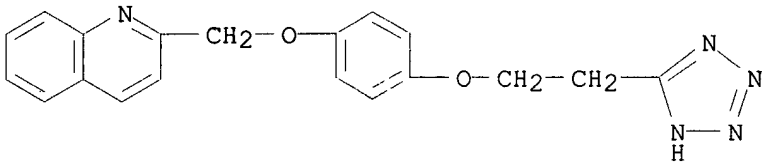
RN 114497-47-1 CAPLUS
CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



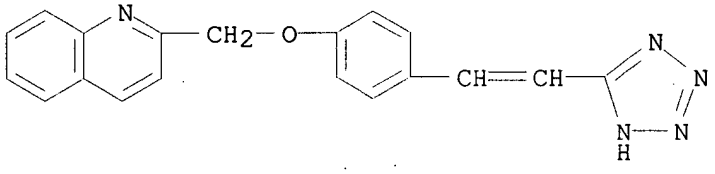
RN 114497-48-2 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-ylmethoxy)phenoxy]methyl]- (9CI) (CA INDEX NAME)



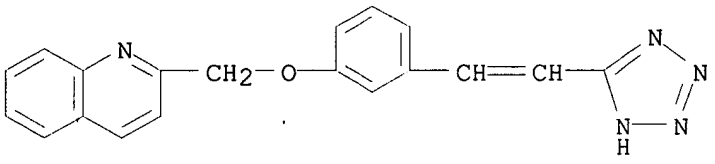
RN 114497-49-3 CAPLUS
CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



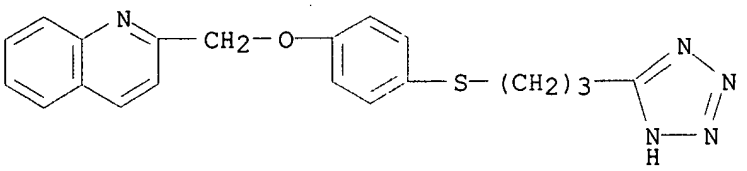
RN 114497-53-9 CAPLUS
CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



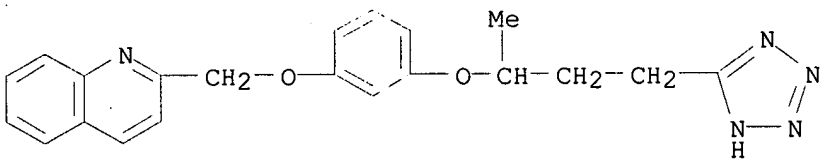
RN 114497-54-0 CAPLUS
CN Quinoline, 2-[[3-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



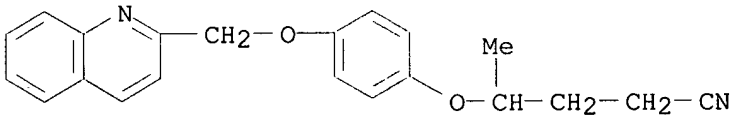
RN 114516-61-9 CAPLUS
CN Quinoline, 2-[[4-[[3-(1H-tetrazol-5-yl)propyl]thio]phenoxy]methyl]- (9CI) (CA INDEX NAME)



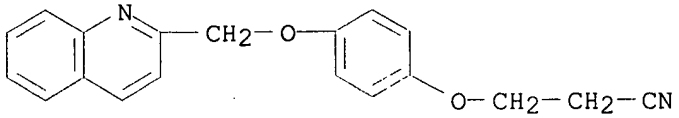
RN 114516-62-0 CAPLUS
CN Quinoline, 2-[[3-[1-methyl-3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



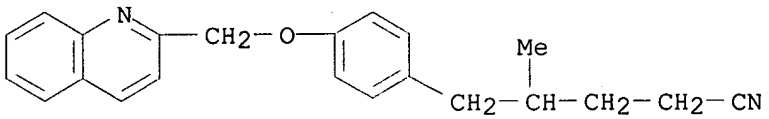
IT **114497-55-1P 114497-56-2P 114497-63-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for inflammation inhibitor)
RN 114497-55-1 CAPLUS
CN Pentanenitrile, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 114497-56-2 CAPLUS
CN Propanenitrile, 3-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 114497-63-1 CAPLUS
CN Benzenepentanenitrile, .gamma.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 61 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1987:423251 CAPLUS
DOCUMENT NUMBER: 107:23251
TITLE: 2-Substituted quinolines and their use

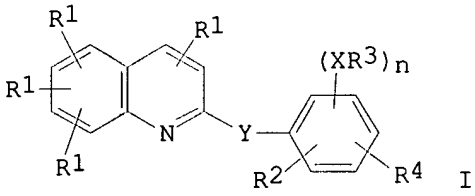
Searched by Barb O'Bryen, STIC 308-4291

INVENTOR(S): Young, Robert N.; Leger, Serge; Frenette, Richard; Zamboni, Robert; Williams, Hayden W. R.
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: Eur. Pat. Appl., 99 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 206751	A2	19861230	EP 1986-304686	19860617
EP 206751	A3	19880525		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8602829	A	19870224	DK 1986-2829	19860617
ES 556127	A1	19880101	ES 1986-556127	19860617
JP 62053967	A2	19870309	JP 1986-142460	19860618
CA 1338352	A1	19960528	CA 1986-511884	19860618
US 4962203	A	19901009	US 1989-393436	19890814

PRIORITY APPLN. INFO.: US 1985-746204 19850618
US 1986-874243 19860613
US 1988-253993 19881005

GI



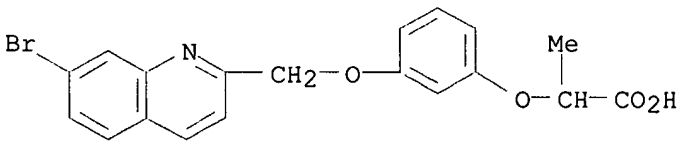
I

AB Title compds. I (R1 = H, halo, C1-8 alkyl, C2-8 alkenyl, -alkynyl, F3C, CHO, cyano, O2N, (un)substituted Ph, -PhCH2, -PhCH2CH2, etc., R2 = H, C1-8 alkyl, C2-8 alkenyl, -alkynyl, F3C, (un)substituted Ph, etc.; R3 = Am(CR2:CR2)p(CR2R2)mQ, A = CR2R4, R4 = H, halo, O2N, CO, etc.; m = 0-8; p = 0-2; Q = R2O2C, tetrazolyl, HOCH2CO, etc.; X = O, S, OS, O2S, R2N; n = 1, 2) and their salts, useful as leukotriene D4 (LTD4) antagonists, were prepd. Thus, 5-[1-[3-[2-(7-chloroquinolin-2-yl)ethenyl]phenoxy]ethyl]tetrazole, prepd. in 5 steps from 7-bromoquinaldine, inhibited LTD4 contraction of the guinea pig ileum >1000 times greater than a ref. quinoline analog.

IT **108165-90-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as leukotriene D4 antagonist)

RN 108165-90-8 CAPLUS

CN Propanoic acid, 2-[3-[(7-bromo-2-quinolinyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



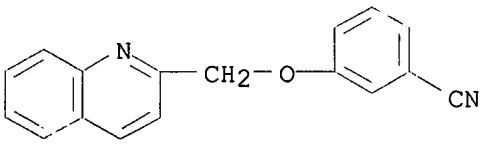
L19 ANSWER 62 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1987:176188 CAPLUS
DOCUMENT NUMBER: 106:176188
TITLE: Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments
INVENTOR(S): Youssefyeh, Raymond; Chakraborty, Utpal; Magnien, Ernest; Desai, Rohit
PATENT ASSIGNEE(S): USV Pharmaceutical Corp., USA
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 200101	A2	19861210	EP 1986-105287	19860416
EP 200101	A3	19880420		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4631287	A	19861223	US 1985-723781	19850416
US 4839369	A	19890613	US 1986-839410	19860313
AU 8656398	A1	19861023	AU 1986-56398	19860416
AU 597249	B2	19900531		
JP 62212334	A2	19870918	JP 1986-86228	19860416
US 4725619	A	19880216	US 1986-877568	19860623
US 4728668	A	19880301	US 1986-877570	19860623
PRIORITY APPLN. INFO.:			US 1985-723781	19850416
			US 1986-839410	19860313

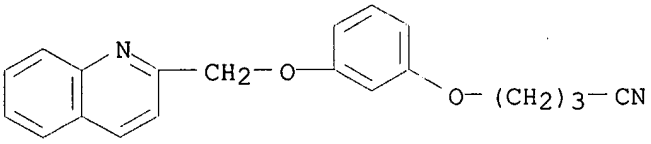
AB ArZMZ1Ar1 [Ar, Ar1 = (un)substituted Ph, naphthyl, or a N-, O-, S-contg. heterocyclyl; Z, Z1 = bond, alkylene; M = O, S, NR; R = H, alkyl], useful as lipoxygenase inhibitors possessing anti-inflammatory and antiallergic properties, were prepd. Thus, a mixt. of 2-(chloromethyl)quinoline 0.05, PhOH 0.055, K2CO3 0.055, Cs2CO3 0.005, and NaI 0.0025 mol in Me2CO was refluxed for .apprx.4 h to give 2-(phenoxymethyl)quinoline (I). I inhibited 5-lipoxygenase activity with I50 = 0.7 using a suspension of rat neutrophils in buffer incubated for 3 min at 30.degree. with [14C]arachidonic acid and Ca Ionophore A23187.

IT **107813-60-5 107813-64-9 107813-82-1**
107813-84-3
RL: RCT (Reactant)
(cyclization reaction of, with azide, tetrazole deriv. from)

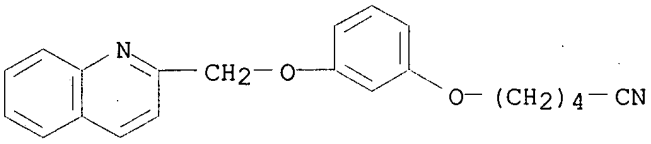
RN 107813-60-5 CAPLUS
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



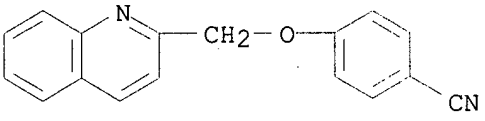
RN 107813-64-9 CAPLUS
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



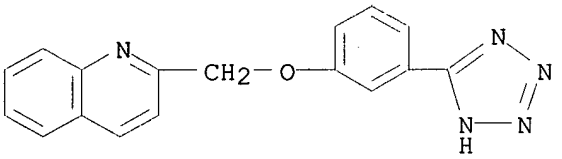
RN 107813-82-1 CAPLUS
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



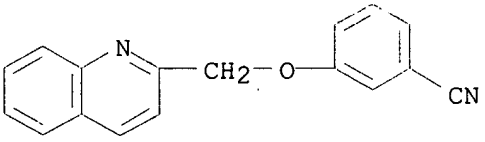
RN 107813-84-3 CAPLUS
CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



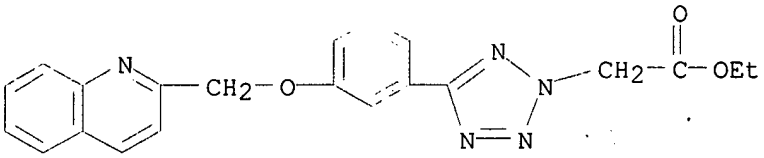
IT **107813-59-2P 107813-60-5P 107813-61-6P**
107813-63-8P 107813-64-9P 107813-71-8P
107813-78-5P 107813-81-0P 107813-83-2P
107813-85-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiinflammatory and antiallergic)
RN 107813-59-2 CAPLUS
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



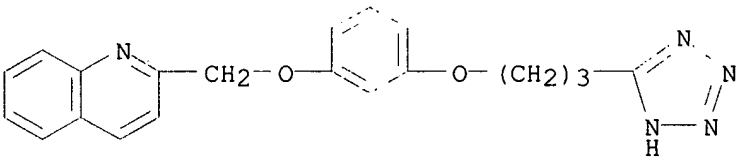
RN 107813-60-5 CAPLUS
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



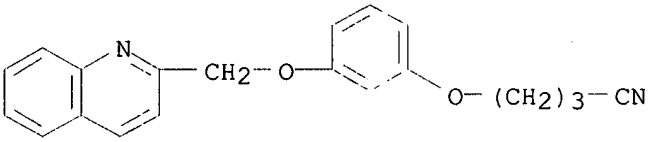
RN 107813-61-6 CAPLUS
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



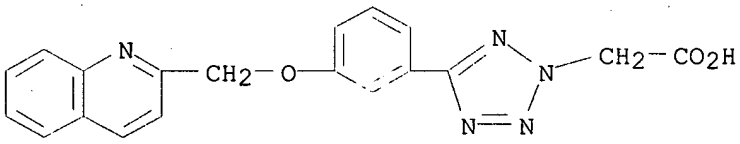
RN 107813-63-8 CAPLUS
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



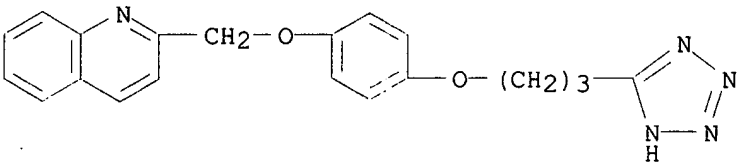
RN 107813-64-9 CAPLUS
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-71-8 CAPLUS
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

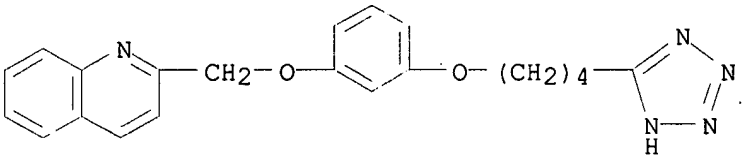


RN 107813-78-5 CAPLUS
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

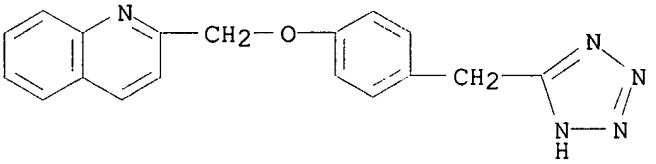


RN 107813-81-0 CAPLUS
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA

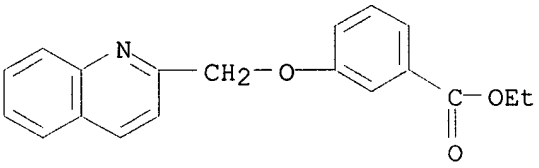
INDEX NAME)



RN 107813-83-2 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 CAPLUS
CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 63 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1987:18377 CAPLUS
DOCUMENT NUMBER: 106:18377
TITLE: Anti-inflammatory/anti-allergic compounds
INVENTOR(S): Musser, John H.; Chakraborty, Utpal Ranjan
PATENT ASSIGNEE(S): USV Pharmaceutical Corp., USA
SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

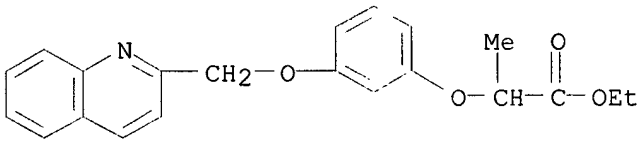
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 181568	A2	19860521	EP 1985-113830	19851030
EP 181568	A3	19890426		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 76838	A1	19910131	IL 1985-76838	19851028
NO 8504321	A	19860502	NO 1985-4321	19851029
NO 174506	B	19940207		
NO 174506	C	19940518		
DK 8504978	A	19860501	DK 1985-4978	19851030
FI 8504259	A	19860501	FI 1985-4259	19851030

AU 8549264	A1	19860508	AU 1985-49264	19851030
AU 595489	B2	19900405		
ZA 8508347	A	19860924	ZA 1985-8347	19851030
JP 61267532	A2	19861127	JP 1985-241759	19851030
JP 07029952	B4	19950405		
ES 549012	A1	19870416	ES 1985-549012	19851030
CA 1304088	A1	19920623	CA 1985-494211	19851030

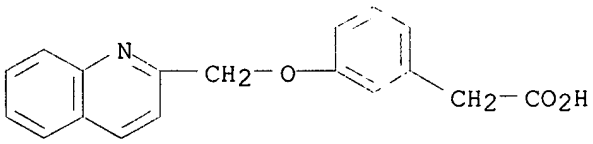
PRIORITY APPLN. INFO.: US 1984-666430 19841030
US 1985-736795 19850522
IL 1983-70356 19831201

AB The title Ar1XArZRn' [Ar1 = N-, O-, S-heterocyclyl, aryl; Ar = Ph, N-, O-, S-heterocyclyl; X = O(CHR1)n, S(O)n''(CHR1)n, NR2(CHR1)nZ1 (Z1 = alkylene), etc., R1 = H, Me; R2 = H, alkyl, aryl, aralkyl; Z = C1-10 alkylene; n = 0, 1; n' = 1-7; n'' = 0-2] and their salts, were prepd. Thus, 2-(3-hexanoylphenoxymethyl)quinoline in THF was methylated with MeI, and the methylated ketone was reduced with NaBH4 in EtOH to give 2-[3-(1-hydroxy-2-methylhexyl)phenoxymethyl)quinoline (I). The pharmacol. activity was demonstrated by an assay for inhibition of 5-lipoxygenase pathway in which the IC50 of I was 0.2 .mu.M.

IT **104325-53-3**
RL: RCT (Reactant)
(hydrolysis of, and conversion to hydrochloride salt)
RN 104325-53-3 CAPLUS
CN Propanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

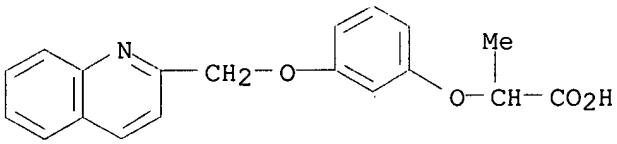


IT **104325-57-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion to free base)
RN 104325-57-7 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)



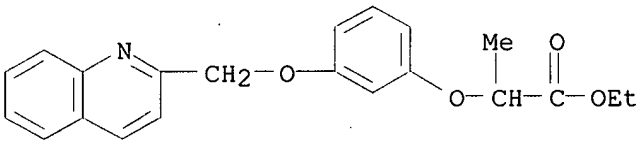
● HCl

IT **104325-52-2P 104325-53-3P 104325-55-5P**
104341-37-9P 104341-38-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic and inflammation inhibitor)
RN 104325-52-2 CAPLUS
CN Propanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

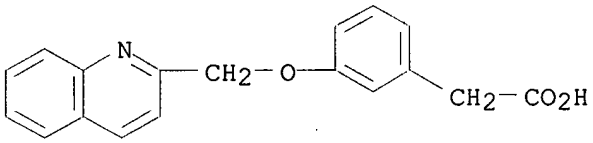


● HCl

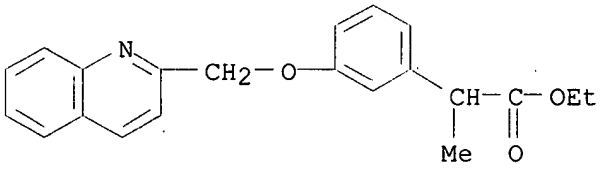
RN 104325-53-3 CAPLUS
CN Propanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



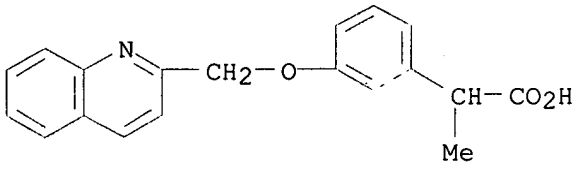
RN 104325-55-5 CAPLUS
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 104341-37-9 CAPLUS
CN Benzeneacetic acid, .alpha.-methyl-3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 104341-38-0 CAPLUS
CN Benzeneacetic acid, .alpha.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

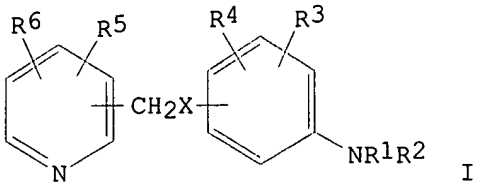


L19 ANSWER 64 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1986:626384 CAPLUS
DOCUMENT NUMBER: 105:226384
TITLE: (Pyridylmethoxy)anilines and sulfur analogs
INVENTOR(S): Nielsen, Ole Bent Tvaermose; Ahnfelt-roenne, Ian
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd., Den.
SOURCE: Ger. Offen., 83 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3607382	A1	19860911	DE 1986-3607382	19860306
GB 2173788	A1	19861022	GB 1986-4183	19860220
GB 2173788	B2	19880810		
SE 8600913	A	19860909	SE 1986-913	19860228
SE 463819	B	19910128		
SE 463819	C	19910606		
DK 8600969	A	19860909	DK 1986-969	19860304
DK 166582	B1	19930614		
CA 1276640	A1	19901120	CA 1986-503464	19860306
BE 904368	A1	19860908	BE 1986-216378	19860307
FR 2578540	A1	19860912	FR 1986-3268	19860307
FR 2578540	B1	19901116		
AU 8654444	A1	19860918	AU 1986-54444	19860307
AU 585586	B2	19890622		
NL 8600596	A	19861001	NL 1986-596	19860307
ES 552805	A1	19880916	ES 1986-552805	19860307
ES 552805	A5	19881017		
JP 61207374	A2	19860913	JP 1986-51286	19860308
JP 07064813	B4	19950712		

PRIORITY APPLN. INFO.: GB 1985-6094 19850308
GB 1985-25153 19851011

GI



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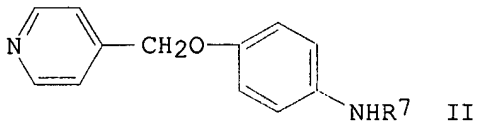


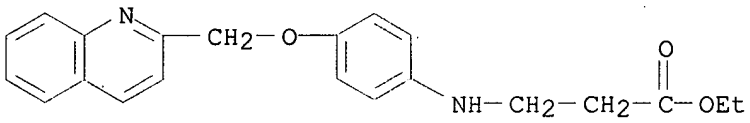
II

AB The title compds. [I; R1, R2 = H, (un)substituted alkyl, aryl, aralkyl; R3-R6 = H, halo, pseudohalo, cyano, NO2, amino, CO2H, OH, alkyl, alkoxy; R5R6 = atoms required to form condensed, (un)substituted arom. ring; X = O, S, SO, SO2] were prepd. as arachidonic acid and histamine inhibitors, and drugs. Thus, 4-AcNHC6H4OH was condensed with 4-(chloromethyl)pyridine-HCl to give acetanilide II (R7 = Ac). This was deacetylated and methylated to give II (R7 = Me). At 10 .mu.M selected I gave 51-100% inhibition of antigen-induced histamine release from rat peritoneal mast cells. Capsules were prepd. contg. I 100, lactose 197, and Mg stearate 3 mg.

IT **105349-96-0P 105349-97-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

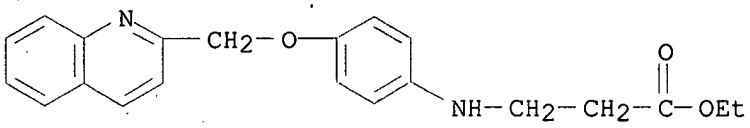
RN 105349-96-0 CAPLUS

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 105349-97-1 CAPLUS

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

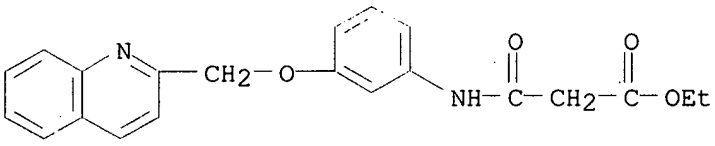
L19 ANSWER 65 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1986:497289 CAPLUS

DOCUMENT NUMBER: 105:97289
TITLE: Synthesis of [[(naphthalenylmethoxy)- and -(quinolinylmethoxy)phenyl]amino]oxoalkanoic acid esters. A novel series of leukotriene D4 antagonists and 5-lipoxygenase inhibitors
AUTHOR(S): Musser, John H.; Kubrak, Dennis M.; Chang, Joseph; Lewis, Alan J.
CORPORATE SOURCE: Wyeth Lab., Inc., Philadelphia, PA, 19101, USA
SOURCE: J. Med. Chem. (1986), 29(8), 1429-35
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:97289

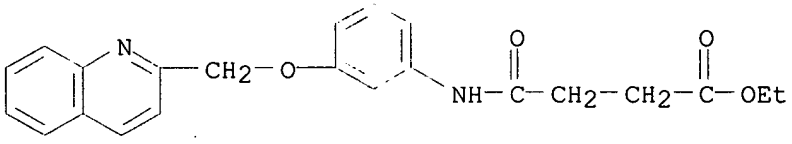
AB The title compds. were prepd. and tested as inhibitors of rat polymorphonuclear leukocyte 5-lipoxygenase (LO) in vitro and as inhibitors of ovalbumin (OA)- and leukotriene (LTD4)-induced bronchospasm in the guinea pig (GP) in vivo. Many naphthalenyl compds. were potent inhibitors of LO, and several quinolinyl compds. were potent inhibitors of LTD4-mediated bronchospasm in the GP. The most potent naphthalenyl compd., Me 4-[[3-(2-naphthalenylmethoxy)phenyl]hydroxyamino]-4-oxobutanoate, had IC50 0.6 .mu.M in the 5-LO assay. The most potent compd. in vivo, Me 4-[[3-(2-quinolinylmethoxy)phenyl]hydroxyamino]-4-oxobutanoate (I), had ED50 3.3 and 27.4 mg/kg (intraduodenally) against LTD4- and OA-induced bronchospasm, resp. When tested as an antagonist of LTD4-induced contraction of isolated GP tracheal spiral strips, I was a competitive inhibitor, with pKB 5.33.

IT **102649-92-3P 102649-98-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and bronchodilator activity of)

RN 102649-92-3 CAPLUS
CN Propanoic acid, 3-oxo-3-[[3-(2-quinolinylmethoxy)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



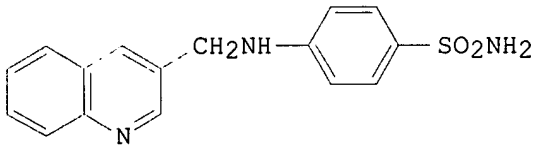
RN 102649-98-9 CAPLUS
CN Butanoic acid, 4-oxo-4-[[3-(2-quinolinylmethoxy)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 66 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1980:418935 CAPLUS
DOCUMENT NUMBER: 93:18935
TITLE: Structure dependence of antiplasmodic activity of 3-[N-(4-amidosulfonylphenyl)aminomethyl]-quinoline
AUTHOR(S): Gaedcke, Frauke; Knorr, Rosemarie; Zymalkowski, Felix
CORPORATE SOURCE: Pharm. Inst., Univ. Bonn, Bonn, 53/1, Fed. Rep. Ger.

Searched by Barb O'Bryen, STIC 308-4291

SOURCE: Arch. Pharm. (Weinheim, Ger.) (1980), 313(2), 166-73
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



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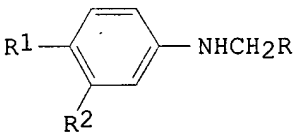
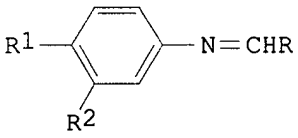


II



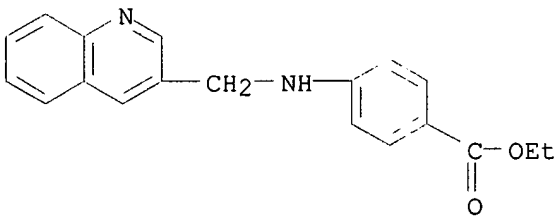
III

AB Any alteration in the structure of the title compd. I [62294-82-0] resulted in a decrease of its antimalarial activity. This was demonstrated with the heteroarylmethyleneaniline derivs. II (R = indolyl, pyridyl, quinolyl, etc.; R1 = H, Cl, OH, SO2NH2, etc.; R2 = H, Cl, etc.) on Plasmodium berghei. II were prepd. by reducing with NaBH4 the azomethines III (R, R1, and R2 as above) prepd. from the appropriate aldehyde with a primary arom. amine.

IT **25927-76-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antimalarial activity of)

RN 25927-76-8 CAPLUS

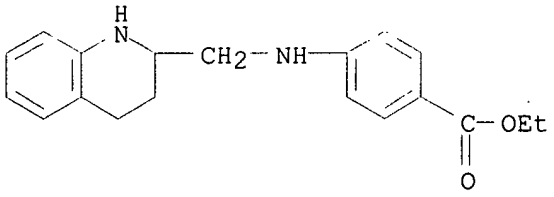
CN Benzoic acid, 4-[(3-quinolinylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



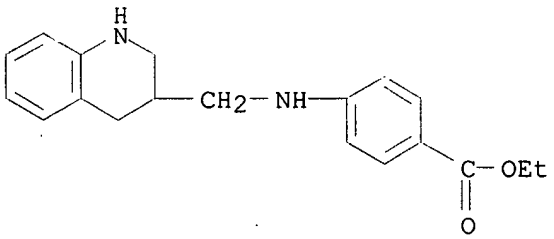
L19 ANSWER 67 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1976:16471 CAPLUS
DOCUMENT NUMBER: 84:16471
TITLE: Tetrahydrofolic acid model studies. II. Equilibrium and kinetic studies of the reaction of tetrahydroquinoline and tetrahydroquinoxaline derivatives with formaldehyde. Carbonolamine, imidazolidine, and hexahydropyrimidine formation
AUTHOR(S): Tuszynski, George P.; Frederick, M.; Kallen, Roland G.
CORPORATE SOURCE: Sch. Med., Univ. Pennsylvania, Philadelphia, Pa., USA

Searched by Barb O'Bryen, STIC 308-4291

SOURCE: J. Am. Chem. Soc. (1975), 97(25), 7359-70
CODEN: JACSAT
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The reactions of the free base forms of Et p-[N-[(tetrahydro-2-quinolinyl)methylene]amino]benzoate and Et p-[N-[(tetrahydro-3-quinolinyl)methylene]amino]benzoate with HCHO to form the imidazolidine I and the hexahydropyrimidine II, resp., exhibit pH-rate profiles contg. 2 hydronium ion-catalyzed limbs sepd. by a pH-independent plateau. These data were interpreted in terms of a carbinolamine intermediate and a change in rate-detg. step with changing acidity. In alk. soln. the rate-detg. step was the general-acid-catalyzed dehydration of the carbinolamine intermediate. In acidic soln. the rate-detg. step was attack of amine on HCHO, which exhibited catalysis by general acids and the solvated proton, and as well, a pH-independent pathway. The reaction of Et p-[N-[(1,2,3,4-tetrahydro-2-quinoxalinyl)methylene]amino]benzoate with HCHO appeared to proceed with the accumulation of a hexahydropyrimidine intermediate, the formation of which showed kinetic characteristics comparable to those described above. The existence and accumulation of hexahydropyrimidines in the reactions of the tetrahydroquinoxaline derivs. with HCHO and not in the reactions of tetrahydrofolic acid (III) with HCHO suggest that the role of the adjacent pyrimidine moiety is to inactivate the N8 site in order to diminish this type of spurious reaction in reactions of III.
IT **25119-45-3 57764-55-3**
RL: RCT (Reactant)
(reaction of, with formaldehyde, kinetics and mechanism of)
RN 25119-45-3 CAPLUS
CN Benzoic acid, 4-[[(1,2,3,4-tetrahydro-2-quinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

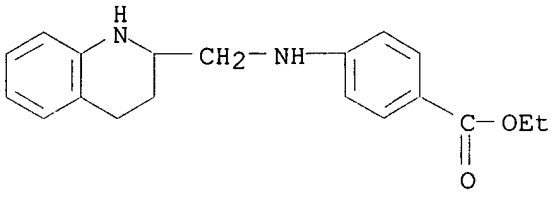


RN 57764-55-3 CAPLUS
CN Benzoic acid, 4-[[(1,2,3,4-tetrahydro-3-quinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 68 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1970:89459 CAPLUS

DOCUMENT NUMBER: 72:89459
TITLE: Studies on models for tetrahydrofolic acid. II. Additional observations on the mechanism for condensation of formaldehyde with tetrahydroquinoxaline analogs
AUTHOR(S): Benkovic, Stephen J.; Benkovic, Patricia A.; Chrzanowski, Robert
CORPORATE SOURCE: Dep. of Chem., Pennsylvania State Univ., University Park, Pa., USA
SOURCE: J. Amer. Chem. Soc. (1970), 92(3), 523-8
CODEN: JACSAT
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The condensation of HCHO with addnl. tetrahydroquinoxaline model I systems in which the basicity of the exocyclic amino group has been varied through alterations in para substitution was studied. Supporting evidence was obtained for a mechanism involving general base catalysis in the attack of the exocyclic amino group on the iminium cation to yield the imidazolidine adduct. Expts. with a similar tetrahydroquinoline model II indicated the importance of the N-8 of the tetrahydropyrazine ring of tetrahydrofolic acid (III) on the rate of acid-catalyzed dehydration of the intermediate carbinolamine IV. The implication of these results as to the mechanism of action of the natural cofactor is discussed.
IT **25119-45-3**
RL: RCT (Reactant)
(condensation reaction of, with formaldehyde)
RN 25119-45-3 CAPLUS
CN Benzoic acid, 4-[[(1,2,3,4-tetrahydro-2-quinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

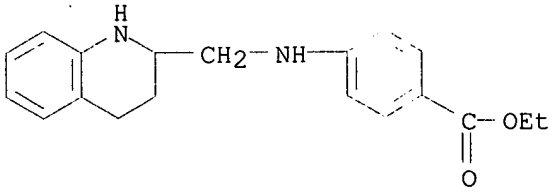


L19 ANSWER 69 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1970:90223 CAPLUS
DOCUMENT NUMBER: 72:90223
TITLE: Cofactor inhibitors of thymidylate synthetase. Piperidine and tetrahydroquinoline analogs of tetrahydrofolic acid
AUTHOR(S): Mertes, Mathias P.; Lin, Ai Jeng
CORPORATE SOURCE: Sch. of Pharm., Univ. of Kansas, Lawrence, Kans., USA
SOURCE: J. Med. Chem. (1970), 13(2), 276-9
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The inhibition of the enzymes thymidylate synthetase and dihydrofolate reductase was examd. with a series of pyridine and quinoline compds. and their reduced derivs. Condensation of Et p-aminobenzoate or Et p-aminobenzoylglutamate with pyridine-2-carboxaldehyde folllwed by redn. of the Schiff base gave the corresponding secondary amines, I and II. Catalytic redn. of I and II yielded Et p-N-(2-

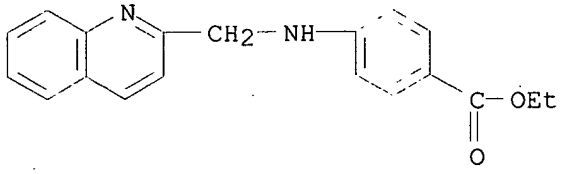
piperidylmethyl)aminobenzoate (III) and the glutamate (IV). Condensation of III or IV with 5-formyluracil gave 2-p-carbethoxyphenyl-3-(5-uracil)-octahydroimidazo[1,5-a]pyridine (V) and the corresponding glutamate analog VI. The synthesis of analogous series starting from quinoline-2-carboxaldehyde utilized the same procedure. Similarly, starting with pyridine-3-carboxyaldehyde, Et p-N-(3-pyridylmethyl)aminobenzoate, the glutamate (VII), and the 3-piperidyl analog were prepd. Enzyme inhibition studies revealed the sapon. product of VII tohave highest activity against the synthetase [(VII salt)/(DL-THFA) 0.12 for 50% inhibition] while VIII was most inhibitory against the reductase [VIII/(DHFA) 8 for 50% inhibition].

IT **25119-45-3P 25927-73-5P 25927-76-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

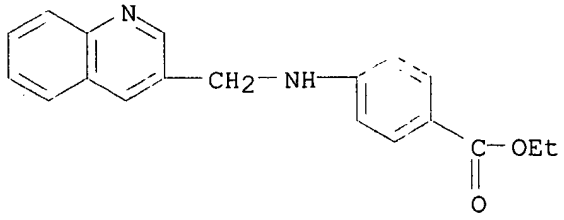
RN 25119-45-3 CAPLUS
CN Benzoic acid, 4-[[(1,2,3,4-tetrahydro-2-quinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 25927-73-5 CAPLUS
CN Benzoic acid, p-[(2-quinolylmethyl)amino]-, ethyl ester (8CI) (CA INDEX NAME)



RN 25927-76-8 CAPLUS
CN Benzoic acid, 4-[(3-quinolinylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 70 OF 98 USPATFULL
ACCESSION NUMBER: 2000:125085 USPATFULL
TITLE: Disubstituted bicyclic heterocycles, the preparation thereof and their use as pharmaceutical compositions

INVENTOR(S): Hauel, Norbert, Schemmerhofen, Germany, Federal Republic of
Ries, Uwe, Biberach, Germany, Federal Republic of
Priepke, Henning, Warthausen, Germany, Federal Republic of
Mihm, Gerhard, Biberach, Germany, Federal Republic of
Wienen, Wolfgang, Biberach, Germany, Federal Republic of
Stassen, Jean Marie, Warthausen, Germany, Federal Republic of
Binder, Klaus, Wiesbaden, Germany, Federal Republic of
Zimmermann, Rainer, Mittelbiberach, Germany, Federal Republic of
PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Ingelheim, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 6121308	20000919
APPLICATION INFO.:	US 1999-359487	19990722 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1998-19834751	19980801
	US 1998-98838	19980902 (60)

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Raymond, R. P.; Stempel, A. R.; Devlin, M-E M.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 3192

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel disubstituted bicyclic heterocycles, of which the following are exemplary:

(a) 1-methyl-2-[(4-amidinophenyl)-oxymethyl]-5-[N-(hydroxycarbonylmethyl)-quinoline-8-sulphonylamino]-benzimidazole,

(b) 1-methyl-2-[2-(4-amidinophenyl)-ethyl]-5-[N-(N-(hydroxycarbonylmethyl)-aminocarbonylmethyl)-quinoline-8-sulphonylamino]-benzimidazole,

(c) 1-methyl-2-[N-(4-amidinophenyl)-aminomethyl]-5-[N-(hydroxycarbonylmethyl)-quinoline-8-sulphonylamino]-benzimidazole and

(d) 1-methyl-2-[N-(4-amidinophenyl)-aminomethyl]-5-[N-(hydroxycarbonylmethyl)-quinoline-8-sulphonylamino]-indole.

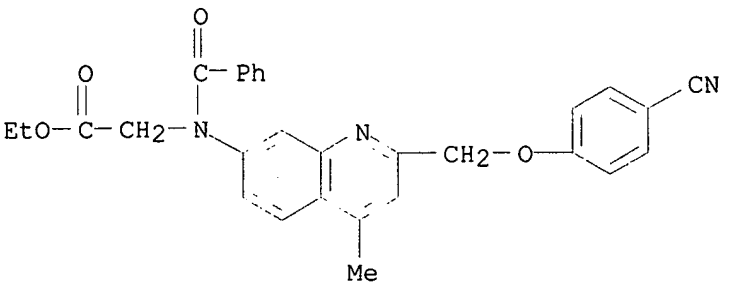
These are useful for the treatment of thrombotic disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

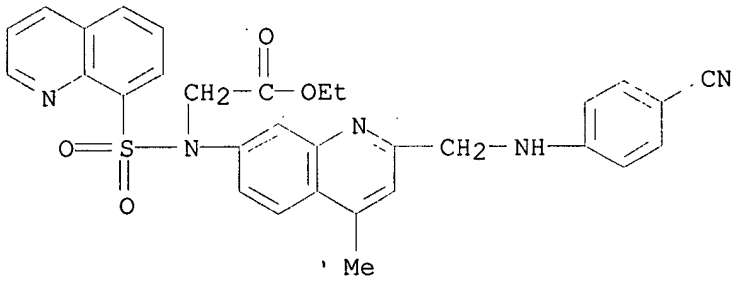
IT **256493-72-8 256493-73-9**
(prepn. of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

RN 256493-72-8 USPATFULL

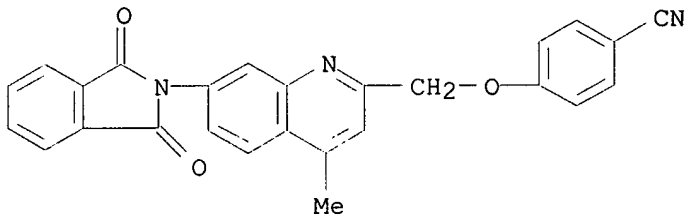
CN Glycine, N-benzoyl-N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]-, ethyl ester (9CI) (CA INDEX NAME)



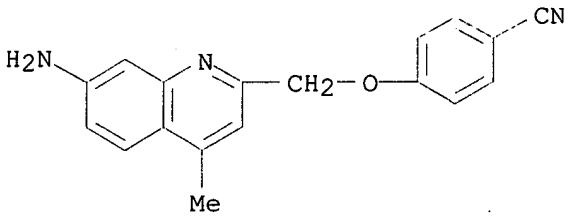
RN 256493-73-9 USPATFULL
CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-4-methyl-7-quinolinyl]-N-(8-quinolinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



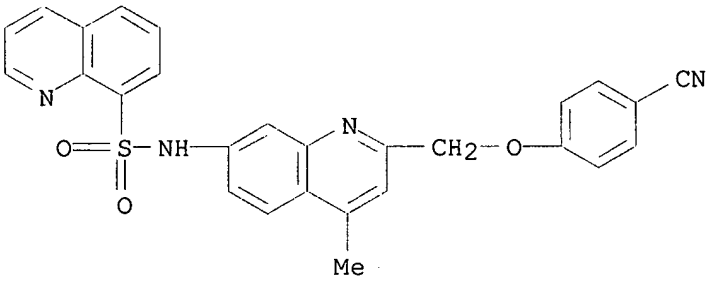
IT **256493-00-2P 256493-01-3P 256493-02-4P**
256493-03-5P
(prepn. of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)
RN 256493-00-2 USPATFULL
CN Benzonitrile, 4-[[7-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-4-methyl-2-quinolinyl]methoxy]- (9CI) (CA INDEX NAME)



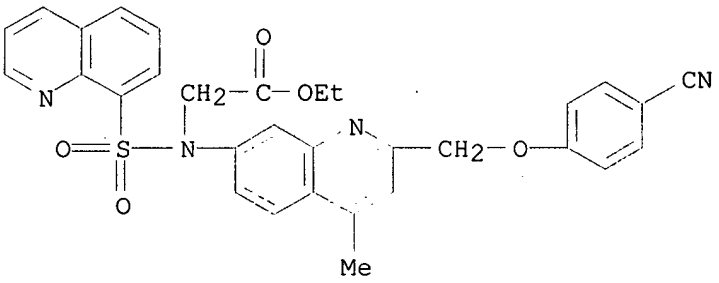
RN 256493-01-3 USPATFULL
CN Benzonitrile, 4-[(7-amino-4-methyl-2-quinolinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 256493-02-4 USPATFULL
CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]- (9CI) (CA INDEX NAME)



RN 256493-03-5 USPATFULL
CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]-N-(8-quinolinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 71 OF 98 USPATFULL

ACCESSION NUMBER:	97:76141 USPATFULL
TITLE:	Quinolylbenzofuran derivatives as leukotriene antagonists
INVENTOR(S):	Matsuo, Masaaki, Toyonaka, Japan Okumura, Kazuo, Osaka, Japan Shigenaga, Shinji, Kobe, Japan
PATENT ASSIGNEE(S):	Fujisawa Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	DATE	
PATENT INFORMATION:	US 5661159	19970826	
	WO 9317013	19930902	
APPLICATION INFO.:	US 1994-256735	19940802	(8)

Searched by Barb O'Bryen, STIC 308-4291

WO 1993-JP198	19930218	
	19940802	PCT 371 date
	19940802	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1992-3798	19920221

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Haley, Jacqueline
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 2308
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to new quinolylbenzofuran derivatives having activities as leukotrien and Slow Reacting Substance of Anaphylaxis antagonists and inhibitors and represented by the general formula (I): ##STR1## wherein R.sup.1 is halogen, etc.,

R.sup.2 is hydrogen or halogen,

R.sup.3 is hydrogen, halogen, hydroxy, lower alkyl or lower alkoxy,

R.sup.4 is hydrogen, acyl, cyano, nitro, substituted or unsubstituted aryl, or substituted or unsubstituted lower alkyl,

R.sup.5 is hydrogen, hydroxy, lower alkyl or lower alkoxy,

A is lower alkylene, lower alkenylene or a single bond,

X is a single bond, O, NH, S, SO or SO.sub.2, and

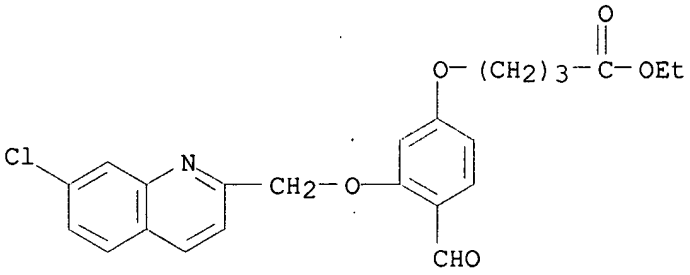
Y is O or S,

provided that when R.sup.3 is hydrogen, R.sup.4 is hydrogen,

R.sup.5 is hydrogen, A is a single bond and X is a single bond, then R.sup.1 is halogen, etc.,

and pharmaceutically acceptable salts thereof to processes for the preparation thereof and to a pharmaceutical composition comprising the same.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **152942-07-9P**
(prepn. and reaction of, in prepn. of leukotriene D4 receptor antagonists)
RN 152942-07-9 USPATFULL
CN Butanoic acid, 4-[3-[(7-chloro-2-quinolinyl)methoxy]-4-formylphenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 72 OF 98 USPATFULL

ACCESSION NUMBER:	97:7937 USPATFULL
TITLE:	Substituted quinol-2-yl-methoxy-phenylacetic acid derivatives
INVENTOR(S):	Matzke, Michael, Wuppertal, Germany, Federal Republic of Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of Raddatz, Siegfried, Cologne, Germany, Federal Republic of Fruchtmann, Romanis, Cologne, Germany, Federal Republic of M uller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of Hatzelmann, Armin, Constance, Germany, Federal Republic of
PATENT ASSIGNEE(S):	Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE	
PATENT INFORMATION:	US 5597833	19970128	
APPLICATION INFO.:	US 1993-102453	19930804	(8)
PRIORITY INFORMATION:	DE 1992-4226519	19920811	

DOCUMENT TYPE:	Utility
PRIMARY EXAMINER:	Ivy, C. Warren
ASSISTANT EXAMINER:	Mach, D. Margaret M.
LEGAL REPRESENTATIVE:	Sprung Horn Kramer & Woods
NUMBER OF CLAIMS:	4
EXEMPLARY CLAIM:	1
LINE COUNT:	841

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted quinol-2-yl-methoxy-phenylacetic acid derivatives are prepared by reacting correspondingly substituted phenols with quinolylmethyl halides or by reacting unsubstituted phenols with quinolylmethyl halides and subsequent alkylation. The substituted quinol-2-yl-methoxy-phenylacetic acid derivatives may be employed as active substances in medicaments.

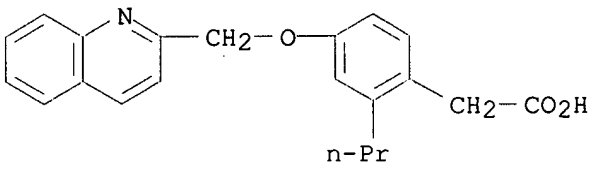
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **154353-13-6P 154353-15-8P**
(prepn. of, as lipoxygenase inhibitor)

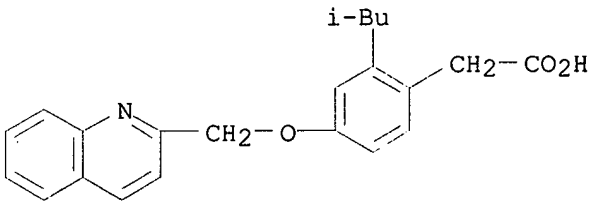
RN 154353-13-6 USPATFULL

CN Benzeneacetic acid, 2-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX

NAME)



RN 154353-15-8 USPATFULL
CN Benzeneacetic acid, 2-(2-methylpropyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 73 OF 98 USPATFULL

ACCESSION NUMBER:	96:43673 USPATFULL
TITLE:	.alpha., .alpha. dialkylbenzyl derivatives
INVENTOR(S):	Edwards, Philip N., Bramhall, England Waterson, David, Bollington, England
PATENT ASSIGNEE(S):	Imperial Chemical Industries Plc, London, United Kingdom (non-U.S. corporation) ICI Pharma, Cergy Cedex, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5519022	19960521
APPLICATION INFO.:	US 1993-149803	19931110 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1992-853277, filed on 18 Mar 1992, now patented, Pat. No. US 5288742	

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1991-400772	19910321

DOCUMENT TYPE:	Utility
PRIMARY EXAMINER:	Ivy, C. Warren
ASSISTANT EXAMINER:	Covington, Raymond
LEGAL REPRESENTATIVE:	Cushman Darby & Cushman
NUMBER OF CLAIMS:	7
EXEMPLARY CLAIM:	1
LINE COUNT:	1418

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns .alpha.,.alpha.-dialkylbenzyl derivatives of the formula I ##STR1## wherein Ar.sup.1 is phenyl or naphthyl, or a 10-membered bicyclic heterocyclic moiety containing one or two nitrogen heteroatoms and optionally containing a further heteroatom selected from nitrogen, oxygen and sulphur;

A.sup.1 is a direct link to X.sup.1 or is (1-3C)alkylene;

X.sup.1 is oxy, thio, sulphinyl or sulphonyl;

the phenylene group may optionally bear one or two substituents R.sup.3 ;

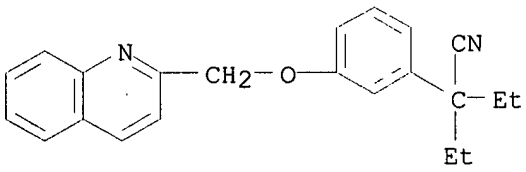
each of R.sup.1 and R.sup.2, which may be the same or different is (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, fluoro-(1-4C)alkyl, phenyl or phenyl-(1-4C)alkyl, provided that both of R.sup.1 and R.sup.2 are not methyl or fluoromethyl; and

Q is cyano, amino, nitro, formyl, (1-4C)alkoxy, thiazolyl or (2-4C)alkanoyl;

or a pharmaceutically-acceptable salt thereof;

processes for their manufacture; pharmaceutical compositions containing them and their use as 5-lipoxygenase inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **144828-64-8P**
(prepn. of, as lipoxygenase inhibitor)
RN 144828-64-8 USPATFULL
CN Benzeneacetonitrile, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 74 OF 98 USPATFULL
ACCESSION NUMBER: 95:47727 USPATFULL
TITLE: Cyanomethylpyridine derivatives
INVENTOR(S): Carceller, Elena, Barcelona, Spain
Jimenez, Pere J., Tarragona, Spain
Almansa, Carmen, Barcelona, Spain
Bartroli, Javier, Barcelona, Spain
PATENT ASSIGNEE(S): J. Uriach & Cia, S.A., Barcelona, Spain (non-U.S. corporation)

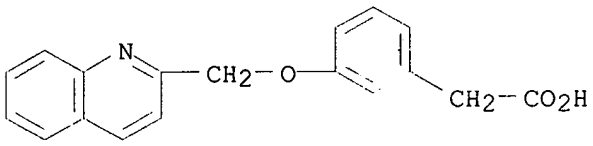
	NUMBER	DATE	
PATENT INFORMATION:	US 5420131	19950530	
APPLICATION INFO.:	US 1994-216583	19940323	(8)

	NUMBER	DATE
PRIORITY INFORMATION:	ES 1993-591	19930323

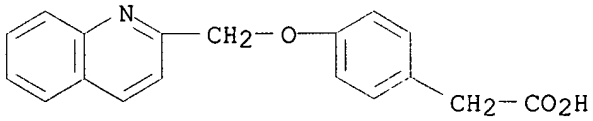
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Tsang, Cecilia
LEGAL REPRESENTATIVE: Rothwell, Figg, Ernst & Kurz
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 1112
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to new cyanomethylpyridine dervatives of

formula I ##STR1## wherein Y represents N or CH; R.sub.1 represents hydrogen, fluoro, chloro, difluoro or dichloro; R.sub.2 represents hydrogen or C.sub.1-4 alkyl; n is 0 or 1; p is 0 or 1; A represents a covalent bond or a group of formula --CONHCH(Ar)--, --NHCH(Ar)--, --SO.sub.2 NHCH(Ar)--, --NHCONHCH(Ar)-- or --OCONHCH(Ar)--, and when p is 1, A can also represent --CH(Ar)NH--; and Ar represents phenyl or phenyl substituted with halogen, C.sub.1-4 alkyl, C.sub.1-4 alkoxy or trifluoromethyl. These compounds are PAF antagonist and/or 5-lipoxygenase inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **104325-55-5P**, 3-(2-Quinolylmethoxy)phenylacetic acid
121289-78-9P, p-(2-Quinolylmethoxy)phenylacetic acid
(intermediate; prepn. of cyanomethylpyridine derivs. as PAF antagonists and 5-lipoxygenase inhibitors)
RN 104325-55-5 USPATFULL
CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 121289-78-9 USPATFULL
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 75 OF 98 USPATFULL

ACCESSION NUMBER:	95:27318 USPATFULL
TITLE:	Isoquinoline derivatives suitable for use in leukotriene mediated disease
INVENTOR(S):	Crawley, Graham C., Kerridge, United Kingdom Edwards, Philip N., Bramhall, United Kingdom Girodeau, Jean-Marc M. M., Rilly la Montagne, France
PATENT ASSIGNEE(S):	Zeneca Limited, London, United Kingdom (non-U.S. corporation) Zeneca Pharma, Cergy Cedex, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5401751	19950328
APPLICATION INFO.:	US 1993-64979	19930524 (8)
DISCLAIMER DATE:	20100622	
RELATED APPLN. INFO.:	Division of Ser. No. US 1992-881133, filed on 11 May 1992, now patented, Pat. No. US 5236919 which is a division of Ser. No. US 1991-758491, filed on 5 Sep 1991, now patented, Pat. No. US 5134148 which is a continuation of Ser. No. US 1990-485875, filed on 27 Feb 1990, now abandoned	

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1989-400560	19890228
	EP 1989-401493	19890531
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Bernhardt, Emily	
LEGAL REPRESENTATIVE:	Cushman Darby & Cushman	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2862	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns a heterocycle of the formula I ##STR1## wherein Q is an optionally substituted 6-membered monocyclic or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen atoms;

A is (1-6C)alkylene, (3-6C)alkenylene, (3-6C)alkynylene or cyclo(3-6C)alkylene;

X is oxy, thio, sulphinyl, sulphonyl or imino;

Ar is phenylene which may optionally bear one or two substituents or

Ar is an optionally substituted 6-membered heterocyclene moiety containing up to three nitrogen atoms;

R.sup.1 is hydrogen, (1-6C)alkyl, (3-6C)alkenyl, (3-6C)alkynyl, cyano-(1-4C)alkyl or (2-4C)alkanoyl, or optionally substituted benzoyl;

and R.sup.2 and R.sup.3 together form a group of the formula --A.sup.2 --X.sup.2 --A.sup.3 -- which, together with the carbon atom to which A.sup.2 and A.sup.3 are attached, defines a ring having 4 to 7 ring atoms, wherein A.sup.2 and A.sup.3, which may be the same or different, each is (1-4C)alkylene and X.sup.2 is oxy, thio, sulphinyl, sulphonyl or imino;

or a pharmaceutically-acceptable salt thereof.

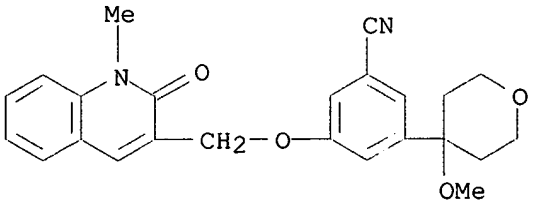
The compounds of the invention are inhibitors of the enzyme 5-lipoxygenase.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **133739-06-7P**
(prepn. of, as 5-lipoxygenase inhibitor)

RN 133739-06-7 USPATFULL

CN Benzonitrile, 3-[(1,2-dihydro-1-methyl-2-oxo-3-quinolinyl)methoxy]-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 76 OF 98 USPATFULL

ACCESSION NUMBER: 95:16195 USPATFULL

TITLE: Substituted (quinolin-2-yl-methoxy)phenyl-acyl-sulphon-

amides and -cyanamides, processes for their preparation and their use in medicaments
INVENTOR(S): Raddatz, Siegfried, Cologne, Germany, Federal Republic of
Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of
Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
Kohlsdorfer, Christian, Erftstadt, Germany, Federal Republic of
Theisen-Popp, Pia, Bergisch Gladbach, Germany, Federal Republic of
Muller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

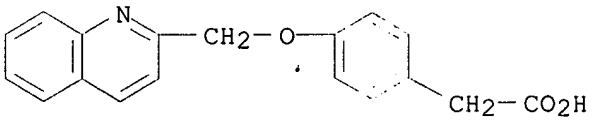
	NUMBER	DATE
PATENT INFORMATION:	US 5391747	19950221
APPLICATION INFO.:	US 1991-764435	19910923 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1990-517108, filed on 1 May 1990, now patented, Pat. No. US 5091392

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1989-3916663	19890523

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Mach, D. Margaret M.
LEGAL REPRESENTATIVE: Sprung Horn Kramer & Woods
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 921
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A (quinolin-2-yl-methoxy) phenylacyl-sulphonamide or -cyanamide of the formula ##STR1## and physiologically acceptable salts thereof. The (quinolin-2-yl-methoxy)phenylacylsulphonamide or -cyanamide is useful as an active compound in medicaments, particularly as a lipoxygenase inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **121289-78-9**
(alkylation of, in prepn. of lipoxygenase inhibitors)
RN 121289-78-9 USPATFULL
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 77 OF 98 USPATFULL
ACCESSION NUMBER: 94:95522 USPATFULL
TITLE: Phenoxyacetic acid compounds and medical preparations containing them
INVENTOR(S): Igarashi, Azuma, Hadano, Japan
Maeda, Sachiko, Nakai, Japan

Sugizaki, Katuyoshi, Isehara, Japan
Shizawa, Takashi, Ninomiya, Japan
Tajima, Atsumi, Zama, Japan
Abe, Kenichi, Hadano, Japan
Ozawa, Shinji, Hadano, Japan
PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Tokyo, Japan (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5360909	19941101
APPLICATION INFO.:	US 1993-35178	19930322 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-4064773	19920323
	JP 1992-4198541	19920724

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Mach, D. Margaret M.
LEGAL REPRESENTATIVE: Burns, Doane, Swecker & Mathis
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 651
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

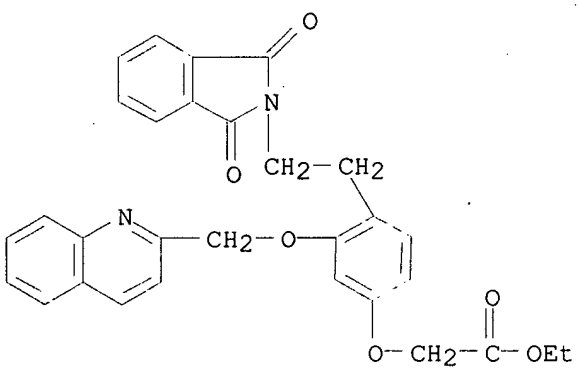
AB Disclosed are phenoxyacetic acid compounds of formula (I): ##STR1## where X is a hydrogen atom, a lower alkyl group or a halogen atom;

R1 is a carboxyl group or a lower alkoxycarbonyl group;

Y is an oxygen atom, ##STR2## n is an integer of from 0 to 5; Z is ##STR3## R2 is a hydrogen atom or a lower alkyl group; and m is 0 or 1.

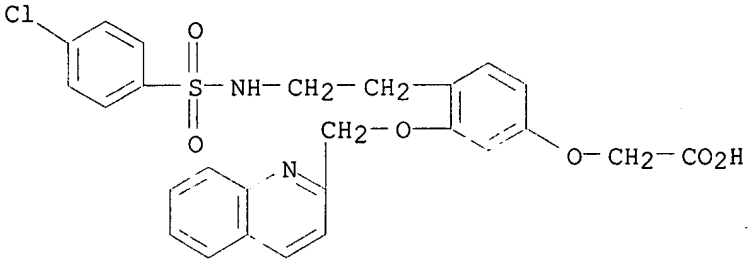
The compounds and their physiologically acceptable salts are used as thromboxane A2 antagonistic agents, leukotriene antagonistic agents and/or anti-allergic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **152499-02-0**
(hydrazinolysis of, in prepn. of TXA2-leukotriene antagonists)
RN 152499-02-0 USPATFULL
CN Acetic acid, [4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

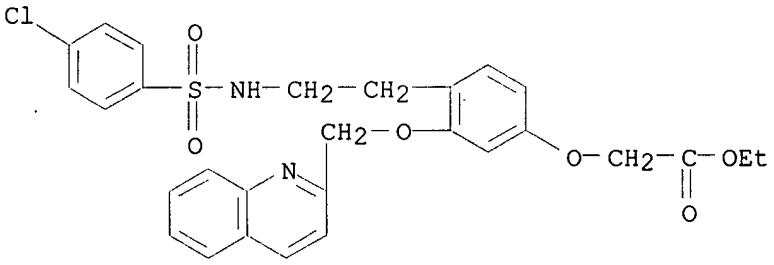


IT **152498-85-6**

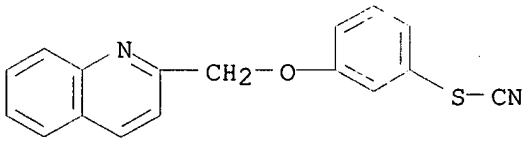
(prepn. as combination TXA2-leukotriene antagonist)
RN 152498-85-6 USPATFULL
CN Acetic acid, [4-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



IT **152498-97-0 152498-99-2**
(prepn. as intermediate in prepn. of TXA2-leukotriene antagonists)
RN 152498-97-0 USPATFULL
CN Acetic acid, [4-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 152498-99-2 USPATFULL
CN Thiocyanic acid, 3-(2-quinolinylmethoxy)phenyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 78 OF 98 USPATFULL
ACCESSION NUMBER: 94:40063 USPATFULL
TITLE: Quinolylmethoxyphenyl-acetamides
INVENTOR(S): Raddatz, Siegfried, Cologne, Germany, Federal Republic of
Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of
Matzke, Michael, Wuppertal, Germany, Federal Republic of
Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
Hatzelmann, Armin, Constance, Germany, Federal Republic of

Muller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5310744	19940510
APPLICATION INFO.:	US 1992-979745	19921123 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1991-4139749	19911203

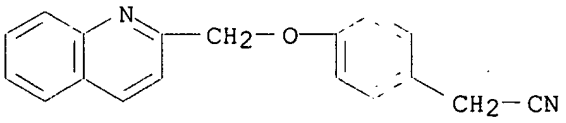
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Davis, Zinna N.
LEGAL REPRESENTATIVE: Sprung Horn Kramer & Woods
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 645
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Quinolylmethoxyphenyl-acetamides of the formula: ##STR1## in which A, B, D, E, G, L and M are hydrogen, hydroxyl, halogen, cyano, carboxyl, nitro, trifluoromethyl, trifluoromethoxy, alkyl, alkoxy or optionally substituted aryl;

R.sub.1 represents optionally substituted cycloalkyl; and

R.sub.2 and R.sub.3 independently represent hydrogen, alkyl, benzyl, or optionally substituted cycloalkyl; or together represent piperidinyl, morpholino, or piperazinyl;

show a high in vitro activity as leukotriene synthesis inhibitors and, therefore, are suitable for the treatment and prevention of inflammations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **114497-66-4P**
(prepn. of, as intermediate for .alpha.-cycloalkyl[(quinolinyl)methoxy] benzeneacetamide (leukotriene antagonist))
RN 114497-66-4 USPATFULL
CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 79 OF 98 USPATFULL
ACCESSION NUMBER: 94:26539 USPATFULL
TITLE: Alkanoic acid derivatives
INVENTOR(S): Waterson, David, Bollington, England
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, United Kingdom (non-U.S. corporation)
I.C.I. Pharma, Cedex, France (non-U.S. corporation)

	NUMBER	DATE

PATENT INFORMATION: US 5298511 19940329
APPLICATION INFO.: US 1992-948594 19920923 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1991-402638	19911003

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Cushman, Darby & Cushman
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 947
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention concerns alkanoic acid derivatives of the formula I ##STR1## wherein Ar.sup.1 is optionally-substituted phenyl or naphthyl, or a 10-membered bicyclic heterocyclic moiety containing one or two nitrogen heteroatoms and optionally containing a further heteroatom selected from nitrogen, oxygen and sulphur;

A.sup.1 is a direct link to X.sup.1 or is (1-3 C)alkylene;

X.sup.1 is oxy, thio, sulphinyl or sulphonyl;

n is 0, 1 or 2 and R.sup.1 is halogeno, (1-4 C)alkyl, (1-4 C)alkoxy or fluoro-(1-4 C)alkyl;

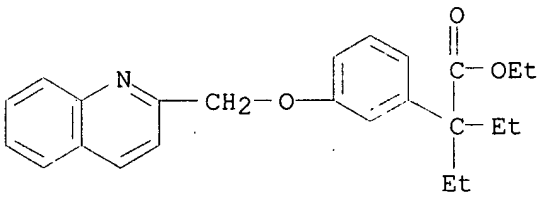
each of R.sup.2 and R.sup.3 is (1-4 C)alkyl, (2-4 C)alkenyl or (2-4 C)alkynyl; and

R.sup.4 is hydrogen or (1-4 C)alkyl;

or a pharmaceutically-acceptable salt thereof;

processes for their manufacture; pharmaceutical compositions containing them and their use as 5-lipoxygenase inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **149490-68-6P**
(prepn. of, as lipoxygenase inhibitor)
RN 149490-68-6 USPATFULL
CN Benzeneacetic acid, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 80 OF 98 USPATFULL
ACCESSION NUMBER: 94:15766 USPATFULL
TITLE: .alpha.,.alpha. dialkylbenzyl derivatives
INVENTOR(S): Edwards, Philip N., Bramhall, England
Waterson, David, MacClesfield, England
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, England

(non-U.S. corporation)
ICI Pharma, Cergy Cedex, France (non-U.S. corporation)

	NUMBER	DATE	
PATENT INFORMATION:	US 5288742	19940222	
APPLICATION INFO.:	US 1992-853277	19920318	(7)

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1991-400772	19910321

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Covington, Raymond
LEGAL REPRESENTATIVE: Cushman, Darby & Cushman
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 1363
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns .alpha.,.alpha.-dialkylbenzyl derivatives of the formula I ##STR1## wherein Ar.sup.1 is phenyl or naphthyl, or a 10-membered bicyclic heterocyclic moiety containing one or two nitrogen heteroatoms and optionally containing a further heteroatom selected from nitrogen, oxygen and sulphur;

A.sup.1 is a direct link to X.sup.1 or is (1-3C)alkylene;

X.sup.1 is oxy, thio, sulphinyl or sulphonyl;

the phenylene group may optionally bear one or two substituents R.sup.3 ;

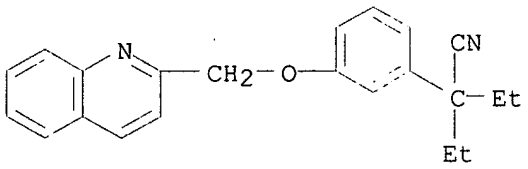
each of R.sup.1 and R.sup.2, which may be the same or different, is (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, fluoro-(1-4C)alkyl, phenyl or phenyl-(1-4C)alkyl, provided that both of R.sup.1 and R.sup.2 are not methyl or fluoromethyl; and

Q is cyano, amino, nitro, formyl, (1-4C)alkoxy, thiazolyl or (2-4C)alkanoyl;

or a pharmaceutically-acceptable salt thereof;

processes for their manufacture; pharmaceutical compositions containing them and their use as 5-lipoxygenase inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **144828-64-8P**
(prepn. of, as lipoxygenase inhibitor)
RN 144828-64-8 USPATFULL
CN Benzeneacetonitrile, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



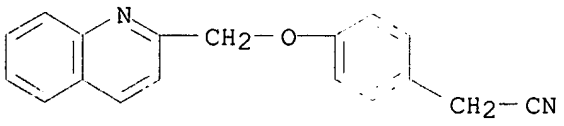
L19 ANSWER 81 OF 98 USPATFULL
ACCESSION NUMBER: 94:15757 USPATFULL
TITLE: Quinolylmethoxyphenylacetic acid acylamides and ureas
INVENTOR(S): Raddatz, Siegfried, Cologne, Germany, Federal Republic of
Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of
Matzke, Michael, Wuppertal, Germany, Federal Republic of
Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
Hatzelmann, Armin, Konstanz, Germany, Federal Republic of
Muller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5288733	19940222
APPLICATION INFO.:	US 1992-979756	19921123 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1991-4139750	19911203

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Springer, David B.
LEGAL REPRESENTATIVE: Sprung Horn Kramer & Woods
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 426
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Quinolylmethoxyphenylacetic acid acylamides and ureas can be prepared either by reacting corresponding carboxylic acids with amides or reacting corresponding amides with isocyanates or ureas. The quinolylmethoxyphenylacetic acid acylamides or ureas can be used as active compounds in medicaments.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **114497-66-4P**
(prepn. of, as intermediate for N-acyl-.alpha.-cycloalkyl[(quinolinyl)methoxy]benzeneacetamide (leukotriene antagonist))
RN 114497-66-4 USPATFULL
CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 82 OF 98 USPATFULL
ACCESSION NUMBER: 93:67620 USPATFULL
TITLE: Quinoxalinyl derivatives suitable for use in leukotriene mediated disease
INVENTOR(S): Crawley, Graham C., Macclesfield, United Kingdom

Edwards, Philip N., Bramhall, United Kingdom
Girodeau, Jean-Marc M. M., Rilly la Montagne, France
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, United Kingdom (non-U.S. corporation)
ICI Pharma, Cergy Cedex, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5236919	19930817
APPLICATION INFO.:	US 1992-881133	19920511 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-758491, filed on 5 Sep 1991, now patented, Pat. No. US 5134148 which is a continuation of Ser. No. US 1990-485875, filed on 27 Feb 1990, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1989-4005602	19890228
	EP 1989-4014935	19890531

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Bernhardt, Emily
LEGAL REPRESENTATIVE: Cushman, Darby & Cushman
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 2785

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns a heterocycle of the formula I ##STR1## wherein Q is an optionally substituted 6-membered monocyclic or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen atoms;

A is (1-6C)alkylene, (3-6C)alkenylene, (3-6C)alkynylene or cyclo(3-6C)alkylene;

X is oxy, thio, sulphinyl, sulphonyl or imino;

Ar is phenylene which may optionally bear one or two substituents or

Ar is an optionally substituted 6-membered heterocyclene moiety containing up to three nitrogen atoms;

R.sup.1 is hydrogen, (1-6C)alkyl, (3-6C)alkenyl, (3-6C)alkynyl, cyano-(1-4C)alkyl or (2-4C)alkanoyl, or optionally substituted benzoyl;

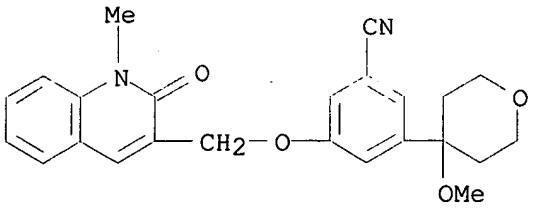
and R.sup.2 and R.sup.3 together form a group of the formula --A.sup.2 --X.sup.2 --A.sup.3 -- which, together with the carbon atom to which A.sup.2 and A.sup.3 are attached, defines a ring having 4 to 7 ring atoms, wherein A.sup.2 and A.sup.3, which may be the same or different, each is (1-4C)alkylene and X.sup.2 is oxy, thio, sulphinyl, sulphonyl or imino;

or a pharmaceutically-acceptable salt thereof..

The compounds of the invention are inhibitors of the enzyme 5-lipoxygenase.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **133739-06-7P**
(prepn. of, as 5-lipoxygenase inhibitor)
RN 133739-06-7 USPATFULL
CN Benzonitrile, 3-[(1,2-dihydro-1-methyl-2-oxo-3-quinolinyl)methoxy]-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 83 OF 98 USPATFULL

ACCESSION NUMBER:	93:63161 USPATFULL
TITLE:	Quinoline ether alkanoic acids
INVENTOR(S):	Zamboni, Robert, Point-Claire, Canada Prasit, Petpiboon, Kirkland, Canada Young, Robert N., Senneville, Canada
PATENT ASSIGNEE(S):	Merck Frosst Canada, Inc., Kirkland, Canada (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5232916	19930803
APPLICATION INFO.:	US 1991-783463	19911028 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1989-453654, filed on 20 Dec 1989, now patented, Pat. No. US 5102881 which is a continuation-in-part of Ser. No. US 1988-211642, filed on 27 Jun 1988, now abandoned

DOCUMENT TYPE:	Utility
PRIMARY EXAMINER:	Shah, Mukund J.
ASSISTANT EXAMINER:	Ward, E. C.
LEGAL REPRESENTATIVE:	Lopez, Gabriel; DiPrima, Joseph F.
NUMBER OF CLAIMS:	2
EXEMPLARY CLAIM:	1
LINE COUNT:	1666

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

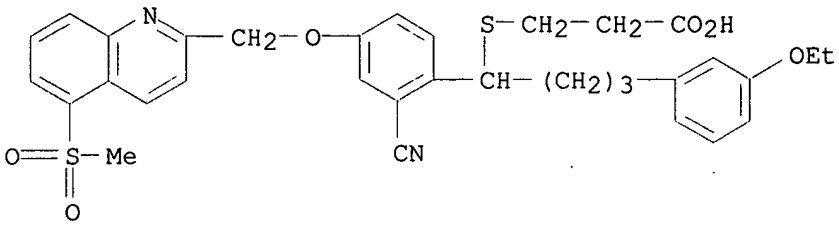
AB Compounds having the formula: ##STR1## are inhibitors of leukotriene biosynthesis. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating diarrhea, hypertension, angina, platelet aggregation, cerebral spasm, premature labor, spontaneous abortion, dysmenorrhea, and migraine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

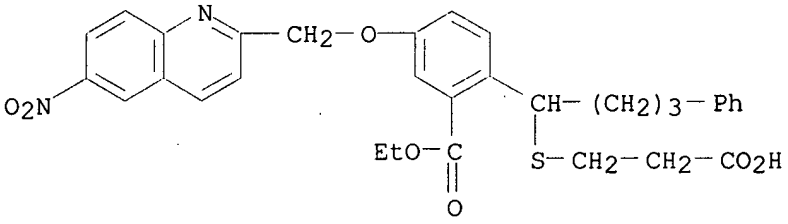
IT **127481-82-7P 127481-87-2P**
(prepn. of, as leukotriene inhibitor)

RN 127481-82-7 USPATFULL

CN Propanoic acid, 3-[[1-[2-cyano-4-[[5-(methylsulfonyl)-2-quinolinyl]methoxy]phenyl]-4-(3-ethoxyphenyl)butyl]thio]- (9CI) (CA INDEX NAME)



RN 127481-87-2 USPATFULL
CN Benzoic acid, 2-[1-[(2-carboxyethyl)thio]-4-phenylbutyl]-5-[(6-nitro-2-quinolinyl)methoxy]-, 1-ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 84 OF 98 USPATFULL

ACCESSION NUMBER:	93:3587 USPATFULL
TITLE:	Substituted N-(quinolin-2-yl-methoxy) benzyl-sulphonylurea leukotriene synthesis inhibitors
INVENTOR(S):	Mohrs, Klaus, Wuppertal, Germany, Federal Republic of Raddatz, Siegfried, Cologne, Germany, Federal Republic of Fruchtmann, Romanis, Cologne, Germany, Federal Republic of Köhlsdorfer, Christian, Erftstadt, Germany, Federal Republic of Muller-Peddinghaus, Reiner, Bergisch-Gladbach, Germany, Federal Republic of Theisen-Popp, Pia, Bergisch-Gladbach, Germany, Federal Republic of
PATENT ASSIGNEE(S):	Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE	
PATENT INFORMATION:	US 5179106	19930112	
APPLICATION INFO.:	US 1990-558730	19900727	(7)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1989-3927369	19890819

DOCUMENT TYPE:	Utility
PRIMARY EXAMINER:	Ivy, C. Warren
ASSISTANT EXAMINER:	Covington, Raymond
LEGAL REPRESENTATIVE:	Sprung Horn Kramer & Woods
NUMBER OF CLAIMS:	9
EXEMPLARY CLAIM:	1
LINE COUNT:	546

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibiting leucotriene synthesis in patients with novel substituted N-(quinolin-2-yl-methoxy)benzylsulphonyl-urea of the formula ##STR1## in which A, B, D, E, G, K and M each independently is H, OH, halogen, CF.sub.3, OCF.sub.3, COOH, alkyl, alkoxy, alkoxycarbonyl or aryl,

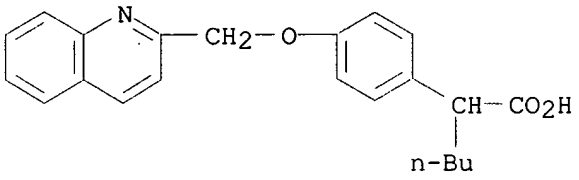
R.sup.1 is alkyl or cycloalkyl,

R.sup.2 and R.sup.3 each independently is H or alkyl, and

R.sup.4 is alkyl, aryl or a heterocyclic radical,

and salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **126960-82-5**
(reaction of, in prepn. of lipoxygenase inhibitor)
RN 126960-82-5 USPATFULL
CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 85 OF 98 USPATFULL
ACCESSION NUMBER: 92:89194 USPATFULL
TITLE: 2-anilino phenylacetic acid derivatives as inhibitors of PLA.sub.2 and lipoxygenase
INVENTOR(S): Failli, Amedeo A., Princeton Junction, NJ, United States
Kreft, III, Anthony F., Trooper, PA, United States
Musser, John H., Yardley, PA, United States
PATENT ASSIGNEE(S): American Home Products Corporation, New York, NY, United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5159085	19921027
APPLICATION INFO.:	US 1991-667732	19910404 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1989-428092, filed on 27 Oct 1989, now patented, Pat. No. US 5021576
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: McKane, Joseph K.
LEGAL REPRESENTATIVE: Tarnowski, George
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 1549
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB There are disclosed compounds of the formula ##STR1## wherein R is hydroxy, lower alkoxy or lower alkoxyamino;

R.sup.1 is hydrogen or A(CH.sub.2).sub.n O--;

R.sup.2 is hydrogen or A(CH.sub.2).sub.n O--, with the proviso that one

of R.sup.1 and R.sup.2 is hydrogen;

n is 1-2;

A is phenoxyethyl, phenoxyphenyl or a group having the formula ##STR2## R.sup.3 is hydrogen, lower alkyl or phenyl; R.sup.4 is hydrogen or lower alkyl; or

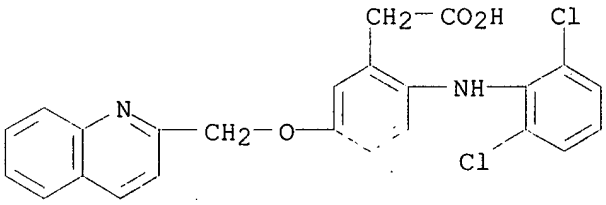
R.sup.3 and R.sup.4 taken together form a benzene ring;

R.sup.5 is hydrogen or lower alkyl;

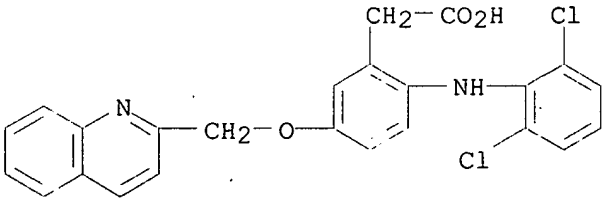
R.sup.6 is hydrogen, halo or lower alkyl;

and the pharmacologically acceptable salts thereof, and their use in the treatment of inflammatory conditions, such as rheumatoid arthritis, ulcerative colitis, psoriasis and other immediate hypersensitivity reactions; in the treatment of leukotriene-mediated naso-bronchial obstructive air-passageway conditions, such as allergic rhinitis, allergic bronchial asthma and the like; and as gastic cytoprotective agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **136099-33-7P 136099-34-8P 136099-35-9P**
136099-37-1P 136099-49-5P
(prepn. of, as lipoxygenase inhibitor)
RN 136099-33-7 USPATFULL
CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-
(9CI) (CA INDEX NAME)



RN 136099-34-8 USPATFULL
CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-
, monosodium salt (9CI) (CA INDEX NAME)



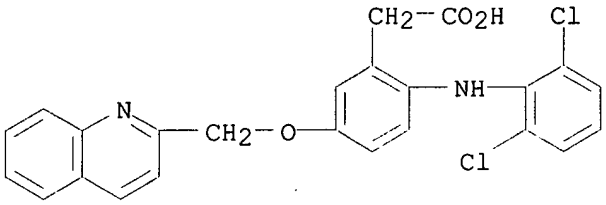
● Na

RN 136099-35-9 USPATFULL
CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-

, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

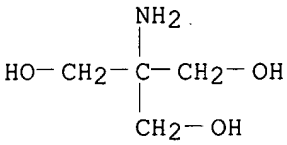
CM 1

CRN 136099-33-7
CMF C24 H18 Cl2 N2 O3

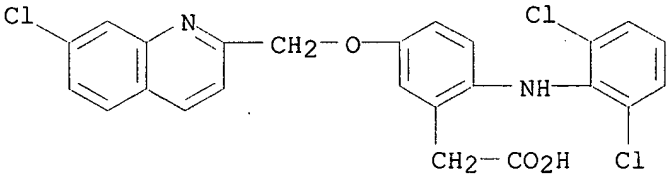


CM 2

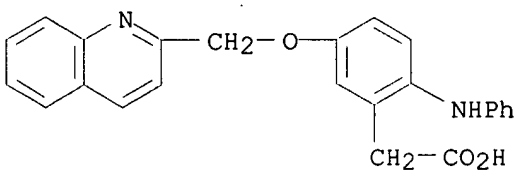
CRN 77-86-1
CMF C4 H11 N O3



RN 136099-37-1 USPATFULL
CN Benzeneacetic acid, 5-[(7-chloro-2-quinolinyl)methoxy]-2-[(2,6-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)



RN 136099-49-5 USPATFULL
CN Benzeneacetic acid, 2-(phenylamino)-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L19 ANSWER 86 OF 98 USPATFULL
ACCESSION NUMBER: 92:61922 USPATFULL
TITLE: Heterocycles for use as inhibitors of leukotrienes
INVENTOR(S): Crawley, Graham C., Macclesfield, United Kingdom
Edwards, Philip N., Bramhall, United Kingdom
Girodeau, Jean-Marc M. M., Rilly la Montagne, France
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, England (non-U.S. corporation)
ICI Pharma, Cedex, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5134148	19920728
APPLICATION INFO.:	US 1991-758491	19910905 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1990-485875, filed on 27 Feb 1990, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1989-400560	19890228
	EP 1989-401493	19890531

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Bernhardt, E.
LEGAL REPRESENTATIVE: Cushman, Darby & Cushman
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1,9
LINE COUNT: 2913
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns a heterocycle of the formula I ##STR1## wherein Q is an optionally substituted 6-membered monocyclic or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen atoms;

A is (1-6C)alkylene, (3-6C)alkenylene, (3-6C)alkynylene or cyclo(3-6C)alkylene;

X is oxy, thio, sulphinyl, sulphonyl or imino;

Ar is phenylene which may optionally bear one or two substituents or Ar is an optionally substituted 6-membered heterocyclene moiety containing up to three nitrogen atoms;

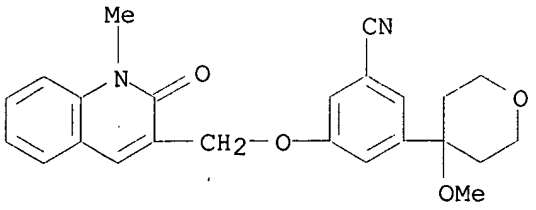
R.sup.1 is hydrogen, (1-6C)alkyl, (3-6C)alkenyl, (3-6C)alkynyl, cyano-(1-4C)alkyl or (2-4C)alkanoyl, or optionally substituted benzoyl;

and R.sup.2 and R.sup.3 together form a group of the formula --A.sup.2 --X.sup.2 --A.sup.3 -- which, together with the carbon atom to which A.sup.2 and A.sup.3 are attached, defines a ring having 4 to 7 ring atoms, wherein A.sup.2 and A.sup.3, which may be the same or different, each is (1-4C)alkylene and X.sup.2 is oxy, thio, sulphinyl, sulphonyl or imino; or a pharmaceutically-acceptable salt thereof.

The compounds of the invention are inhibitors of the enzyme 5-lipoxygenase.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **133739-06-7P**
(prepn. of, as 5-lipoxygenase inhibitor)
RN 133739-06-7 USPATFULL

CN Benzonitrile, 3-[(1,2-dihydro-1-methyl-2-oxo-3-quinolinyl)methoxy]-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 87 OF 98 USPATFULL

ACCESSION NUMBER:	92:34167 USPATFULL
TITLE:	Quinoline and pyridine compounds and inhibition of 5-lipoxygenases therewith
INVENTOR(S):	Nielsen, Ole Bent T., Vanlose, Denmark Ahnfelt-Ronne, Ian, Fredensborg, Denmark
PATENT ASSIGNEE(S):	Leo Pharmaceutical Products Ltd., Ballerup, Denmark (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5109009	19920428
APPLICATION INFO.:	US 1990-581121	19900910 (7)
DISCLAIMER DATE:	20060502	
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1987-140277, filed on 31 Dec 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-834542, filed on 28 Feb 1986	

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1985-6094	19850308
	GB 1985-25153	19851011

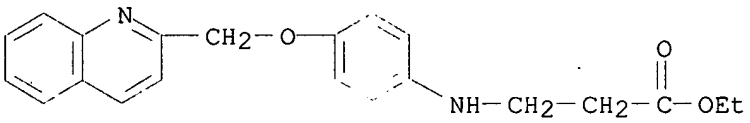
DOCUMENT TYPE:	Utility
PRIMARY EXAMINER:	Springer, David B.
LEGAL REPRESENTATIVE:	Cushman, Darby & Cushman
NUMBER OF CLAIMS:	13
EXEMPLARY CLAIM:	1,13
LINE COUNT:	1909

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

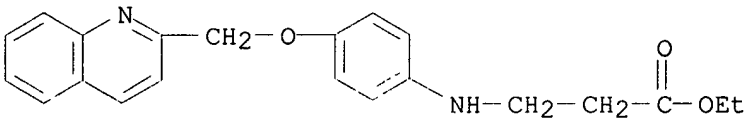
AB The present invention relates to compounds of formula ##STR1## in which formula I X stands for O, S, ##STR2## R.sub.1 and R.sub.2 which can be the same or different stand for hydrogen, straight or branched, saturated or unsaturated, unsubstituted or substituted C.sub.1 -C.sub.8 -alkyl, aryl or for ar-C.sub.1 -C.sub.4 -alkyl, aryl and ar being unsubstituted or substituted phenyl; R.sub.3, R.sub.4, R.sub.5, and R.sub.6 are the same or different and stand for hydrogen, halogen, pseudo halogen, cyano, nitro, amino, carboxy, hydroxy, alkyl, alkoxy; or R.sub.5 and R.sub.6 form an aromatic ring which is fused to the pyridyl ring, and which aromatic ring may substituted; provided that R.sub.1 and R.sub.2 cannot be hydrogen at the same time, and provided that when R.sub.5 and R.sub.6 both are chlorine and R.sub.1 is hydrogen, then R.sub.2 cannot be n-propyl; and salts and bioreversible derivatives thereof.

The compounds of formula I are useful in the human and veterinary therapy, as they exert specific 5-lipoxygenase inhibition.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **105349-96-0P 105349-97-1P**
(prepn. of, as drug)
RN 105349-96-0 USPATFULL
CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 105349-97-1 USPATFULL
CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L19 ANSWER 88 OF 98 USPATFULL

ACCESSION NUMBER:	92:15018 USPATFULL
TITLE:	Substituted (quinolin-2-yl-methoxy)phenyl-acyl-sulphonamides and -cyanamides, processes for their preparation and their use in medicaments
INVENTOR(S):	Raddatz, Siegfried, Cologne, Germany, Federal Republic of Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of Fruchtmann, Romanis, Cologne, Germany, Federal Republic of Kohlsdorfer, Christian, Erftstadt, Germany, Federal Republic of Theisen-Popp, Pia, Bergisch Gladbach, Germany, Federal Republic of Muller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of
PATENT ASSIGNEE(S):	Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5091392	19920225
APPLICATION INFO.:	US 1990-517108	19900501 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1989-3916663	19890523

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Lee, Mary C.

ASSISTANT EXAMINER: Whittenbaugh, Robert C.
LEGAL REPRESENTATIVE: Sprung, Horn, Kramer & Woods
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 914
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A quinolin-2-yl-methoxy)phenylacyl-sulphonamide or -cyanamide of the formula ##STR1## in which A, B, D, E, F and G are identical or different and

represent hydrogen, hydroxyl, halogen, carboxyl, nitro, trifluoromethyl, trifluoromethoxy or a group of the formula --NH.sup.3 R.sup.4, in which

R.sup.3 and R.sup.4 are identical or different and denote hydrogen, straight-chain or branched alkyl having up to 8 carbon atoms or aryl having 6 to 10 carbon atoms,

represent straight-chain or branched alkyl, alkoxy or alkoxycarbonyl in each case having up to 12 carbon atoms,

represent aryl having 6 to 10 carbon atoms,

R.sup.1 represents cycloalkyl having 3 to 8 carbon atoms,

R.sup.2 represents hydrogen or

straight-chain or branched alkyl having up to 10 carbon atoms,

represents an alkali metal,

represents cycloalkyl having 3 to 8 carbon atoms,

X represents a group of the formula --SO.sub.2 --R.sup.5, in which

R.sup.5 denotes trifluoromethyl or straight-chain or branches alkyl having up to 10 carbon atoms, or

denotes aryl having 6 to 10 carbon atoms, or

X represents cyano

and physiologically acceptable salts thereof.

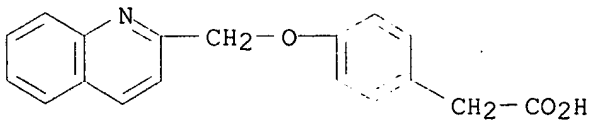
The (quinolin-2-yl-methoxy)phenylacylsulphonamide or -cyanamide is useful as an active compound in medicaments, particularly as a lipoxygenase inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **121289-78-9**
(alkylation of, in prepn. of lipoxygenase inhibitors)

RN 121289-78-9 USPATFULL

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 89 OF 98 USPATFULL
ACCESSION NUMBER: 91:44883 USPATFULL
TITLE: 2-Anilino phenylacetic acid derivatives
INVENTOR(S): Failli, Amedeo A., Princeton Junction, NJ, United States
Kreft, III, Anthony F., Trooper, PA, United States
Musser, John H., Yardley, PA, United States
PATENT ASSIGNEE(S): American Home Products Corporation, New York, NY, United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5021576	19910604
APPLICATION INFO.:	US 1989-428092	19891027 (7)

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Springer, David B.
LEGAL REPRESENTATIVE: Tarnowski, George
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
LINE COUNT: 1568
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB There are disclosed compounds of the formula ##STR1## wherein R is hydroxy, lower alkoxy or lower alkoxyamino;

R.sup.1 is hydrogen or A(CH.sub.2).sub.n O--;

R.sup.2 is hydrogen or A(CH.sub.2).sub.n O--, with the proviso that one of R.sup.1 and R.sup.2 is A(CH.sub.2).sub.n O-- and the other is hydrogen;

n is 1-2;

A is phenoxyethyl, phenoxyphenyl or a group having the formula ##STR2## X is --N-- or ##STR3## Z is ##STR4## R.sup.3 is hydrogen, lower alkyl or phenyl; R.sup.4 is hydrogen or lower alkyl; or

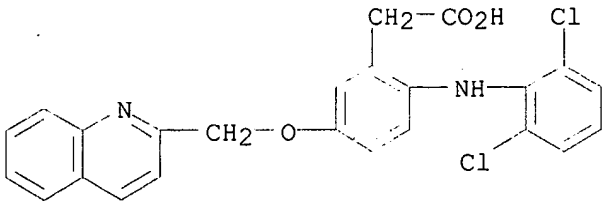
R.sup.3 and R.sup.4 taken together form a benzene ring;

R.sup.5 is hydrogen or lower alkyl;

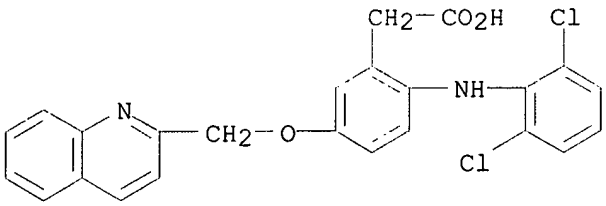
R.sup.6 is hydrogen, halo or lower alkyl;

and the pharmacologically acceptable salts thereof, and their use in the treatment of inflammatory conditions, such as rheumatoid arthritis, ulcerative colitis, psoriasis and other immediate hypersensitivity reactions; in the treatment of leukotriene-mediated naso-bronchial obstructive air-passageway conditions, such as allergic rhinitis, allergic bronchial asthma and the like; and as gastric cytoprotective agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **136099-33-7P 136099-34-8P 136099-35-9P**
136099-37-1P 136099-49-5P
(prepn. of, as lipoxygenase inhibitor)
RN 136099-33-7 USPATFULL
CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 136099-34-8 USPATFULL
CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)

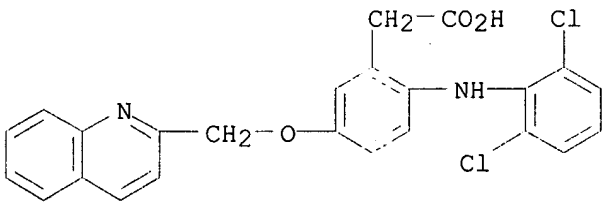


● Na

RN 136099-35-9 USPATFULL
CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

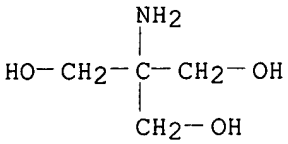
CM 1

CRN 136099-33-7
CMF C24 H18 Cl2 N2 O3

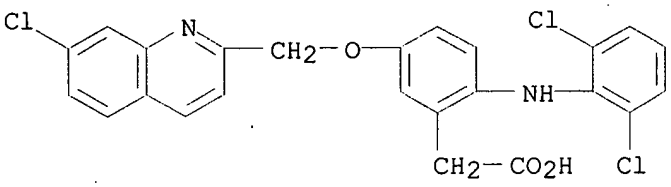


CM 2

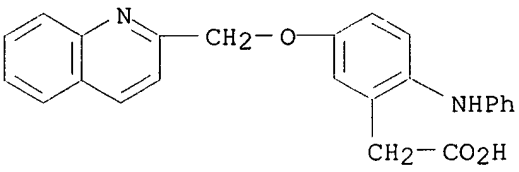
CRN 77-86-1
CMF C4 H11 N O3



RN 136099-37-1 USPATFULL
CN Benzeneacetic acid, 5-[(7-chloro-2-quinolinyl)methoxy]-2-[(2,6-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)



RN 136099-49-5 USPATFULL
CN Benzeneacetic acid, 2-(phenylamino)-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L19 ANSWER 90 OF 98 USPATFULL

ACCESSION NUMBER:	90:78336 USPATFULL
TITLE:	2-substituted quinolines useful as leukotriene antagonists
INVENTOR(S):	Young, Robert N., Quebec, Canada Williams, Haydn W. R., Dollard des Ormeaux, Canada Leger, Serge, Dollard des Ormeaux, Canada Frenette, Richard, Laval, Canada Zamboni, Robert, Longueuil, Canada
PATENT ASSIGNEE(S):	Merck Frost Canada, Inc., Kirkland, Canada (non-U.S. corporation)

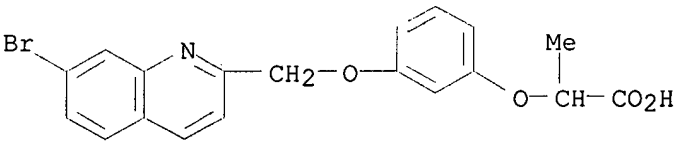
	NUMBER	DATE
PATENT INFORMATION:	US 4962203	19901009
APPLICATION INFO.:	US 1989-393436	19890814 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1988-253993, filed on 5 Oct 1988, now abandoned which is a continuation of Ser. No. US 1986-874243, filed on 13 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-746204, filed on 18 Jun 1985, now abandoned

DOCUMENT TYPE: Utility

PRIMARY EXAMINER: Daus, Donald G.
LEGAL REPRESENTATIVE: Lopez, Gabriel; Pfeiffer, Hesna J.
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1923
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds having the formula: ##STR1## are selective antagonists of leukotrienes of D.sub.4. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **108165-90-8P**
(prepn. of, as leukotriene D4 antagonist)
RN 108165-90-8 USPATFULL
CN Propanoic acid, 2-[3-[(7-bromo-2-quinolinyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 91 OF 98 USPATFULL
ACCESSION NUMBER: 90:42522 USPATFULL
TITLE: .alpha.-substituted 4-(quinolin-2-yl-methoxy)phenylacetic acids and esters and lipoxygenase inhibition therewith
INVENTOR(S): Mohrs, Klaus, Wuppertal, Germany, Federal Republic of
Raddatz, Siegfried, Cologne, Germany, Federal Republic of
Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
Kohlsdorfer, Christian, Erfstadt, Germany, Federal Republic of
Muller-Peddinghaus, Reiner, Bergisch-Gladbach, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4929626	19900529
APPLICATION INFO.:	US 1989-336974	19890412 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1988-3814504	19880429

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Springer, David B.
LEGAL REPRESENTATIVE: Sprung Horn Kramer & Woods
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1,10
LINE COUNT: 748
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB As lipoxygenase inhibitors, the novel .alpha.-substituted 4-(quinolin-2-yl-methoxy)phenyl-acetic acid and esters thereof of the formula ##STR1## in which R.sup.1 - stands for hydrogen, alkyl,

arylalkyl, aryl or

- for a group of the formula

--CH.sub.2 --CO.sub.2 --R.sup.3,

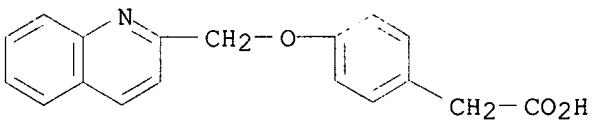
where

R.sup.3 - stands for hydrogen, alkyl, arylalkyl or aryl and

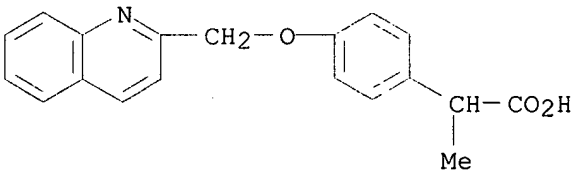
R.sup.2 - stands for hydrogen, alkyl, alkenyl or alkinyl,

and salts thereof.

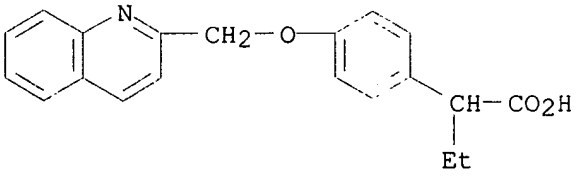
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **121289-78-9P 126960-79-0P 126960-80-3P**
126960-81-4P 126960-82-5P 126960-83-6P
126960-84-7P 126960-85-8P 126960-86-9P
126960-87-0P 126960-88-1P 126960-95-0P
126960-96-1P
(prepn. of, as lipoxygenase inhibitor)
RN 121289-78-9 USPATFULL
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



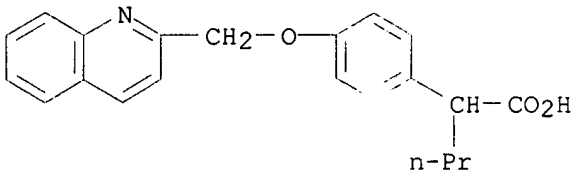
RN 126960-79-0 USPATFULL
CN Benzeneacetic acid, .alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



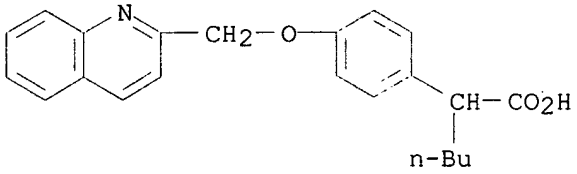
RN 126960-80-3 USPATFULL
CN Benzeneacetic acid, .alpha.-ethyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



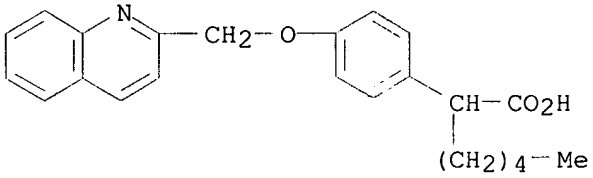
RN 126960-81-4 USPATFULL
CN Benzeneacetic acid, .alpha.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



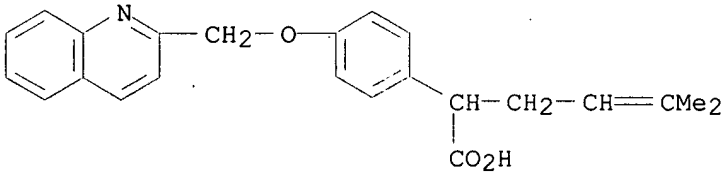
RN 126960-82-5 USPATFULL
CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 126960-83-6 USPATFULL
CN Benzeneacetic acid, .alpha.-pentyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

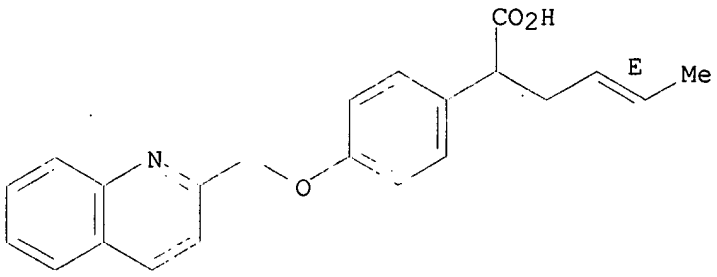


RN 126960-84-7 USPATFULL
CN Benzeneacetic acid, .alpha.-(3-methyl-2-butenyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

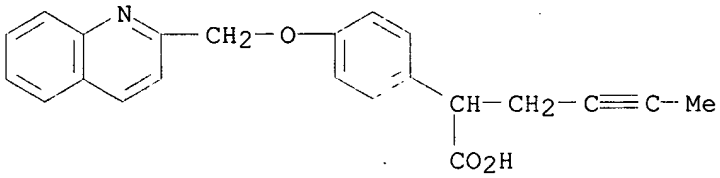


RN 126960-85-8 USPATFULL
CN Benzeneacetic acid, .alpha.-2-butenyl-4-(2-quinolinylmethoxy)-, (E)- (9CI) (CA INDEX NAME)

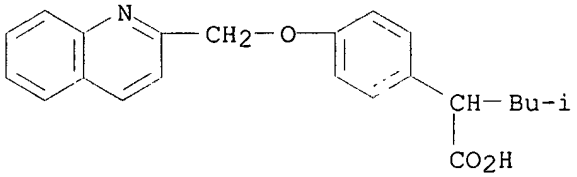
Double bond geometry as shown.



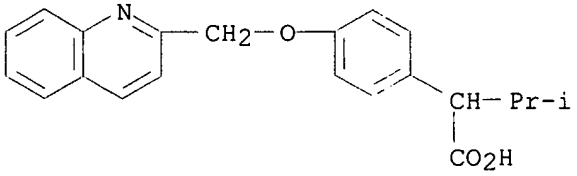
RN 126960-86-9 USPATFULL
CN Benzeneacetic acid, .alpha.-2-butynyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



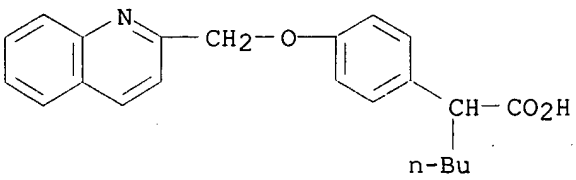
RN 126960-87-0 USPATFULL
CN Benzeneacetic acid, .alpha.-(2-methylpropyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 126960-88-1 USPATFULL
CN Benzeneacetic acid, .alpha.-(1-methylethyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

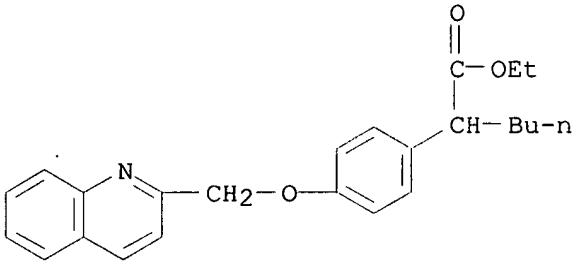


RN 126960-95-0 USPATFULL
CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 126960-96-1 USPATFULL
CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 92 OF 98 USPATFULL

ACCESSION NUMBER:	89:85920 USPATFULL
TITLE:	Quinolinyl ether or thioether tetrazoles as agents for the treatment of hypersensitive ailments
INVENTOR(S):	Youssefyeh, Raymond, Princeton Junction, NJ, United States Chakraborty, Utpal, Flemington, NJ, United States Magnien, Ernest, Norwich, VT, United States Desai, Rohit, Millwood, NY, United States Lee, Thomas D-Y, Scarsdale, NY, United States
PATENT ASSIGNEE(S):	Rorer Pharmaceutical Corporation, Fort Washington, PA, United States (U.S. corporation)

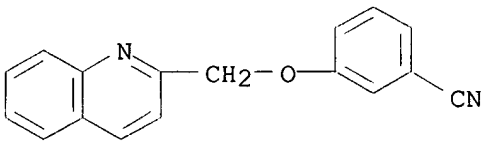
	NUMBER	DATE	
PATENT INFORMATION:	US 4874769	19891017	
	WO 8705510	19870924	
APPLICATION INFO.:	US 1988-124800	19880105	(7)
	WO 1987-US560	19870311	
		19880105	PCT 371 date
		19880105	PCT 102(e) date

DISCLAIMER DATE:	20031223
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1986-839410, filed on 13 Mar 1986, now patented, Pat. No. US 4839369 And a continuation of Ser. No. US 1985-723781, filed on 16 Apr 1985, now patented, Pat. No. US 4631287 which is a continuation-in-part of Ser. No. US 1986-911028, filed on 24 Sep 1986
DOCUMENT TYPE:	Utility
PRIMARY EXAMINER:	Friedman, Stanley J.

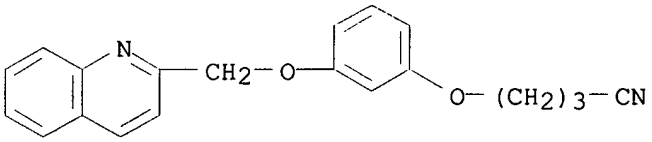
Searched by Barb O'Bryen, STIC 308-4291

NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 936
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to certain quinolyl ether and thioether tetrazoles and their use as valuable pharmaceutical agents for the treatment of hypersensitive ailments, particularly as lipoxygenase inhibitors and/or leukotriene antagonists possessing anti-inflammatory and antiallergic properties.

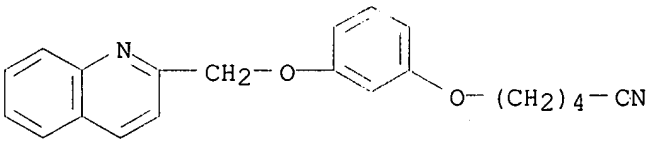
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **107813-60-5 107813-64-9 107813-82-1**
107813-84-3
(cyclization reaction of, with azide, tetrazole deriv. from)
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



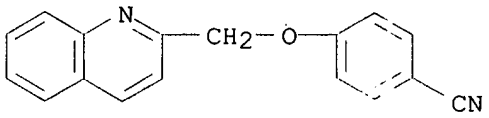
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-82-1 USPATFULL
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



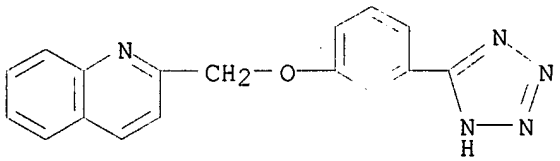
RN 107813-84-3 USPATFULL
CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



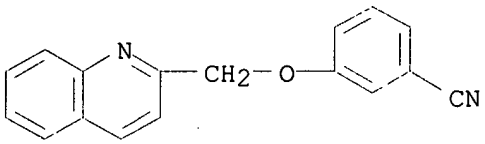
IT **107813-59-2P 107813-60-5P 107813-61-6P**
107813-63-8P 107813-64-9P 107813-71-8P
107813-78-5P 107813-81-0P 107813-83-2P
107813-85-4P

(prepn. of, as antiinflammatory and antiallergic)

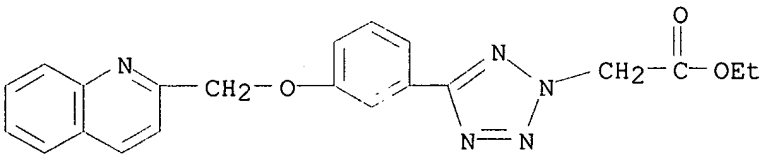
RN 107813-59-2 USPATFULL
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



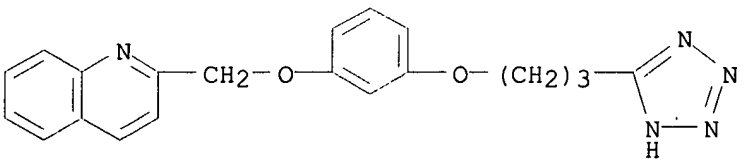
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



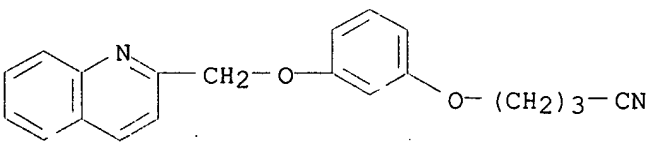
RN 107813-61-6 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



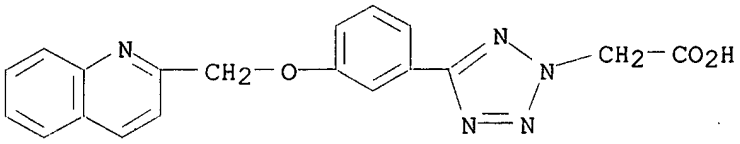
RN 107813-63-8 USPATFULL
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



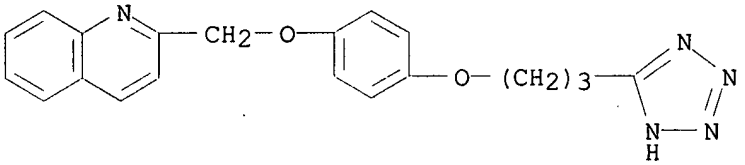
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



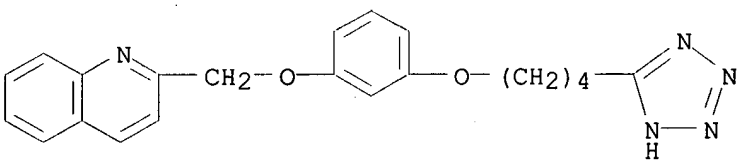
RN 107813-71-8 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



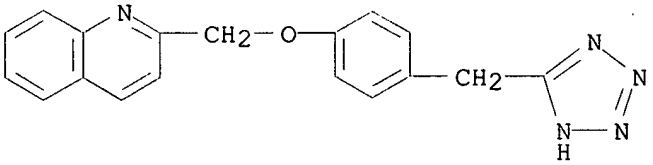
RN 107813-78-5 USPATFULL
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



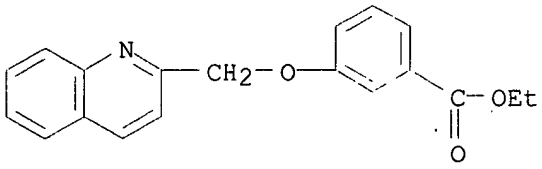
RN 107813-81-0 USPATFULL
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 USPATFULL
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 93 OF 98 USPATFULL
ACCESSION NUMBER: 89:78761 USPATFULL
TITLE: Styryl tetrazoles and anti-allergic use thereof
INVENTOR(S): Lee, Thomas D. Y., Scarsdale, NY, United States
PATENT ASSIGNEE(S): Rorer Pharmaceutical Corporation, Fort Washington, PA, United States (U.S. corporation)

	NUMBER	DATE	
PATENT INFORMATION:	US 4868193	19890919	
APPLICATION INFO.:	US 1986-911028	19860924	(6)

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Springer, David B.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 5
LINE COUNT: 429
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of the formula: ##STR1## and salts thereof, wherein,

Ar.sub.1 is phenyl, naphthyl or heterocyclic ring selected from the group consisting of quinolinyl, isoquinolinyl, pyridinyl, quinazolinyl, quinoxalinyl, benzimidazolyl, 1,2,3,4-tetrahydroquinolinyl or 1,2,3,4-tetrahydroquinoxalinyl;

R.sub.1 is hydrogen, alkyl, carboxy, carbalkoxy, alkanoyl, formyl, nitrilo, amino, halo, CF.sub.3, hydroxy, alkoxy, aralkoxy, aryloxy, nitro, sulfanyl, mercapto or alkylthio;

R.sub.2 is hydrogen, alkyl or Ar.sub.1,

n is 1 or 2

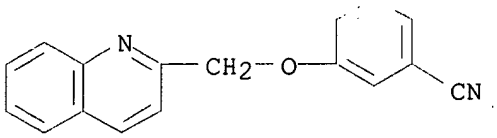
X is O, S, or NH--

Y is a bond, methylene or ethylene

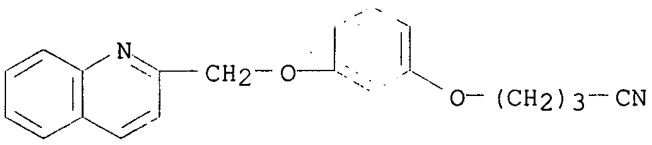
R.sub.3 and R.sub.4 are independently hydrogen, alkyl or halo

Ar is phenyl, naphthyl, pyridyl or quinolinyl containing one or two substituents selected from the group consisting of hydrogen, alkoxy, aryloxy, hydroxy, hydroxyalkyl, alkyl, aryl, halo, CF.sub.3, carboxy, carbalkoxy, lower acyl, nitrilo, amino, nitro, mercapto or alkylthio possess anti-inflammatory and anti-allergic activities.

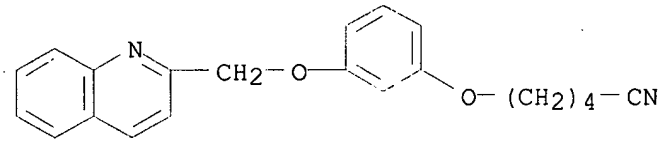
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **107813-60-5 107813-64-9 107813-82-1**
107813-84-3
(cyclization reaction of, with azide, tetrazole deriv. from)
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



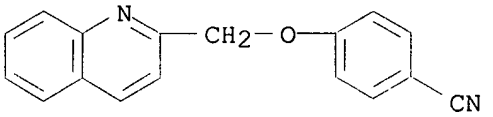
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



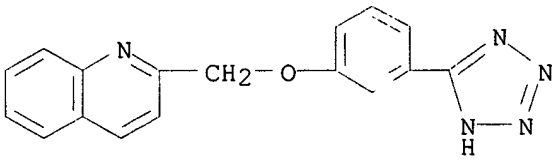
RN 107813-82-1 USPATFULL
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



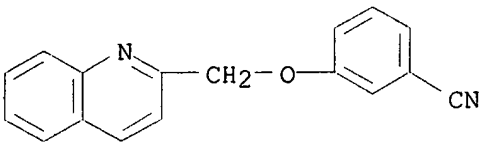
RN 107813-84-3 USPATFULL
CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



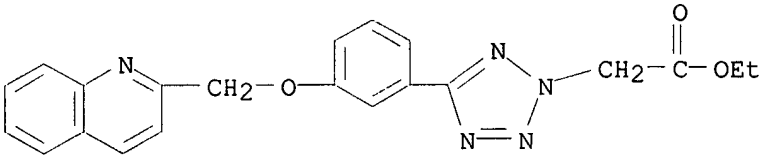
IT **107813-59-2P 107813-60-5P 107813-61-6P**
107813-63-8P 107813-64-9P 107813-71-8P
107813-78-5P 107813-81-0P 107813-83-2P
107813-85-4P
(prepn. of, as antiinflammatory and antiallergic)
RN 107813-59-2 USPATFULL
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



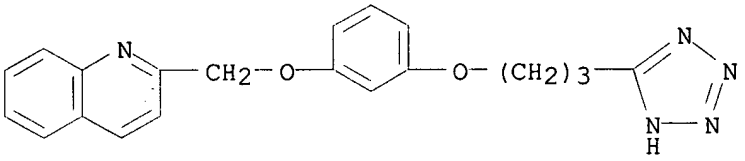
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



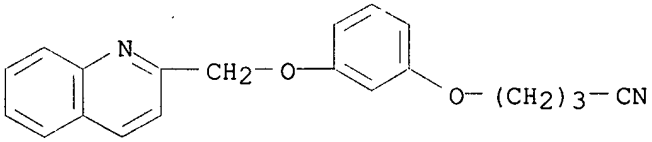
RN 107813-61-6 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



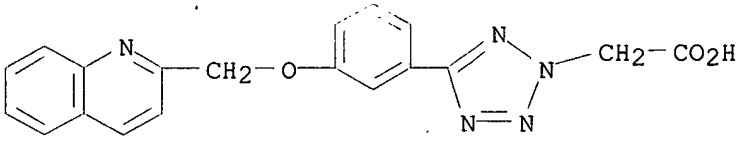
RN 107813-63-8 USPATFULL
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



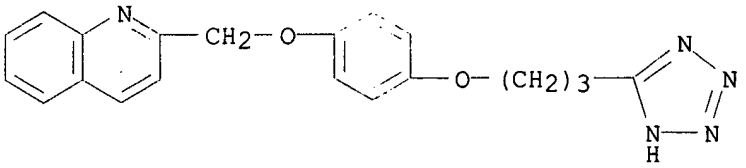
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



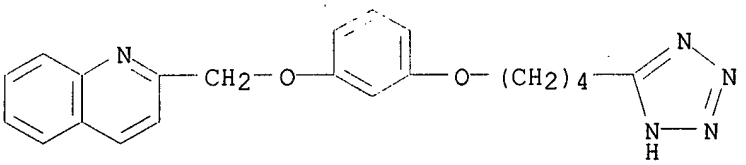
RN 107813-71-8 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



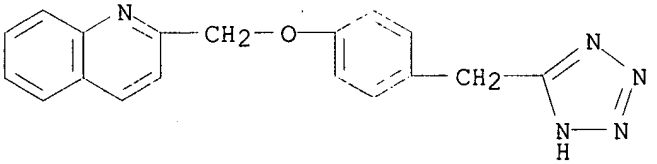
RN 107813-78-5 USPATFULL
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



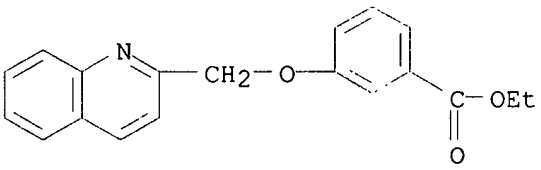
RN 107813-81-0 USPATFULL
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 USPATFULL
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 94 OF 98 USPATFULL

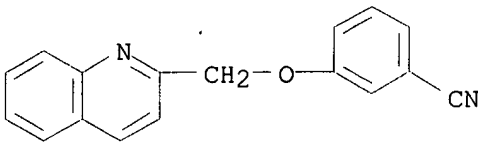
ACCESSION NUMBER:	89:47869 USPATFULL
TITLE:	Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments
INVENTOR(S):	Youssefyeh, Raymond, Tarrytown, NY, United States Chakraborty, Utpal, Bedford Hills, NY, United States Magnien, Ernest, Flushing, NY, United States Desai, Rohit, Millwood, NY, United States
PATENT ASSIGNEE(S):	Rorer Pharmaceutical Corporation, Fort Washington, PA, United States (U.S. corporation)

PATENT INFORMATION:	NUMBER	DATE
	US 4839369	19890613

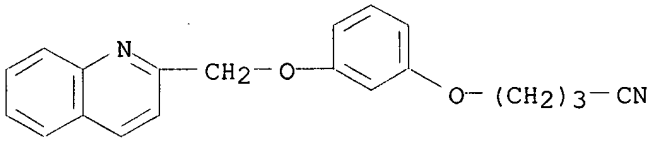
Searched by Barb O'Bryen, STIC 308-4291

APPLICATION INFO.: US 1986-839410 19860313 (6)
RELATED APPLN. INFO.: Continuation of Ser. No. US 1985-723781, filed on 16 Apr 1985, now abandoned
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Friedman, Stanley J.
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 962
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Aryl and Heteroaryl Ethers are used for their anti-inflammatory and anti-allergic properties.

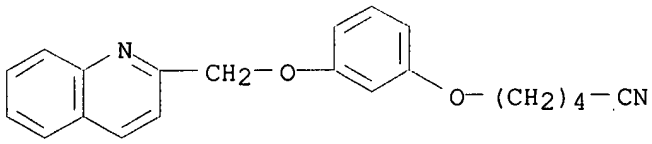
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **107813-60-5 107813-64-9 107813-82-1**
107813-84-3
(cyclization reaction of, with azide, tetrazole deriv. from)
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



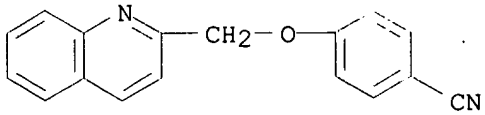
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-82-1 USPATFULL
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



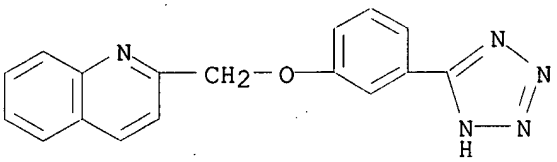
RN 107813-84-3 USPATFULL
CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



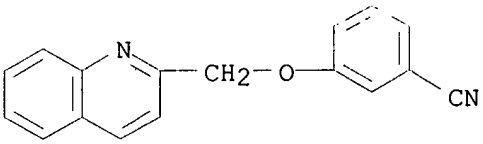
IT **107813-59-2P 107813-60-5P 107813-61-6P**
107813-63-8P 107813-64-9P 107813-71-8P

107813-78-5P 107813-81-0P 107813-83-2P
107813-85-4P
(prepn. of, as antiinflammatory and antiallergic)

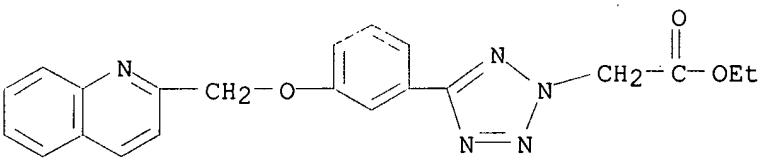
RN 107813-59-2 USPATFULL
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



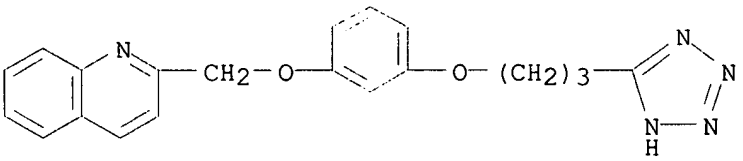
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



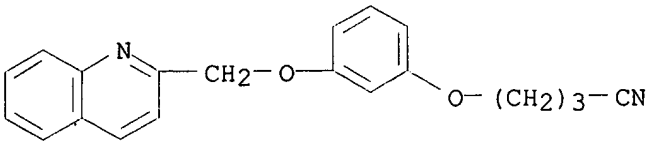
RN 107813-61-6 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



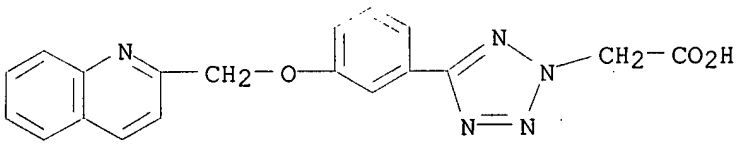
RN 107813-63-8 USPATFULL
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



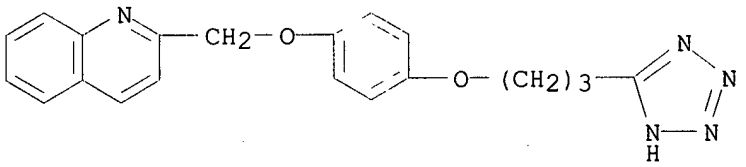
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



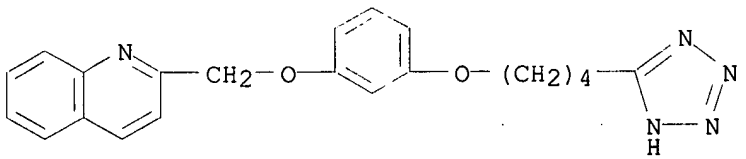
RN 107813-71-8 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



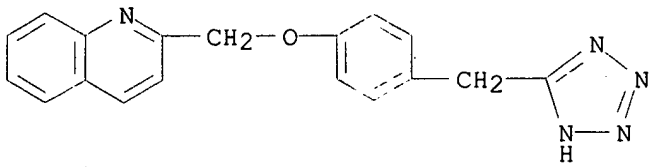
RN 107813-78-5 USPATFULL
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



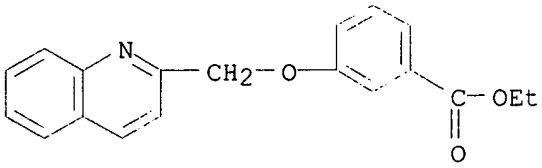
RN 107813-81-0 USPATFULL
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 USPATFULL
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 95 OF 98 USPATFULL

ACCESSION NUMBER:	89:34539 USPATFULL
TITLE:	Pyridyl and quinoline derivatives
INVENTOR(S):	Nielsen, Ole Bent Iv rmose, Vanlose, Denmark Ahnfelt-Ronne, Ian, Copenhagen, Denmark
PATENT ASSIGNEE(S):	Leo Pharmaceutical Products Ltd., Ballerup, Denmark (non-U.S. corporation)

	NUMBER	DATE	
PATENT INFORMATION:	US 4826987	19890502	
APPLICATION INFO.:	US 1986-834542	19860228	(6)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1985-6094	19850308
	GB 1985-25153	19851011

DOCUMENT TYPE:	Utility
PRIMARY EXAMINER:	Springer, David B.
LEGAL REPRESENTATIVE:	Cushman, Darby & Cushman
NUMBER OF CLAIMS:	12
EXEMPLARY CLAIM:	1
LINE COUNT:	1626

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of formula I ##STR1## in which formula I X stands for O, S, ##STR2## R.sub.1 and R.sub.2 which can be the same or different stand for hydrogen, straight or branched, saturated or unsaturated, unsubstituted or substituted C.sub.1 -C.sub.8 -alkyl, or for ar-C.sub.1 -C.sub.4 -alkyl, aryl and ar being unsubstituted or substituted phenyl; R.sub.3, R.sub.4, R.sub.5, and R.sub.6 are the same or different and stand for hydrogen, halogen, pseudo halogen, cyano, nitro, amino, carboxy, hydroxy, alkyl, alkoxy; or R.sub.5 and R.sub.6 form an aromatic ring which is fused to the pyridyl ring, and which aromatic ring may substituted; provided that R.sub.1 and R.sub.2 cannot be hydrogen at the same time, and provided that when R.sub.5 and R.sub.6 both are chlorine and R.sub.1 is hydrogen, then R.sub.2 cannot be n-propyl; and salts and bioreversible derivatives thereof.

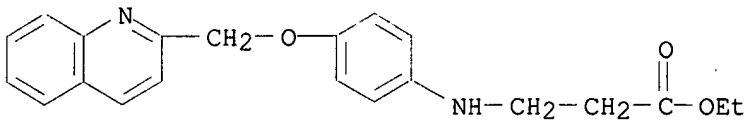
The compounds of formula I are useful in the human and veterinary therapy, as they exert specific 5-lipoxygenase inhibition.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

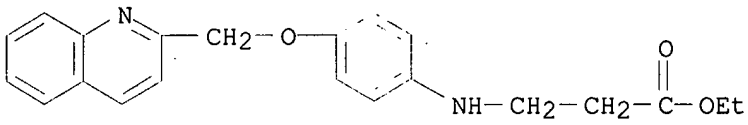
IT **105349-96-0P 105349-97-1P**
(prepn. of, as drug)

RN 105349-96-0 USPATFULL

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 105349-97-1 USPATFULL
CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L19 ANSWER 96 OF 98 USPATFULL

ACCESSION NUMBER:	88:13242 USPATFULL
TITLE:	Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments
INVENTOR(S):	Chakraborty, Utpal R., Orangeburg, NY, United States Youssefyeh, Raymond D., Tarrytown, NY, United States
PATENT ASSIGNEE(S):	USV Pharmaceutical Corporation, Fort Washington, PA, United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4728668	19880301
APPLICATION INFO.:	US 1986-877570	19860623 (6)
RELATED APPLN. INFO.:	Division of Ser. No. US 1985-723781, filed on 16 Apr 1985, now patented, Pat. No. US 4631287	
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Friedman, Stanley J.	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
LINE COUNT:	551	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is concerned with the therapeutic composition comprising as an active ingredient a compound of the formula:

(R.sub.1)(R.sub.2) Ar--Z--M--Ar.sub.1 (R.sub.3)(R.sub.4) I

and salts thereof;

wherein

Ar and Ar.sub.1 are independently phenyl, naphthyl or a nitrogen, oxygen, or sulfur heterocyclic ring;

Z is an alkylene chain containing from 1 to 5 carbon atoms in the principal chain and up to a total of 10 carbon atoms;

M is oxygen, sulfur, or NR.sub.5 ;

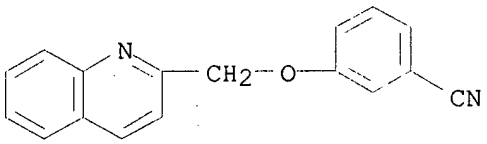
R.sub.1, R.sub.2, R.sub.3 and R.sub.4 are each independently H, lower alkyl, lower alkoxy, hydroxy, halo, trihalomethyl, hydroxy lower alkyl, carboxy, formyl, aryl, aryloxy, benzyloxy, lower alkanoyl, carboxy lower alkoxy, nitro, amino, lower alkylamino, dilower alkylamino, cyano, lower alkanoyloxy, carbamoyl, lower alkoxy-alkoxy, carbo-lower alkoxy-alkoxy, or tetrahydropyranylmethyl; and

R.sub.5 is hydrogen or lower alkyl.

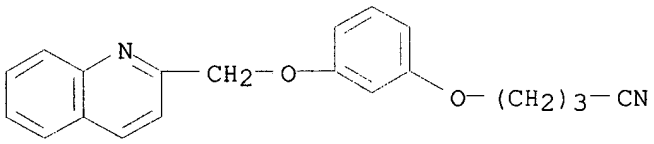
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **107813-60-5 107813-64-9 107813-82-1**
107813-84-3
(cyclization reaction of, with azide, tetrazole deriv. from)

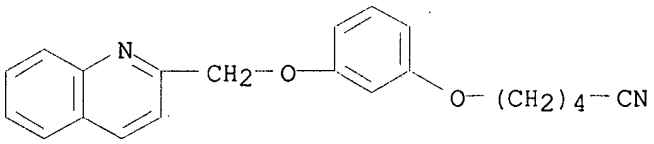
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



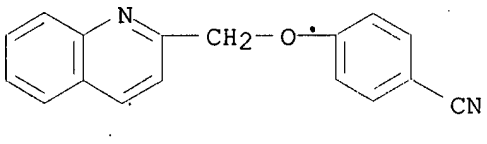
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-82-1 USPATFULL
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

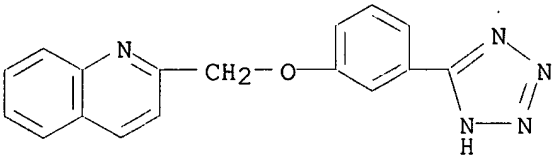


RN 107813-84-3 USPATFULL
CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

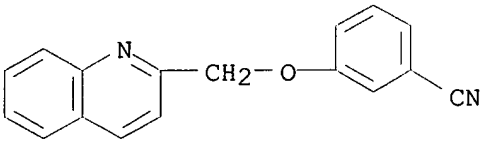


IT **107813-59-2P 107813-60-5P 107813-61-6P**
107813-63-8P 107813-64-9P 107813-71-8P
107813-78-5P 107813-81-0P 107813-83-2P
107813-85-4P
(prepn. of, as antiinflammatory and antiallergic)

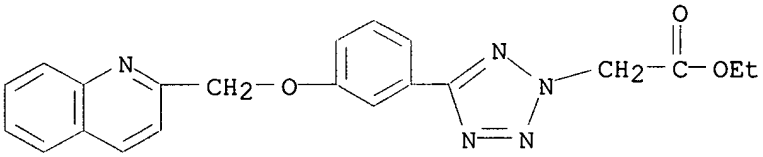
RN 107813-59-2 USPATFULL
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



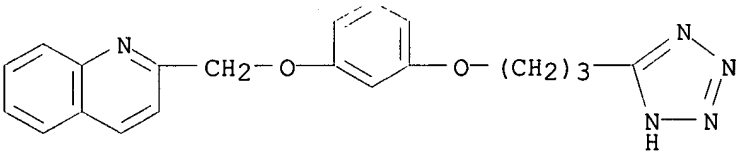
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



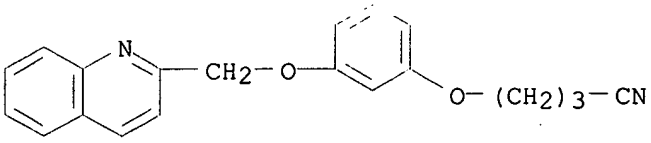
RN 107813-61-6 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 107813-63-8 USPATFULL
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

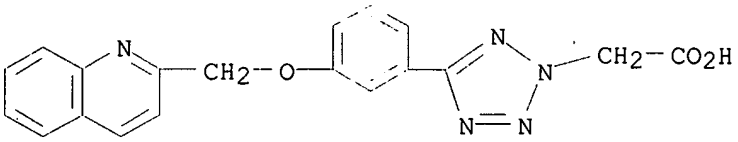


RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

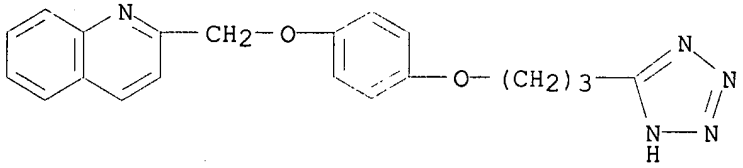


RN 107813-71-8 USPATFULL

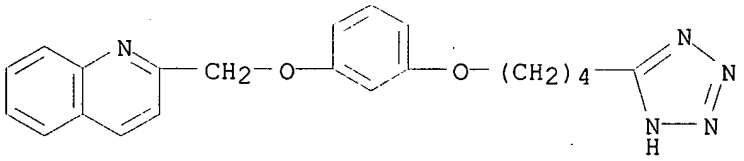
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



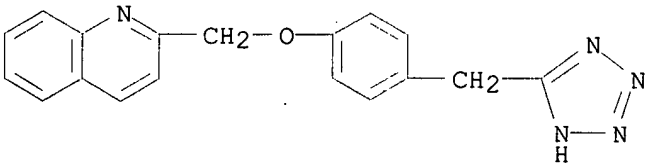
RN 107813-78-5 USPATFULL
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



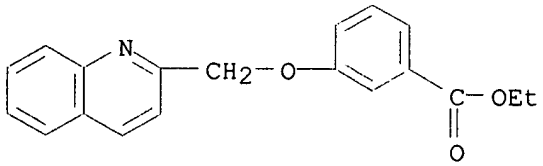
RN 107813-81-0 USPATFULL
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 USPATFULL
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 97 OF 98 USPATFULL
ACCESSION NUMBER: 88:9933 USPATFULL
TITLE: Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments
INVENTOR(S): Chakraborty, Utpal R., Orangeburg, NY, United States
Youssefyeh, Raymond D., Tarrytown, NY, United States
PATENT ASSIGNEE(S): USV Pharmaceutical Corporation, Fort Washington, PA, United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4725619	19880216
APPLICATION INFO.:	US 1986-877568	19860623 (6)

RELATED APPLN. INFO.: Division of Ser. No. US 1985-723781, filed on 16 Apr 1985, now patented, Pat. No. US 4631287
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Friedman, Stanley J.
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 549
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention is concerned with the therapeutic composition comprising as an active ingredient a compound of the formula:

(R.sub.1)(R.sub.2) Ar--Z--M--Ar.sub.1 (R.sub.3)(R.sub.4) I

and salts thereof; wherein

Ar and Ar.sub.1 are independently phenyl, naphthyl or a nitrogen, oxygen, or sulfur heterocyclic ring;

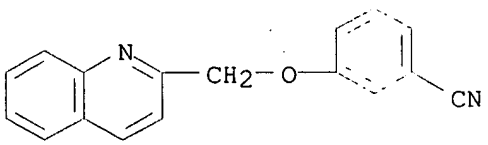
Z is an alkylene chain containing from 1 to 5 carbon atoms in the principal chain and up to a total of 10 carbon atoms;

M is oxygen, sulfur, or NR.sub.5 ;

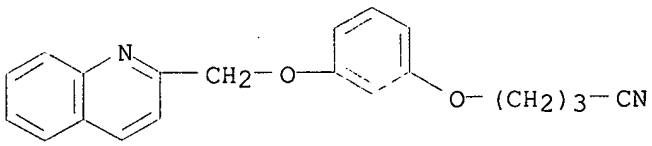
R.sub.1, R.sub.2, R.sub.3 and R.sub.4 are each independently H, lower alkyl, lower alkoxy, hydroxy, halo, trihalomethyl, hydroxy lower alkyl, carboxy, formyl, aryl, aryloxy, benzyloxy, lower alkanoyl, carboxy lower alkoxy, nitro, amino, lower alkylamino, dilower alkylamino, cyano, lower alkanoyloxy, carbamoyl, lower alkoxy-alkoxy, carbo-lower-alkoxy-alkoxy, or tetrahydropyranylmethyl; and

R.sub.5 is hydrogen or lower alkyl.

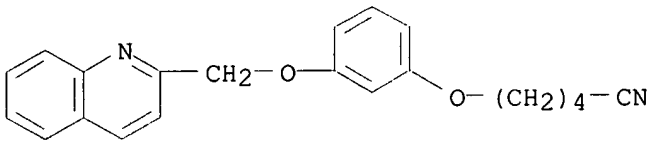
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **107813-60-5 107813-64-9 107813-82-1**
107813-84-3
(cyclization reaction of, with azide, tetrazole deriv. from)
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



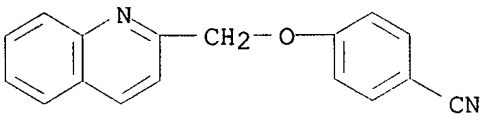
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



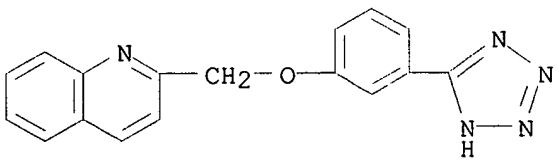
RN 107813-82-1 USPATFULL
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



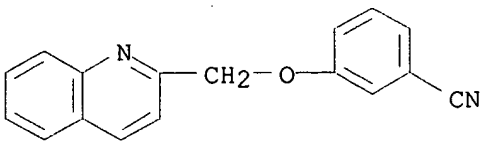
RN 107813-84-3 USPATFULL
CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



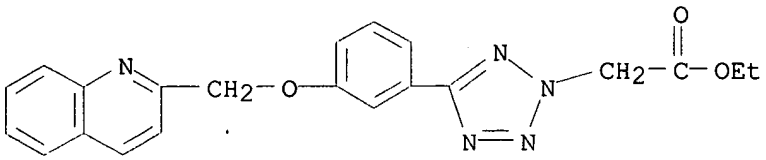
IT **107813-59-2P 107813-60-5P 107813-61-6P**
107813-63-8P 107813-64-9P 107813-71-8P
107813-78-5P 107813-81-0P 107813-83-2P
107813-85-4P
(prepn. of, as antiinflammatory and antiallergic)
RN 107813-59-2 USPATFULL
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



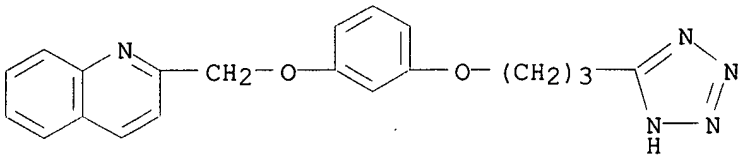
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



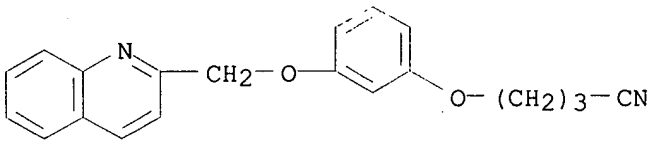
RN 107813-61-6 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



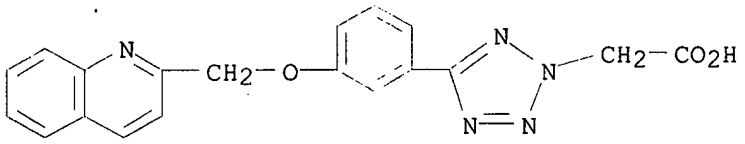
RN 107813-63-8 USPATFULL
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



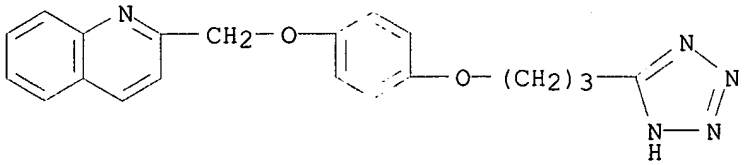
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



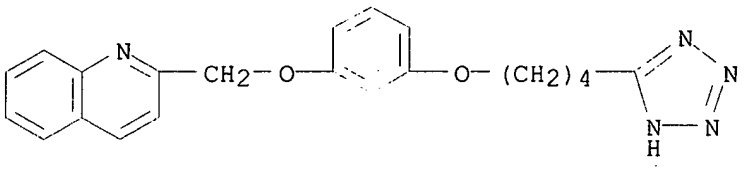
RN 107813-71-8 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



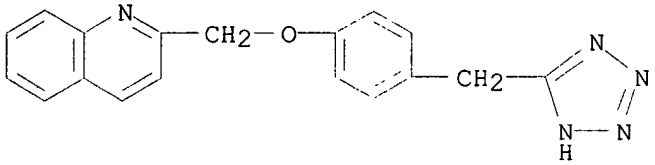
RN 107813-78-5 USPATFULL
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



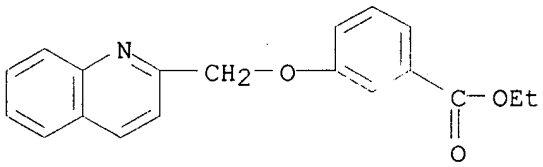
RN 107813-81-0 USPATFULL
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 USPATFULL
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 98 OF 98 USPATFULL

ACCESSION NUMBER:	86:73248 USPATFULL
TITLE:	Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments
INVENTOR(S):	Chakraborty, Utpal R., Orangeburg, NY, United States Youssefyeh, Raymond D., Tarrytown, NY, United States
PATENT ASSIGNEE(S):	USV Pharmaceutical Corp., Fort Washington, PA, United States (U.S. corporation)

	NUMBER	DATE	
PATENT INFORMATION:	US 4631287	19861223	
APPLICATION INFO.:	US 1985-723781	19850416	(6)
DOCUMENT TYPE:	Utility		
PRIMARY EXAMINER:	Friedman, Stanley J.		
NUMBER OF CLAIMS:	55		
EXEMPLARY CLAIM:	1		
LINE COUNT:	717		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is concerned with the therapeutic composition comprising as an active ingredient a compound of the formula:

(R.sub.1)(R.sub.2)Ar--Z--M--Ar.sub.1 (R.sub.3)(R.sub.4) I

and salts thereof;

wherein

Ar and Ar.sub.1 are independently phenyl, naphthyl or a nitrogen, oxygen, or sulfur heterocyclic ring;

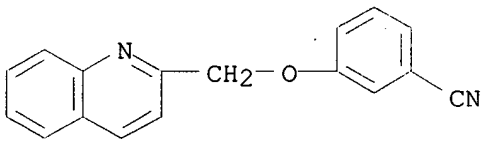
Z is an alkylene chain containing from 1 to 5 carbon atoms in the principal chain and up to a total of 10 carbon atoms;

M is oxygen, sulfur, or NR.sub.5 ;

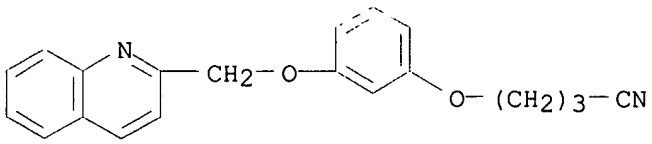
R.sub.1, R.sub.2, R.sub.3 and R.sub.4 are each independently H, lower alkyl, lower alkoxy, hydroxy, halo, trihalomethyl, hydroxy lower alkyl, carboxy, formyl, aryl, aryloxy, benzyloxy, lower alkanoyl, carboxy lower alkoxy, nitro, amino, lower alkylamino, dilower alkylamino, cyano, lower alkanoyloxy, carbamoyl, lower alkoxy-alkoxy, carbo-lower-alkoxy-alkoxy, or tetrahydropyranylmethyl; and

R.sub.5 is hydrogen or lower alkyl.

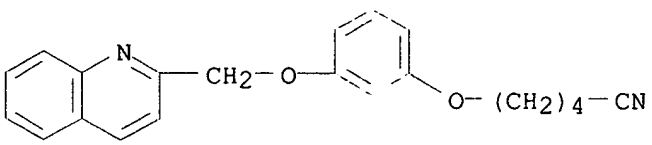
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **107813-60-5 107813-64-9 107813-82-1**
107813-84-3
(cyclization reaction of, with azide, tetrazole deriv. from)
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



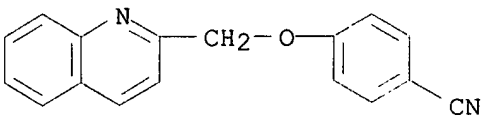
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-82-1 USPATFULL
CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-84-3 USPATFULL
CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



IT **107813-59-2P 107813-60-5P 107813-61-6P**
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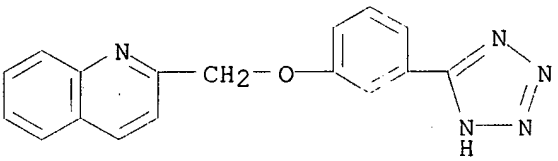
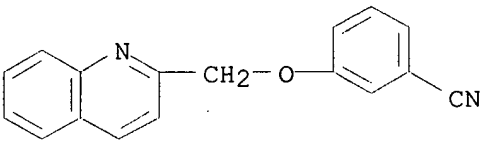
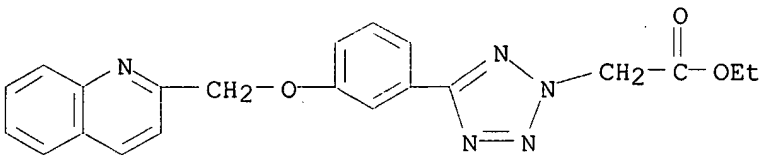
107813-78-5P 107813-81-0P 107813-83-2P
107813-85-4P
(prepn. of, as antiinflammatory and antiallergic)
RN 107813-59-2 USPATFULL
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



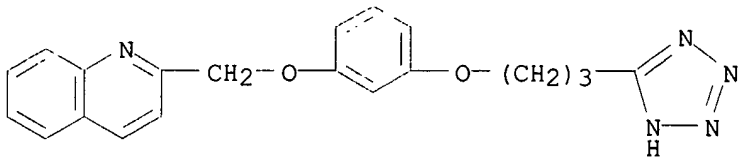
RN 107813-60-5 USPATFULL
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



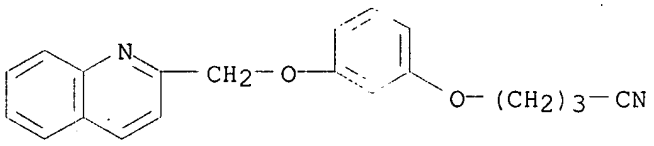
RN 107813-61-6 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



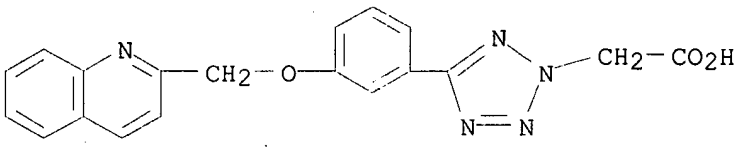
RN 107813-63-8 USPATFULL
CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



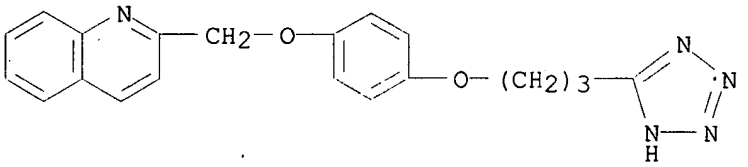
RN 107813-64-9 USPATFULL
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



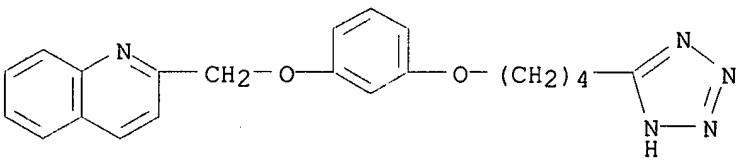
RN 107813-71-8 USPATFULL
CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



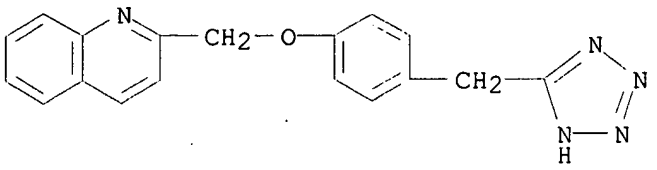
RN 107813-78-5 USPATFULL
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



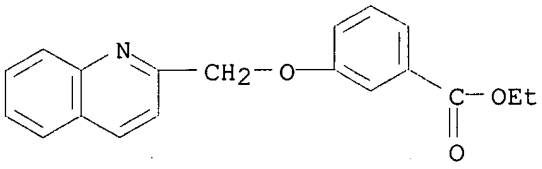
RN 107813-81-0 USPATFULL
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 USPATFULL
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



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This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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